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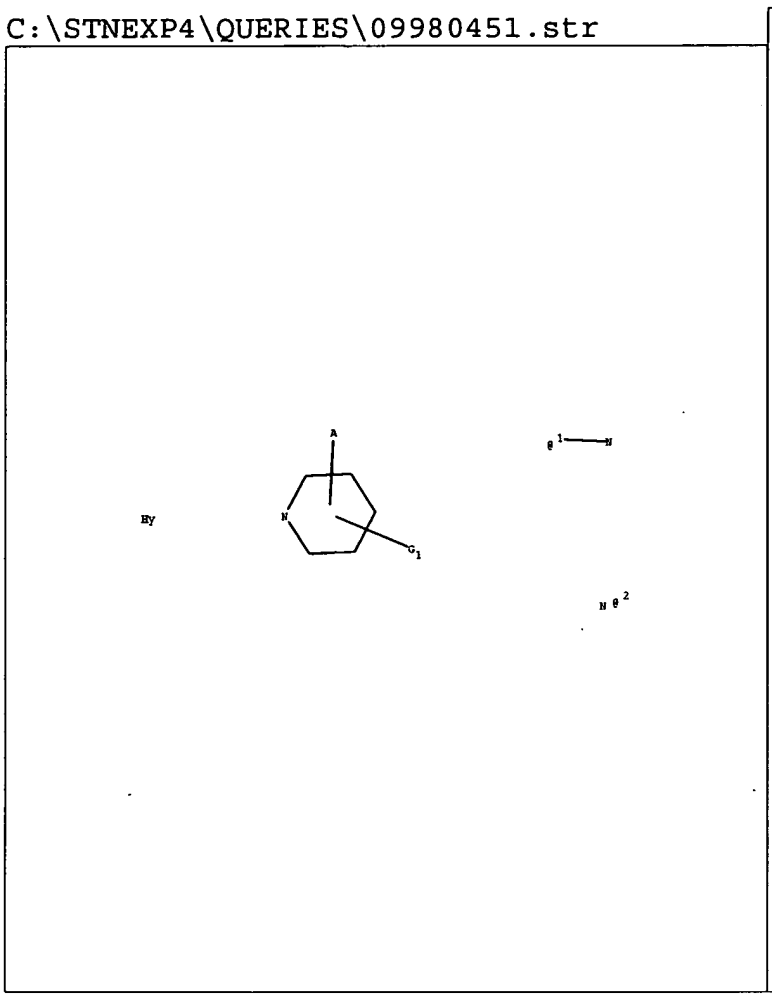

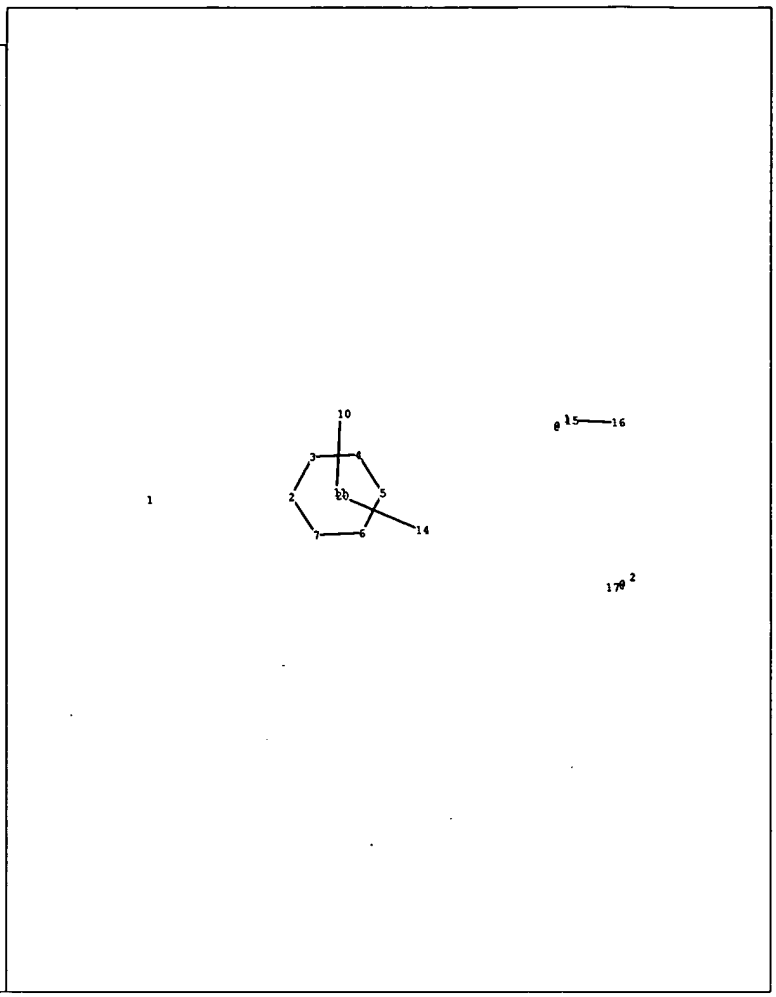

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chain nodes :
    1  10  14  15
ring nodes :
    2  3  4  5  6  7  16  17
chain bonds :
    15-16
ring bonds :
    2-7  2-3  3-4  4-5  5-6  6-7
exact/norm bonds :
    2-7  2-3  3-4  4-5  5-6  6-7  15-16
isolated ring systems :
    containing 2 :


G1:[*1],[*2]

G2:C,S

Match level :
    1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  10:CLASS
    11:CLASS  14:CLASS  15:CLASS  16:Atom  17:Atom  20:CLASS
Generic attributes :
    1:
    Type of Ring System    : Polycyclic

Element Count :
    Node 1: Limited
        0,01
```

```
=> d his

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     FILE 'REGISTRY' ENTERED AT 12:07:02 ON 23 SEP 2003

     FILE 'STNGUIDE' ENTERED AT 12:14:26 ON 23 SEP 2003

     FILE 'REGISTRY' ENTERED AT 12:16:54 ON 23 SEP 2003

     FILE 'STNGUIDE' ENTERED AT 12:18:05 ON 23 SEP 2003

     FILE 'REGISTRY' ENTERED AT 12:19:18 ON 23 SEP 2003
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L2               SCREEN 1840
L3               STRUCTURE UPLOADED
L4               QUE L3 AND L2
L5             1 S L4
L6             1 S L4  SUB=L1 SAM
L7           226 S L4  SUB=L1 FUL

     FILE 'CAPLUS' ENTERED AT 12:31:11 ON 23 SEP 2003
L8            36 S L7
L9            15 S VERSCHUEREN W?/AU
L10            1 S L8 AND L9
                 SELECT RN L10 1-

     FILE 'REGISTRY' ENTERED AT 12:31:49 ON 23 SEP 2003
L11           52 S E1-52
L12           27 S L11 AND NRS>2
L13           25 S L11 NOT L12

     FILE 'CAPLUS' ENTERED AT 12:32:53 ON 23 SEP 2003
L14            2 S L12
L15           37 S L8 OR L14

=> d l4
L4 HAS NO ANSWERS
L2               SCR 1840
L3               STR
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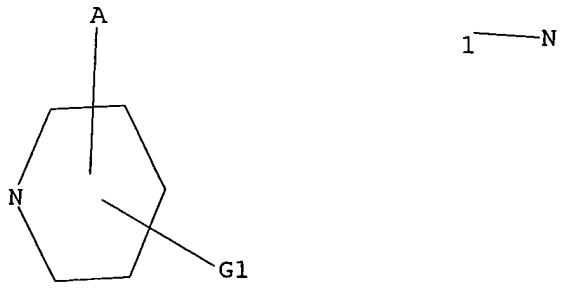


Hy

G1 [@1],[@2]

G2 C,S

Structure attributes must be viewed using STN Express query preparation.
L4 QUE ABB=ON PLU=ON L3 AND L2

=> d ibib abs hitstr l15 1-37

L15 ANSWER 1 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:472358 CAPLUS
DOCUMENT NUMBER: 139:53025
TITLE: Preparation of vanilloid receptor ligands and their use in treatments
INVENTOR(S): Bo, Yunxin Y.; Chakrabarti, Partha P.; Chen, Ning; Doherty, Elizabeth M.; Fotsch, Christopher H.; Han, Nianhe; Kelly, Michael G.; Liu, Qingyian; Norman, Mark Henry; Wang, Xianghong; Zhu, Jiawang
PATENT ASSIGNEE(S): Amgen Inc., USA; Ognyanov, Vassil I.; et al.
SOURCE: PCT Int. Appl., 611 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

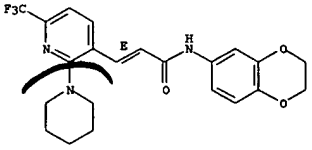
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003049702	A2	20030619	WO 2002-US39589	20021210

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-339161P P 20011210
US 2001-344737P P 20011221
US 2002-383331P P 20020522
US 2002-402422P P 20020808
OTHER SOURCE(S): MARPAT 139:53025
AB Claimed are compds. having the general structure R1CR2:CR3C(:X)YR4 or R1R2CHCR3R3C(:X)YR4 (I; variables defined below; e.g. (2E)-3-[4-(tert-butyl)phenyl]-N-phenylprop-2-enamide and (2,3-dihydrobenzo[1,4]dioxin-6-yl)[4-(4-dimethylaminophenyl)pyridin-2-yl]amine) and compns. contg. them, for the treatment of acute, inflammatory and neuropathic pain, dental pain, general headache, migraine, cluster headache, mixed-vascular and nonvascular syndromes, tension headache, , general inflammation arthritis, rheumatic diseases, osteoarthritis, inflammatory bowel disorders, inflammatory eye disorders,inflammatory or unstable bladder disorders, psoriasis, skin complaints with inflammatory components, chronic inflammatory conditions, inflammatory pain and assocd. hyperalgesia and allodynia, neuropathy pain and assocd. hyperalgesia and allodynia, diabetic neuropathy pain, causalgia, sympathetically maintained pain, deafferentiation syndromes, asthma, epithelial tissue damage or dysfunction, herpes simplex, disturbances of visceral motility at respiratory, genitourinary, gastrointestinal or vascular regions, wounds, burns, allergic skin reactions, pruritis, vitiligo, general gastrointestinal disorders, gastric ulceration, duodenal ulcers, diarrhea, gastric lesions induced by necrotising agents, hair growth, vasomotor or allergic rhinitis, bronchial disorders or bladder disorders. I are

L15 ANSWER 1 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
thought to be vanilloid receptor ligands, but no test data are provided. Although the methods of prepn. are not claimed, .apprx.130 example prepns. and characterization data for .apprx.400 I are included. For I: R1 is Ph, naphthyl or (un)satd. 5- or 6-membered ring heterocycle; R2 is H, hydroxy, halo, C1-6alkyl, or (un)satd. 5- or 6-membered ring heterocycle; or R1 and R2 together are o-benzenediyl-L1-o-benzenediyl. R3 is H or C1-4alkyl; or R1 and R3 together are o-benzenediyl-L2- or -Z-L2- (Z = pyridine-2,3-diyl). R4 is Ph, (un)satd. 5- or 6-membered ring heterocycle, 10-membered bicyclic ring comprising fused 6-membered rings, contg. 0-4 N atoms with the remainder being C atoms, with at least one of the 6-membered rings being arom.; X is O, S or NRa; or X and R2 together are :N-CH:CH-, :C-O-, :C-S-, or :C-NRa-; Y is NH or O; addnl. details including provisos are given in the claims.
IT 545398-77-4P, (2E)-N-(2,3-Dihydro-1,4-benzodioxin-6-yl)-3-(2-(piperidino)-6-(trifluoromethyl)pyridin-3-yl)prop-2-enamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of vanilloid receptor ligands and their use in medical treatments)
RN 545398-77-4 CAPLUS
CN 2-Propenamide, N-(2,3-dihydro-1,4-benzodioxin-6-yl)-3-[2-(1-piperidinyl)-6-(trifluoromethyl)-3-pyridinyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L15 ANSWER 2 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:389980 CAPLUS
DOCUMENT NUMBER: 138:401612
TITLE: Preparation of carbostyryl derivatives and their use as oxytocin antagonists and therapeutics for treatment of premature delivery, miscarriage, dysmenorrhea, and galactorrhea
INVENTOR(S): Shiraiwa, Masafumi; Ota, Shuji; Takefuchi, Ken; Uchida, Hiroshi; Saegusa, Mamoru; Mitsubori, Tomohiro; Yoshizawa, Masayuki
PATENT ASSIGNEE(S): Teikoku Hormone Mfg. Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 142 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003146972	A2	20030521	JP 2001-348850	20011114

PRIORITY APPLN. INFO.: JP 2001-348850 20011114
OTHER SOURCE(S): MARPAT 138:401612
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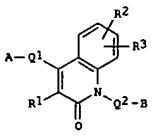
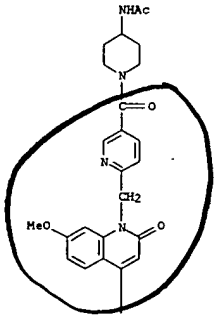


I

AB Title derivs. I [Q1 = bond, CH2, CH2CH2, vinyl, CHMe, etc.; A = lower alkyl, (un)substituted cycloalkyl (condensed with hydrocarbyl ring), (un)substituted aryl, (un)substituted heterocyclyl (condensed with hydrocarbyl ring); R1 = H, lower alkyl; R2, R3 = H, (un)substituted lower alkyl(oxy), aralkyloxy, piperidinyl, etc.; R2R3 may be linked to form lower alkylenedioxy; Q2 = bond, CH2, CH2CH2, etc.; B = CO2H, lower alkoxycarbonyl, (un)substituted 2-pyridinyl, (un)substituted Ph, (un)substituted cyclohexyl, etc.] or their salts are claimed. The derivs. are also useful for termination of delivery prior to Caesarean section. Thus, 4-(2,3-dimethoxyphenyl)-7-methoxy-2-oxoquinoline was treated with Me 4-bromomethylbenzoate to give 56% I (AQ1 = 2,3-dimethoxyphenyl, R1-R3 = H, Q2B = 4-CH2C6H4CO2Me), which inhibited binding of [3H]-oxytocin to its receptor with IC50 of 0.972 .mu.mol/L.
IT 528826-56-4P 528826-68-8P 528826-71-3P
528826-72-4P 528826-74-6P 528826-76-8P
528826-78-0P 528826-82-6P 528826-83-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of carbostyryl derivs. as oxytocin antagonists)
RN 528826-56-4 CAPLUS
CN Acetamide, N-[1-[[6-[[4-(2,3-dihydro-1,4-benzodioxin-5-yl)-7-methoxy-2-oxo-

L15 ANSWER 2 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
1(2H)-quinolinyl]methyl]-3-pyridinyl]carbonyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

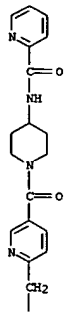


PAGE 2-A

RN 528826-68-8 CAPLUS
CN 2-Pyridinecarboxamide, N-[1-[[6-[[4-(2,3-dihydro-1,4-benzodioxin-5-yl)-7-methoxy-2-oxo-1(2H)-quinolinyl]methyl]-3-pyridinyl]carbonyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

L15 ANSWER 2 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



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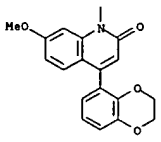
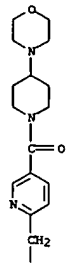


RN 528826-71-3 CAPLUS
CN Piperidine, 1-[[6-[[4-(2,3-dihydro-1,4-benzodioxin-5-yl)-7-methoxy-2-oxo-1(2H)-quinolinyl]methyl]-3-pyridinyl]carbonyl]-4-(4-morpholinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L15 ANSWER 2 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



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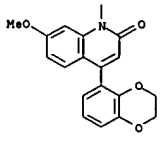
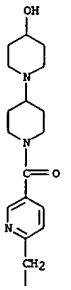


● HCl

RN 528826-72-4 CAPLUS
CN [1,4'-Bipiperidin]-4-ol, 1'-[[6-[[4-(2,3-dihydro-1,4-benzodioxin-5-yl)-7-methoxy-2-oxo-1(2H)-quinolinyl]methyl]-3-pyridinyl]carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

L15 ANSWER 2 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



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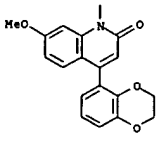
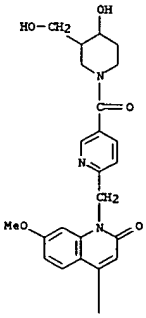


● HCl

RN 528826-74-6 CAPLUS
CN 3-Piperidinemethanol, 1-[[6-[[4-(2,3-dihydro-1,4-benzodioxin-5-yl)-7-methoxy-2-oxo-1(2H)-quinolinyl]methyl]-3-pyridinyl]carbonyl]-4-hydroxy- (9CI) (CA INDEX NAME)

L15 ANSWER 2 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A

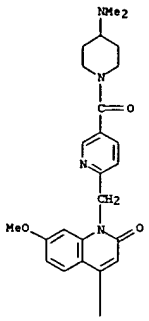


PAGE 2-A

RN 528826-76-8 CAPLUS
CN 4-Piperidinamine, 1-[[6-[[4-(2,3-dihydro-1,4-benzodioxin-5-yl)-7-methoxy-2-oxo-1(2H)-quinolinyl]methyl]-3-pyridinyl]carbonyl]-N,N-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)

L15 ANSWER 2 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



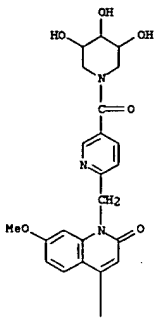
PAGE 2-A

● HCl

RN 528826-78-0 CAPLUS
CN 3,4,5-Piperidinetriol, 1-[[6-[[4-(2,3-dihydro-1,4-benzodioxin-5-yl)-7-methoxy-2-oxo-1(2H)-quinolinyl]methyl]-3-pyridinyl]carbonyl]- (9CI) (CA INDEX NAME)

L15 ANSWER 2 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A

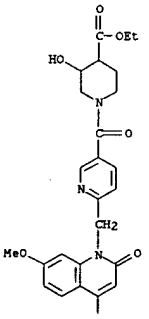


PAGE 2-A

RN 528826-82-6 CAPLUS
CN 4-Piperidinecarboxylic acid, 1-[[6-[[4-(2,3-dihydro-1,4-benzodioxin-5-yl)-7-methoxy-2-oxo-1(2H)-quinolinyl]methyl]-3-pyridinyl]carbonyl]-3-hydroxy-, ethyl ester (9CI) (CA INDEX NAME)

L15 ANSWER 2 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



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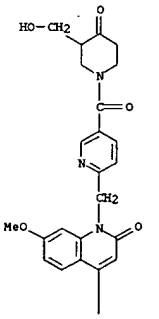
RN 528826-83-7 CAPLUS
CN 4-Piperidinone, 1-[[6-[[4-(2,3-dihydro-1,4-benzodioxin-5-yl)-7-methoxy-2-oxo-1(2H)-quinolinyl]methyl]-3-pyridinyl]carbonyl]-3-(hydroxymethyl)- (9CI) (CA INDEX NAME)

L15 ANSWER 2 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A

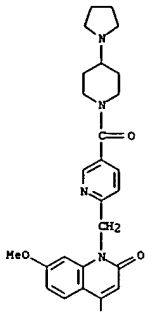


PAGE 2-A

IT **528826-60-0 528826-61-1 528826-62-2**
528826-63-3 528826-70-2
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prepn. of carbostyryl derivs. as oxytocin antagonists)
RN 528826-60-0 CAPLUS
CN Piperidine, 1-[[6-[[4-(2,3-dihydro-1,4-benzodioxin-5-yl)-7-methoxy-2-oxo-1(2H)-quinolinyl]methyl]-3-pyridinyl]carbonyl]-4-(1-pyrrolidinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

L15 ANSWER 2 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



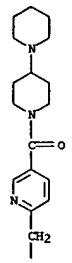
PAGE 2-A

●2 HCl

RN 528826-61-1 CAPLUS
CN 1,4'-Bipiperidine, 1'-[[6-[[4-(2,3-dihydro-1,4-benzodioxin-5-yl)-7-methoxy-2-oxo-1(2H)-quinolinyl]methyl]-3-pyridinyl]carbonyl]-, dihydrochloride (9CI) (CA INDEX NAME)

L15 ANSWER 2 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



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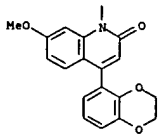
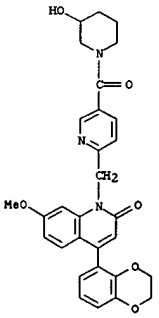


●2 HCl

RN 528826-62-2 CAPLUS
CN 3-Piperidinol, 1-[[6-[[4-(2,3-dihydro-1,4-benzodioxin-5-yl)-7-methoxy-2-oxo-1(2H)-quinolinyl]methyl]-3-pyridinyl]carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

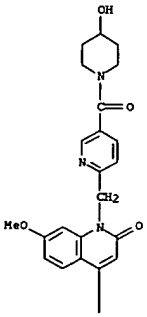
L15 ANSWER 2 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



● HCl

RN 528826-63-3 CAPLUS
CN 4-Piperidinol, 1-[[6-[[4-(2,3-dihydro-1,4-benzodioxin-5-yl)-7-methoxy-2-oxo-1(2H)-quinolinyl]methyl]-3-pyridinyl]carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



L15 ANSWER 2 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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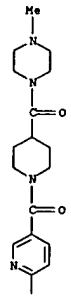
● HCl

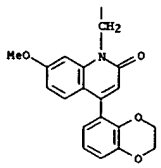
RN 528826-70-2 CAPLUS
CN Piperazine, 1-[[1-[[6-[[4-(2,3-dihydro-1,4-benzodioxin-5-yl)-7-methoxy-2-oxo-1(2H)-quinolinyl]methyl]-3-pyridinyl]carbonyl]-4-piperidinyl]carbonyl]-4-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



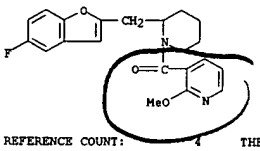
L15 ANSWER 2 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 2-A



● HCl

L15 ANSWER 3 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of aroylazoles and aroylazines as orexin receptor antagonists)
RN 483279-97-6 CAPLUS
CN Piperidine, 2-[(5-fluoro-2-benzofuranyl)methyl]-1-[(2-methoxy-3-pyridinyl)carbonyl]- (9CI) (CA INDEX NAME)



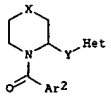
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 3 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:22875 CAPLUS
DOCUMENT NUMBER: 138:89803
TITLE: Preparation of aroylazoles and aroylazines as orexin receptor antagonists
INVENTOR(S): Branch, Clive Leslie; Chan, Wai Ngor; Johns, Amanda; Johnson, Christopher Norbert; Nash, David John; Novelli, Riccardo; Pilleux, Jean-Pierre; Porter, Roderick Alan; Stead, Rachel Elizabeth Anne; Stemp, Geoffrey
PATENT ASSIGNEE(S): SmithKline Beecham P.L.C., UK
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003002561	A1	20030109	WO 2002-EP7009	20020625

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2001-15863 A 20010628
GB 2001-30342 A 20011219
OTHER SOURCE(S): MARPAT 138:89803
GI



I

AB Title compds. [I; X = bond, O, NR3, (CH2)n; n = 1-3; Y = CH2, CO, CH(OH), CH2CH(OH); Het = (substituted) bicyclic heteroaryl group contg. .ltoreq.4 N, O,d S; Ar2 = (substituted) Ph, 5-6 membered heterocyclyl contg. .ltoreq.3 N, O, S; with provisos], were prepd. as orexin-1 receptor antagonists (no data). Thus, 5-(4-fluorophenyl)-2-methylthiazole-4-carbonyl chloride, 2-(2-benzofurylmethyl)piperidine, and Et3N were shaken 30 min. in CH2Cl2 to give 24% 2-(2-benzofurylmethyl)-1-[[5-(4-fluorophenyl)-2-methylthiazol-4-yl]carbonyl]piperidine.
IT 483279-97-6P

L15 ANSWER 4 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:22870 CAPLUS
DOCUMENT NUMBER: 138:89820
TITLE: Preparation of heteroaryl derivatives as 5-HT1A antagonists, potent serotonin reuptake inhibitors, and which show affinity for the dopamine D4 receptor
INVENTOR(S): Rottlaender, Mario; Moltzen, Ejner Knud; Mikkelsen, Ivan; Ruhland, Thomas; Andersen, Kim; Krog-Jensen, Christian
PATENT ASSIGNEE(S): H. Lundbeck A/S, Den.
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003002556	A1	20030109	WO 2002-DK435	20020627

W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: DK 2001-1036 A 20010629
OTHER SOURCE(S): MARPAT 138:89820
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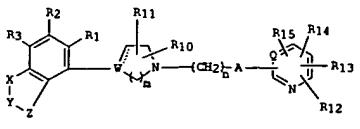


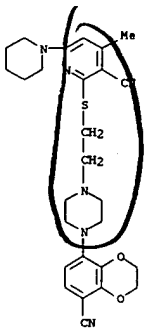
I

AB Heteroaryl derivs. [I; wherein A = O, S; n = 2, 3, 4, 5, 6, 7, 8, 9, 10; m = 2, 3; W, Q, independently = N, C, CH; X = O, amino, S, CR4R5; Y = CR6R7, CR6R7-CR8R9, CR6:CR7, COCR6R7; or X and Y together form a group CR4:CR5, CR4:CR5-CR6R7; Z = O, S; R1, R2, R3, R4, R5, R6, R7, R8, R9, independently = H, (C1-C6)alkyl, (C2-C6)alkenyl, (C2-C6)alkynyl, (C3-C8)cycloalkyl-(C1-C6)alkyl, aryl(C1-C6)alkyl, acyl, etc.; R10, R11, independently = H, (C1-C6)alkyl, or may together form a bridge consisting of two or three methylene groups; R12, R13, R14, R15 = H, halo, cyano, nitro, hydroxy, (C1-C6)alkyl, (C1-C6)alkoxy, etc.] were prepd. For example,

L15 ANSWER 4 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
4,6-dimethyl-2-(2-oxoethylsulfanyl)nicotinonitrile (synthetic prepn. given) is reacted with 4-(2,3-dihydrobenzo[1,4]dioxin-5-yl)piperazine to give 2-(2-[4-(2,3-dihydrobenzo[1,4]dioxin-5-yl)piperazin-1-yl]ethylsulfanyl)-6-methylnicotinonitrile (II). The prepd. compds. are potent serotonin reuptake inhibitors and exhibit high affinity for 5-HT1A receptors and the dopamine D4 receptor and, thus, are useful for the treatment of affective disorders such as general anxiety disorder, panic disorder, obsessive compulsive disorder, depression, social phobia and eating disorders, and neurol. disorders such as psychosis. For example, compd. II showed good inhibition of 3H-5-HT uptake into rat brain synaptosomes (IC50 < 20 nM).

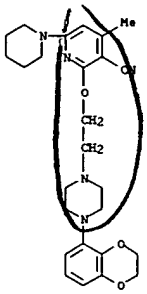
IT **484030-69-5P 484030-79-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzodioxinyl piperazinyl heteroaryl derivs. as 5-HT1A antagonists, potent serotonin reuptake inhibitors, and which show affinity for dopamine D4 receptor)

RN 484030-69-5 CAPLUS
CN 3-Pyridinecarbonitrile, 2-[[2-[4-(8-cyano-2,3-dihydro-1,4-benzodioxin-5-yl)-1-piperazinyl]ethyl]thio]-4-methyl-6-(1-piperidinyl)- (9CI) (CA INDEX NAME)



RN 484030-79-7 CAPLUS
CN 3-Pyridinecarbonitrile, 2-[2-[4-(2,3-dihydro-1,4-benzodioxin-5-yl)-1-piperazinyl]ethoxy]-4-methyl-6-(1-piperidinyl)- (9CI) (CA INDEX NAME)

L15 ANSWER 4 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



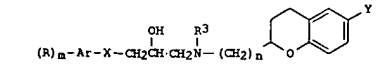
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 5 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:465994 CAPLUS
DOCUMENT NUMBER: 137:33326
TITLE: Preparation of chiral alkylaminochroman derivatives as .beta.3 adrenoreceptor agonists
INVENTOR(S): Ladouceur, Gaetan H.; Bullock, William H.; Magnuson, Steven R.; O'Connor, Stephen J.; Smith, Roger A.; Shen, Quanrong; Liu, Quingjie; Su, Ning; Velthuisen, Emil J.; Campbell, Ann-Marie; Ehrlich, Paul P.
PATENT ASSIGNEE(S): Bayer Corporation, USA
SOURCE: PCT Int. Appl., 139 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002048134	A2	20020620	WO 2001-US46623	20011207
WO 2002048134	A3	20030206		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002028816	A5	20020624	AU 2002-28816	20011207
US 2003078258	A1	20030424	US 2001-9928	20011207
EP 1343778	A2	20030917	EP 2001-989934	20011207
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: US 2000-254735P P 20001211
WO 2001-US46623 W 20011207
OTHER SOURCE(S): MARPAT 137:33326
GI

L15 ANSWER 5 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



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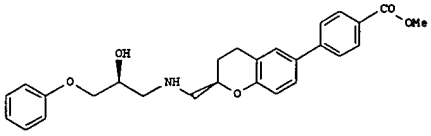


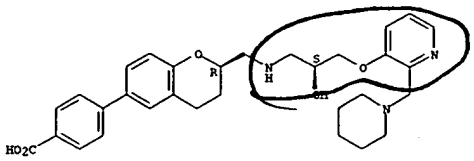
AB Title compds. [I; Ar = C6H5, heterocycle, benzoheterocycle; Y = halo, OR1, COOR1, CH2CH2COOH, 4-C6H4COOH, 4-C6H4COOCH3, 3-C6H4COOH, 2-naphthyl-6-carboxylic acid, etc.; m = 0, 1, 2, 3, 4, 5; n = 1, 2, 3; X = O, S, S:O, SO2; R = OH, halo, CN, NO2, CF3; R1 = H, (CH2)nO(CH2)nCOOH, (CH2)nO(CH2)nH; R2 = R1, OR1, NR1R1, alkoxy, halo, NO2; R3 = H, alkyl, C6H5CH2, COR2] are prepd. as .beta.3 adrenergic receptor agonists. Title compds. I are useful in a pharmaceutical compn. for the treatment of diabetes, impaired fasting glucose, impaired glucose tolerance, obesity, hypertriglyceridemia, hypercholesterolemia, hypercholesterolemia, lowering high-d. lipoprotein levels, atherosclerosis, cardiovascular diseases and related diseases, gastrointestinal disorders, neuro genetic inflammation, ocular hypertension, glaucoma, urol. disorders, benign prostatic hyperplasia, and, incontinence. Thus, the title compd. II was prepd. from (2R)-t-iodo-3,4-dihydro-2H-chroman-2-carboxylic acid, Me 4-iodobenzoate, and (2S)-1-amino-3-phenoxy-2-propanol via redn. and condensation. The title compd. II was tested for .beta.3 agonistic activity with EC50 .ltoreq. 1.mu.M.

IT **437766-78-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of chiral aminoalkylchroman derivs. as .beta.3 adrenoreceptor agonists)

RN 437766-78-4 CAPLUS
CN Benzoic acid, 4-[(2R)-3,4-dihydro-2-[[[(2S)-2-hydroxy-3-[[2-(1-piperidinylmethyl)-3-pyridinyl]oxy]propyl]amino]methyl]-2H-1-benzopyran-6-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L15 ANSWER 5 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



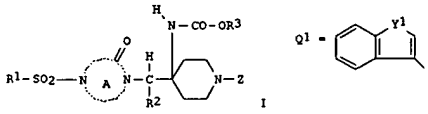
● HCl

L15 ANSWER 6 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:368468 CAPLUS
DOCUMENT NUMBER: 136:386135
TITLE: Preparation of carbamate derivatives as inhibitors of activated blood coagulation factor X
INVENTOR(S): Itoh, Fumio; Banno, Hiroshi; Kawamura, Masaki; Kitamura, Shuji
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 111 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002038560	A1	20020516	WO 2001-JP9759	20011108
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002014266	A5	20020521	AU 2002-14266	20011108
JP 2002220385	A2	20020809	JP 2001-343474	20011108
EP 1340753	A1	20030903	EP 2001-982745	20011108
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: JP 2000-341067 A 20001108
WO 2001-JP9759 W 20011108
OTHER SOURCE(S): MARPAT 136:386135
GI

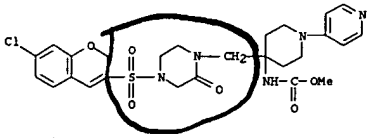


I

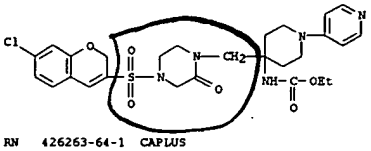
AB The title compds. I [R1 represents an optionally substituted group represented by Q1, etc.; Y1 represents CH:CH, etc.; the ring A represents an oxo-substituted nitrogen-contg. heterocycle optionally further substituted; R2 represents hydrogen, optionally substituted C1-4 alkyl, etc.; R3 represents optionally substituted C1-4 alkyl, etc.; and Z represents an optionally substituted nitrogen contg. heterocyclic group, etc.] are prepd. The process for prepg. I is disclosed. 4-(6-Chloronaphthalene-2-sulfonyl)-1-{4-ethoxycarbonylamino-1-(4-pyridyl)-4-piperidylmethyl}-2-piperazinone showed IC50 of 0.0046 .mu.M against blood-coagulation factor Xa. Formulations are given.

IT **426263-62-9P 426263-63-0P 426263-64-1P**
426263-73-2P 426263-76-5P 426263-77-6P
426263-81-2P 426263-82-3P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of carbamate derivs. as inhibitors of activated blood coagulation factor X)

RN 426263-62-9 CAPLUS
CN Carbamic acid, [4-[[4-[(7-chloro-2H-1-benzopyran-3-yl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-(4-pyridinyl)-4-piperidinyl]-, methyl ester (9CI) (CA INDEX NAME)

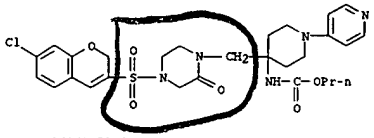


RN 426263-63-0 CAPLUS
CN Carbamic acid, [4-[[4-[(7-chloro-2H-1-benzopyran-3-yl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-(4-pyridinyl)-4-piperidinyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 426263-64-1 CAPLUS
CN Carbamic acid, [4-[[4-[(7-chloro-2H-1-benzopyran-3-yl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-(4-pyridinyl)-4-piperidinyl]-, propyl ester (9CI) (CA INDEX NAME)

L15 ANSWER 6 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 426263-73-2 CAPLUS
CN Carbamic acid, [4-[[4-[(7-chloro-2H-1-benzopyran-3-yl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-(4-pyridinyl)-4-piperidinyl]-, 2-(1-pyrrolidinyl)ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

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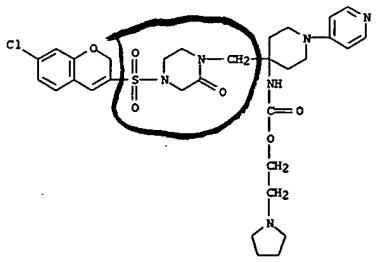
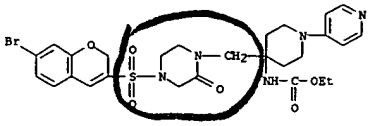


PAGE 2-A

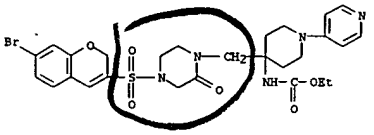
●2 HCl

RN 426263-76-5 CAPLUS
CN Carbamic acid, [4-[[4-[(7-bromo-2H-1-benzopyran-3-yl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-(4-pyridinyl)-4-piperidinyl]-, ethyl ester (9CI) (CA INDEX NAME)

L15 ANSWER 6 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

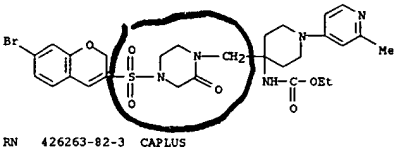


RN 426263-77-6 CAPLUS
CN Carbamic acid, [4-[[4-[(7-bromo-2H-1-benzopyran-3-yl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-(4-pyridinyl)-4-piperidinyl]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

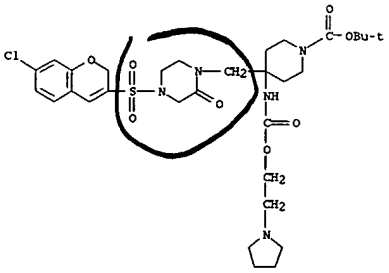
RN 426263-81-2 CAPLUS
CN Carbamic acid, [4-[[4-[(7-bromo-2H-1-benzopyran-3-yl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-(2-methyl-4-pyridinyl)-4-piperidinyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 426263-82-3 CAPLUS
CN Carbamic acid, [4-[[4-[(7-bromo-2H-1-benzopyran-3-yl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-(2-methyl-4-pyridinyl)-4-piperidinyl]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)

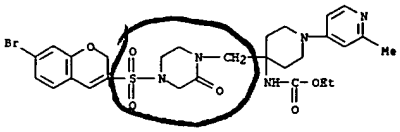
L15 ANSWER 6 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

CN 1-Piperidinecarboxylic acid, 4-[[4-[(7-chloro-2H-1-benzopyran-3-yl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-4-[[[2-(1-pyrrolidinyl)ethoxy]carbonyl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

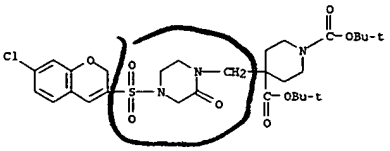
L15 ANSWER 6 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



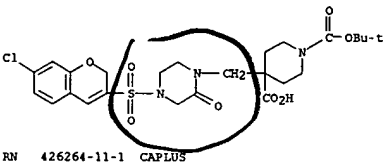
●x HCl

IT 426263-94-7P 426263-95-8P 426264-11-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of carbamate derivs. as inhibitors of activated blood coagulation factor X)

RN 426263-94-7 CAPLUS
CN 1,4-Piperidinedicarboxylic acid, 4-[[4-[(7-chloro-2H-1-benzopyran-3-yl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)



RN 426263-95-8 CAPLUS
CN 1,4-Piperidinedicarboxylic acid, 4-[[4-[(7-chloro-2H-1-benzopyran-3-yl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-, 1-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

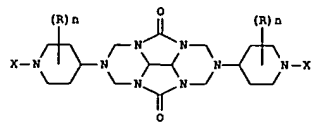


RN 426264-11-1 CAPLUS

L16 ANSWER 7 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:185123 CAPLUS
DOCUMENT NUMBER: 136:232309
TITLE: Preparation and pharmaceutical compositions of soluble compounds for the inhibition of multidrug resistance
INVENTOR(S): Seprodi, Janos; Sarkadi, Balazs; Hegedus, Tamas; Keri, Gyoergy; Orfi, Laszlo; Idei, Miklos; Hollosy, Ferenc; Teplan, Istvan; Okada, Yoshio
PATENT ASSIGNEE(S): Solvo Biotechnology Inc., Hung.
SOURCE: PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002020527	A1	20020314	WO 2001-HU90	20010907
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001086131	A5	20020322	AU 2001-86131	20010907
PRIORITY APPLN. INFO.:			HU 2000-3554	A 20000908
			WO 2001-HU90	W 20010907

OTHER SOURCE(S): MARPAT 136:232309
GI



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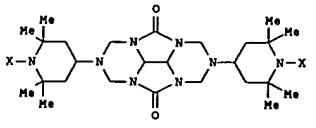


II

AB Piperidyl substituted hexahydro-1H,4H,5H,8H-2,3a,4a,6,7a,8a-hexaazacyclopenta[def]fluorene-4,8-diones, such as I [R = H, alkyl, alkoxy; X = acyl, acyl from a protected amino acid; n = 0-8], were prepd. for the inhibition of resistance developed against certain therapeutic agents. Thus, II [X = COCH(NH-Fmoc)CH2CO2CMe3] was prepd. by reacting

L15 ANSWER 7 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
N-(9-fluorenylmethoxycarbonyl)aspartic acid 4-tert-Bu ester with II (X = H) using dicyclohexylcarbodiimide in DMF. The prepd. heterocycles were screened for their ability to reduce the activity of multidrug resistance proteins, MDR1, MRP1 and MRP2, by measuring ATPase activity of the multidrug transporter proteins or by measuring the level of a fluorescent indicator extruded by the transporter proteins.

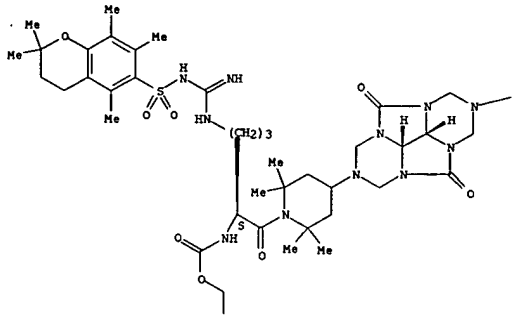
IT 403508-09-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and pharmaceutical compns. of sol. compds. for the inhibition of multidrug resistance)

RN 403508-09-8 CAPLUS

CN Carbamic acid, [(cis-dihydro-4,8-dioxo-1H,4H,5H,8H-2,3a,4a,6,7a,8a-hexaazacyclopenta[def]fluorene-2,6(3H,7H)-diyl)bis[(2,2,6,6-tetramethyl-4,1-piperidinediyl)[(1S)-1-[3-[[[[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-yl)sulfonyl]amino]iminomethyl]amino]propyl]-2-oxo-2,1-ethanediyl]]]bis-, bis(9H-fluoren-9-ylmethyl) ester (9CI) (CA INDEX NAME)

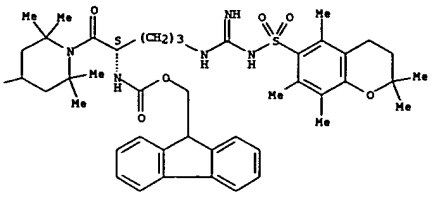
Absolute stereochemistry.

PAGE 1-A

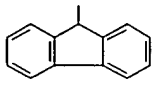


L15 ANSWER 7 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-B



PAGE 2-A



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 8 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:72049 CAPLUS
DOCUMENT NUMBER: 136:134784
TITLE: Preparation of hydrocarbyl sulfone derivatives as inhibitors of activated blood coagulation factor X and process for their production
INVENTOR(S): Kubo, Keiji; Miyawaki, Toshio; Kawamura, Masaki
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 252 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002006234	A1	20020124	WO 2001-JP6148	20010717
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001069531	A5	20020130	AU 2001-69531	20010717
JP 2002201178	A2	20020716	JP 2001-216830	20010717
EP 1302462	A1	20030416	EP 2001-948032	20010717
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: JP 2000-221065 A 20000717
WO 2001-JP6148 W 20010717

OTHER SOURCE(S): MARPAT 136:134784

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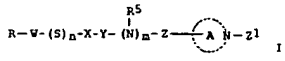


I

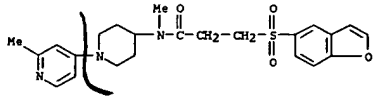
AB Compds. represented by the general formula (I) or salts thereof [wherein R = (un)substituted cyclic hydrocarbyl or heterocyclyl; W = a bond, (un)substituted divalent hydrocarbon chain; X = (un)substituted divalent hydrocarbon group; Y, Z = NR6, CO, SO, SO2, CH2, NR6CO, COCH2, a bond; ring A = (un)substituted N-contg. heterocyclyl; R5, R6 = H, (un)substituted hydrocarbyl, (un)substituted alkoxy, optionally esterified or amidated carboxyl, (un)substituted acyl; or R5 is linked to the substituent of X or that of the ring A to form a ring; Z1 = (un)substituted imidoyl or N-contg. heterocyclyl; n = 0,1,2; m = 0,1] or salts thereof, which inhibit activated blood coagulation factor X (no data), are prepd. These compds. are useful as anticoagulants for the treatment or prevention of myocardial infarction, cerebral thrombosis, deep venous thrombosis, pulmonary thromboembolism, or thromboembolism during or after surgery. Thus, a soln. of 3-[(6-chloro-2-naphthyl)sulfonyl]propanoic acid (prepn. given), 4-methylamino-1-(2-methyl-

L15 ANSWER 8 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
4-pyridyl)piperidine (prepn. given), DMTMM in THF was stirred at room temp. for 16 h to give 38% 3-[(6-chloro-2-naphthyl)sulfonyl]-N-methyl-N-[1-(2-methyl-4-pyridyl)-4-piperidinyl]propanamide (II). A capsule and tablet formulation contg. II were prepd.

IT 392329-04-3P, 3-[(5-Benzofuranyl)sulfonyl]-N-methyl-N-[1-(2-methyl-4-pyridyl)-4-piperidinyl]propanamide 392329-34-9P, 3-[(7-Bromo-2H-chromen-3-yl)sulfonyl]-N-methyl-N-[1-(2-methyl-4-pyridyl)-4-piperidinyl]propanamide 392329-96-3P, 3-[(5-Chloro-2-benzofuranyl)sulfonyl]-N-methyl-N-[1-(2-methyl-4-pyridyl)-4-piperidinyl]propanamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of hydrocarbyl sulfone derivs. as inhibitors of activated blood coagulation factor X and anticoagulants for therapeutic agents)

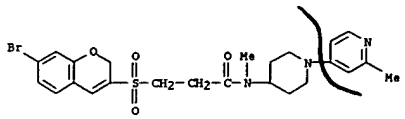
RN 392329-04-3 CAPLUS

CN Propanamide, 3-(5-benzofuranylsulfonyl)-N-methyl-N-[1-(2-methyl-4-pyridinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



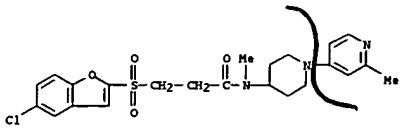
RN 392329-34-9 CAPLUS

CN Propanamide, 3-[(7-bromo-2H-1-benzopyran-3-yl)sulfonyl]-N-methyl-N-[1-(2-methyl-4-pyridinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 392329-96-3 CAPLUS

CN Propanamide, 3-[(5-chloro-2-benzofuranyl)sulfonyl]-N-methyl-N-[1-(2-methyl-4-pyridinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 9 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:935609 CAPLUS
DOCUMENT NUMBER: 136:69813
TITLE: Preparation of dioxinopyridines and related compounds for treating impaired fundic relaxation.
INVENTOR(S): van Emelen, Kristof; Leopold de Bruyn, Marcel Frans; Alcazar-Vaca, Manuel Jesus; Andres-Gil, Jose Ignacio; Fernandez-Gadea, Francisco Javier; Matesanz-Ballesteros, Maria Encarnacion; Bartolome-Nebreda, Jose Manuel
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001098306	A1	20011227	WO 2001-EP6749	20010613
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1296987	A1	20030402	EP 2001-962742	20010613
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001011859	A	20030513	BR 2001-11859	20010613
BG 107313	A	20030630	BG 2002-107313	20021125
NO 2002006219	A	20030217	NO 2002-6219	20021223

PRIORITY APPLN. INFO.: EP 2000-202180 A 20000622
WO 2001-EP6749 W 20010613
OTHER SOURCE(S): MARPAT 136:69813
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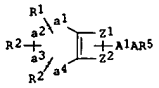
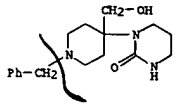


I

AB Title compds. [I; a1:a2a3:a4 = bivalent radical wherein 1-2 of a1-a4 = N, the remaining a1-a4 = CH; Z1Z2 = specified bivalent radical; A = bivalent radical of formula N(R6)A2, 5, 6, or 7-membered satd. heterocycle contg.

L15 ANSWER 9 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
1-2 N atoms; R1, R2, R3 = H, alkyl, alkenyl, alkoxy, OH, halo cyano, amino, etc.; A1, A2 = (substituted) C1-6 alkanediyl], were prepd. Thus, 2,3-dihydro-1,4-dioxino[2,3-b]pyridine-3-methanol mesylate ester (prepn. given), 1-(3-aminopropyl)tetrahydro-2(1H)-pyrimidinone, and CaO were stirred at 100.degree. overnight to give 1-[3-[[(2,3-dihydro-1,4-dioxino[2,3-b]pyridin-3-yl)methyl]amino]propyl]tetrahydro-2(1H)pyrimidinone. This at 0.63 mg/kg s.c. in dogs gave a max. increase in gastric vol. of 156 mL.
IT 312928-40-8P, Tetrahydro-1-[4-(hydroxymethyl)-1-(phenylmethyl)-4-piperidinyl]-2(1H)-pyrimidinone
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of dioxinopyridines and related compds. for treating impaired fundic relaxation)
RN 312928-40-8 CAPLUS
CN 2(1H)-Pyrimidinone, tetrahydro-1-[4-(hydroxymethyl)-1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



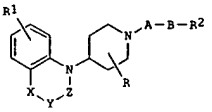
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 10 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:453040 CAPLUS
DOCUMENT NUMBER: 135:61343
TITLE: Preparation of 1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-benzoxazin-2-ones as purinoceptor P2X7 receptor antagonists for use in the treatment of inflammatory, immune, or cardiovascular diseases
INVENTOR(S): Baxter, Andrew; Kindon, Nicholas; Pairaudeau, Garry; Roberts, Bryan; Thom, Stephen
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 127 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001044213	A1	20010621	WO 2000-SE2504	20001212
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000016396	A	20020820	BR 2000-16396	20001212
EP 1242396	A1	20020925	EP 2000-986154	20001212
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003516978	T2	20030520	JP 2001-544703	20001212
US 2003040513	A1	20030227	US 2002-149760	20020613
NO 2002002857	A	20020801	NO 2002-2857	20020614

PRIORITY APPLN. INFO.: SE 1999-4652 A 19991217
WO 2000-SE2504 W 20001212
OTHER SOURCE(S): MARPAT 135:61343
GI

L15 ANSWER 10 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



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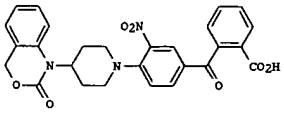
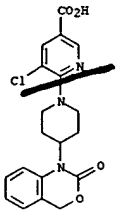


II

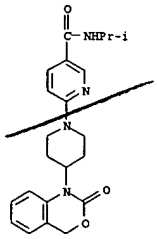
AB Title compds. (I) [wherein A = (un)substituted Ph or 5- or 6-membered heterocycle; B = CO, NH, or SO2; X = CO, CH(Me), O, or (CH2)p; p = 0-1; Y = O, CH2, NH, or S; Z = CO or SO2; R = H or alkyl; R1 = H or halo; R2 = (un)substituted Ph; or a pharmaceutically acceptable salt or solvate] were prepd. purinoceptor P2X7 receptor antagonists. For example, 1-piperidin-1-yl-1,4-dihydro-2H-3,1-benzoxazin-2-one.bul.HCl, 2-(4-chloro-3-nitrobenzyl)benzoic acid, and TEA in DMF were stirred at room temp. for 72 h to give II. Each of the example compds. demonstrated antagonist activity at the P2X7 receptor with pIC50 values > 5.00. Thus, I are particularly useful for effecting immunosuppression or for treating rheumatoid arthritis (no data).
IT 345583-33-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of piperidinylbenzoxazinones P2X7 receptor antagonists via coupling reactions for use in treatment of inflammatory, immune, or cardiovascular diseases)
RN 345583-33-7 CAPLUS
CN 3-Pyridinecarboxylic acid, 5-chloro-6-[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

L15 ANSWER 10 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



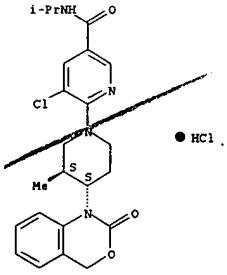
IT 345582-92-5P 345582-93-6P 345583-04-2P
345583-05-3P 345583-09-7P 345583-32-6P
345583-34-8P 345583-35-9P 345583-36-0P
345583-37-1P 345583-38-2P 345583-39-3P
345583-40-6P 345583-64-4P 345583-65-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of piperidinylbenzoxazinones P2X7 receptor antagonists via coupling reactions for use in treatment of inflammatory, immune, or cardiovascular diseases)

RN 345582-92-5 CAPLUS
CN 3-Pyridinecarboxamide, N-(1-methylethyl)-6-[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



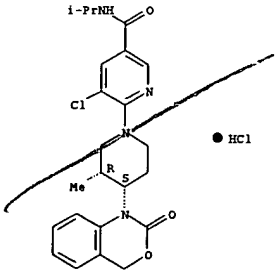
RN 345582-93-6 CAPLUS
CN 3-Pyridinecarboxamide, 5-chloro-N-(1-methylethyl)-6-[4-(2-oxo-2H-3,1-

L15 ANSWER 10 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 345583-09-7 CAPLUS
CN 3-Pyridinecarboxamide, 5-chloro-N-(1-methylethyl)-6-[(3R,4S)-3-methyl-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

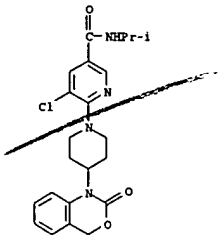
Relative stereochemistry.



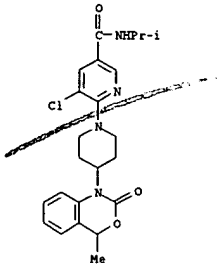
RN 345583-32-6 CAPLUS
CN 3-Pyridinecarboxamide, N-[(1R)-1-(aminocarbonyl)-2-methylpropyl]-5-chloro-6-[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L15 ANSWER 10 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
benzoxazin-1(4H)-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



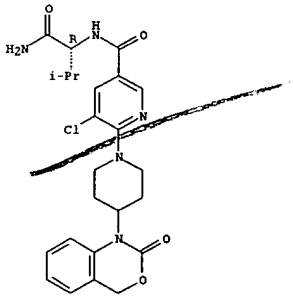
RN 345583-04-2 CAPLUS
CN 3-Pyridinecarboxamide, 5-chloro-N-(1-methylethyl)-6-[4-(4-methyl-2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



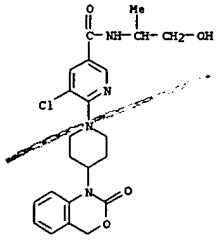
RN 345583-05-3 CAPLUS
CN 3-Pyridinecarboxamide, 5-chloro-N-(1-methylethyl)-6-[(3R,4R)-3-methyl-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L15 ANSWER 10 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

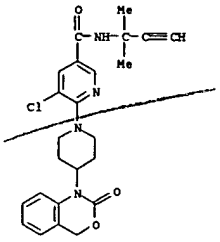


RN 345583-34-8 CAPLUS
CN 3-Pyridinecarboxamide, 5-chloro-N-(2-hydroxy-1-methylethyl)-6-[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

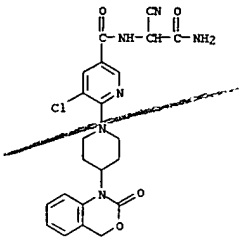


RN 345583-35-9 CAPLUS
CN 3-Pyridinecarboxamide, 5-chloro-N-(1,1-dimethyl-2-propynyl)-6-[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

L15 ANSWER 10 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



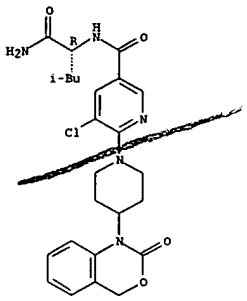
RN 345583-36-0 CAPLUS
CN 3-Pyridinecarboxamide, N-(2-amino-1-cyano-2-oxoethyl)-5-chloro-6-[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 345583-37-1 CAPLUS
CN 3-Pyridinecarboxamide, N-[(1R)-1-(aminocarbonyl)-3-methylbutyl]-5-chloro-6-[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

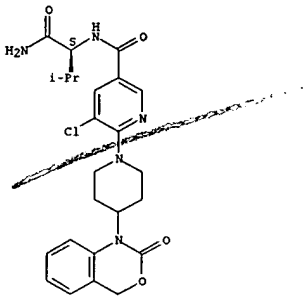
Absolute stereochemistry.

L15 ANSWER 10 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 345583-38-2 CAPLUS
CN 3-Pyridinecarboxamide, N-[(1S)-1-(aminocarbonyl)-2-methylpropyl]-5-chloro-6-[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

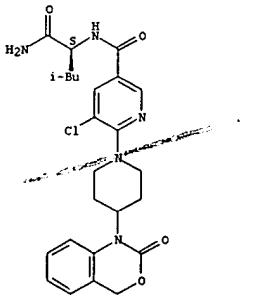
Absolute stereochemistry.



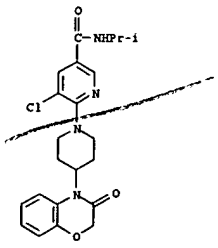
RN 345583-39-3 CAPLUS

L15 ANSWER 10 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CN 3-Pyridinecarboxamide, N-[(1S)-1-(aminocarbonyl)-3-methylbutyl]-5-chloro-6-[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



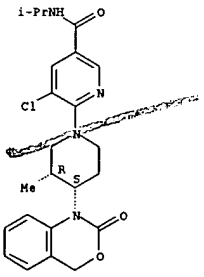
RN 345583-40-6 CAPLUS
CN 3-Pyridinecarboxamide, 5-chloro-6-[4-(2,3-dihydro-3-oxo-4H-1,4-benzoxazin-4-yl)-1-piperidinyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 345583-64-4 CAPLUS
CN 3-Pyridinecarboxamide, 5-chloro-N-(1-methylethyl)-6-[(3R,4S)-3-methyl-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]-, rel- (9CI) (CA INDEX NAME)

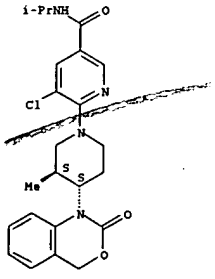
Relative stereochemistry.

L15 ANSWER 10 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 345583-65-5 CAPLUS
CN 3-Pyridinecarboxamide, 5-chloro-N-(1-methylethyl)-6-[(3R,4R)-3-methyl-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



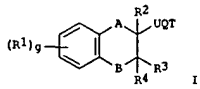
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 11 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:31495 CAPLUS
DOCUMENT NUMBER: 134:95527
TITLE: Tetrahydronaphthyl, benzopyranyl, and benzodioxanyl derivatives for reducing cravings to food or an addictive substance
INVENTOR(S): Luscombe, Graham Paul; Needham, Patricia Lesley
PATENT ASSIGNEE(S): Knoll Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001002391	A2	20010111	WO 2000-EP5735	20000621
WO 2001002391	A3	20010712		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1198234	A2	20020424	EP 2000-943852	20000621
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003503491	T2	20030128	JP 2001-507828	20000621

PRIORITY APPLN. INFO.: GB 1999-15616 A 19990705
WO 2000-EP5735 W 20000621

OTHER SOURCE(S): MARPAT 134:95527
GI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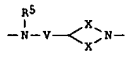
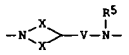

Q1

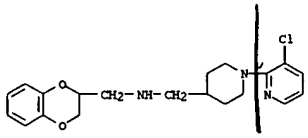

Q2

L15 ANSWER 11 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

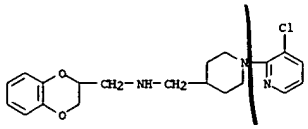
AB Compds. I [A, B = CH2, O; g = 0-4; R1 = halo, (substituted) alkyl, (substituted) alkoxy, etc.; R2 = H, alkyl, alkoxy; R3, R4 = H, alkyl; U = (alkyl-substituted) alkylene; Q = N(R5)V'NH, Q1, Q2; V = bond, (alkyl-substituted) alkylene; V' = (alkyl-substituted) alkylene; X = bond, alkylene; X' = alkylene; provided that total no. of C atoms in X and X' amts. to 3 or 4; R5 = H, alkyl; T = (substituted) arom. group which optionally contains .gtoreq.1 N atoms, provided that T is not 2-pyrimidinyl when A is O], and pharmaceutically acceptable salts thereof, have utility in reducing cravings to food or an addictive substance.

IT 170352-99-5 170352-99-5D, enantiomers
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(tetrahydronaphthyl, benzopyranyl, and benzodioxanyl derivs. for reducing cravings to food or addictive substance)

RN 170352-99-5 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-2-pyridinyl)-N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)



RN 170352-99-5 CAPLUS
CN 4-Piperidinemethanamine, 1-(3-chloro-2-pyridinyl)-N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)



L15 ANSWER 12 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:881147 CAPLUS
DOCUMENT NUMBER: 134:42137
TITLE: Preparation of pyrrolidinyl, piperidinyl or homopiperidinyl substituted benzodioxan, benzofuran or benzopyran derivatives for treating conditions which are related to impaired fundic relaxation
INVENTOR(S): De Bruyn, Marcel Frans Leopold; Van Emelen, Kristof; Wigerinck, Piet Tom Bert Paul; Verschueren, Wim Gaston
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000075137	A1	20001214	WO 2000-EP4747	20000523
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000011247	A	20020305	BR 2000-11247	20000523
EP 1187831	A1	20020320	EP 2000-927243	20000523
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2003501428	T2	20030114	JP 2001-502420	20000523
EE 200100640	A	20030217	EE 2001-640	20000523
NZ 515478	A	20030328	NZ 2000-515478	20000523
BG 106157	A	20020628	BG 2001-106157	20011128
NO 2001005865	A	20020201	NO 2001-5865	20011130

PRIORITY APPLN. INFO.: EP 1999-201746 A 19990602
WO 2000-EP4747 W 20000523

OTHER SOURCE(S): MARPAT 134:42137
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

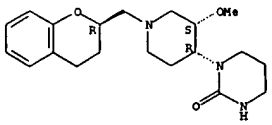
AB The title compds. [I; Alk = (un)substituted alkanediyl, alkylcarbonyl, carbonylalkyl, etc.; Z1Z2 = OCHR4CH2, OCHR4CH2O, OCHR4CH2S, etc.; R1-R3 = H, alkyl, OH, etc.; or when R1 and R2 are on adjacent carbon atoms, R1 and R2 taken together may form (CH2)3, OCH2CH2, (CH2)4, etc.; R4 = H, alkyl, hydroxyalkyl, etc.; the bivalent radical A = substituted piperidinyl, (un)substituted pyrrolidinyl, homopiperidinyl, etc.; R5 = II-IV, etc. (wherein X = O, S, NR9, CHNO2; Y = O, S; R7 = H, alkyl, cycloalkyl, etc.; R8 = alkyl, cycloalkyl, Ph, phenylmethyl; R9 = CN, alkyl, cycloalkyl, etc.; R10 = H, alkyl; Q = (CH2)2, (CH2)3, CH:CH, etc.)] and their pharmaceutically acceptable acid addn. salts, useful as a medicine, in particular for treating conditions which are related to impaired fundic relaxation, were prepd. E.g., a multi-step synthesis of the pyrimidinone

L15 ANSWER 12 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(R)-V which showed the mean maximal change of 178 mL in vol. on relaxation of the fundus, during the 1 h observation period after i.d. administration at 0.63 mg/kg, was given.

IT 312927-64-3P 312927-66-5P 312927-68-7P
312927-70-1P 312927-71-2P 312927-73-4P
312927-78-9P 312927-80-3P 312927-81-4P
312927-83-6P 312927-85-8P 312927-86-9P
312927-88-1P 312927-90-5P 312927-92-7P
312927-93-8P 312927-94-9P 312927-97-2P
312928-00-0P 312928-03-3P 312928-05-5P
312928-07-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyrrolidinyl, piperidinyl or homopiperidinyl substituted benzodioxan, benzofuran or benzopyran derivs. for treating conditions which are related to impaired fundic relaxation)

RN 312927-64-3 CAPLUS
CN 2(1H)-Pyrimidinone, 1-[(3S,4R)-1-[[(2R)-3,4-dihydro-2H-1-benzopyran-2-yl]methyl]-3-methoxy-4-piperidinyl]tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

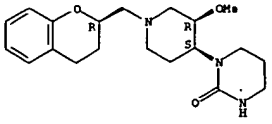


544 - 316

514 - 274

RN 312927-66-5 CAPLUS
CN 2(1H)-Pyrimidinone, 1-[(3R,4S)-1-[[(2R)-3,4-dihydro-2H-1-benzopyran-2-yl]methyl]-3-methoxy-4-piperidinyl]tetrahydro- (9CI) (CA INDEX NAME)

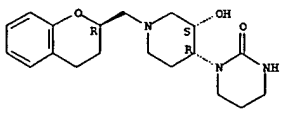
Absolute stereochemistry.



RN 312927-68-7 CAPLUS
CN 2(1H)-Pyrimidinone, 1-[(3S,4R)-1-[[(2R)-3,4-dihydro-2H-1-benzopyran-2-yl]methyl]-3-hydroxy-4-piperidinyl]tetrahydro- (9CI) (CA INDEX NAME)

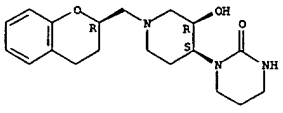
Absolute stereochemistry.

L15 ANSWER 12 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



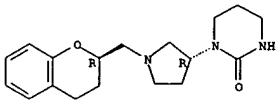
RN 312927-70-1 CAPLUS
CN 2(1H)-Pyrimidinone, 1-[(3R,4S)-1-[[(2R)-3,4-dihydro-2H-1-benzopyran-2-yl]methyl]-3-hydroxy-4-piperidinyl]tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



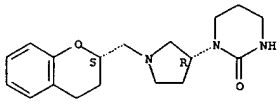
RN 312927-71-2 CAPLUS
CN 2(1H)-Pyrimidinone, 1-[(3R)-1-[[(2R)-3,4-dihydro-2H-1-benzopyran-2-yl]methyl]-3-pyrrolidinyl]tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

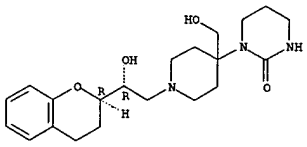


RN 312927-73-4 CAPLUS
CN 2(1H)-Pyrimidinone, 1-[(3R)-1-[[(2S)-3,4-dihydro-2H-1-benzopyran-2-yl]methyl]-3-pyrrolidinyl]tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

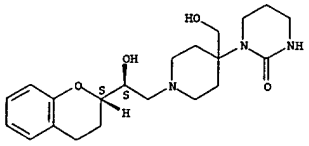


L15 ANSWER 12 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



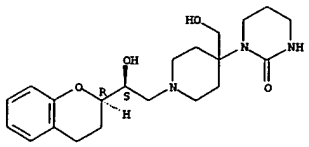
RN 312927-85-8 CAPLUS
CN 2(1H)-Pyrimidinone, 1-[1-[(2R)-2-[(2R)-3,4-dihydro-2H-1-benzopyran-2-yl]-2-hydroxyethyl]-4-(hydroxymethyl)-4-piperidinyl]tetrahydro-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 312927-86-9 CAPLUS
CN 2(1H)-Pyrimidinone, 1-[1-[(2S)-2-[(2R)-3,4-dihydro-2H-1-benzopyran-2-yl]-2-hydroxyethyl]-4-(hydroxymethyl)-4-piperidinyl]tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



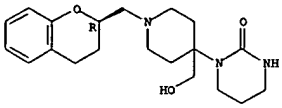
RN 312927-88-1 CAPLUS
CN 2(1H)-Pyrimidinone, 1-[1-[(2R)-2-[(2S)-3,4-dihydro-2H-1-benzopyran-2-yl]-2-hydroxyethyl]-4-(hydroxymethyl)-4-piperidinyl]tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L15 ANSWER 12 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

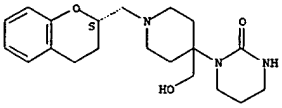
RN 312927-78-9 CAPLUS
CN 2(1H)-Pyrimidinone, 1-[1-[[(2R)-3,4-dihydro-2H-1-benzopyran-2-yl]methyl]-4-(hydroxymethyl)-4-piperidinyl]tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



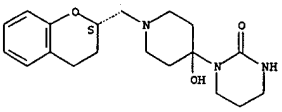
RN 312927-80-3 CAPLUS
CN 2(1H)-Pyrimidinone, 1-[1-[[(2S)-3,4-dihydro-2H-1-benzopyran-2-yl]methyl]-4-(hydroxymethyl)-4-piperidinyl]tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 312927-81-4 CAPLUS
CN 2(1H)-Pyrimidinone, 1-[1-[[(2S)-3,4-dihydro-2H-1-benzopyran-2-yl]methyl]-4-hydroxy-4-piperidinyl]tetrahydro- (9CI) (CA INDEX NAME)

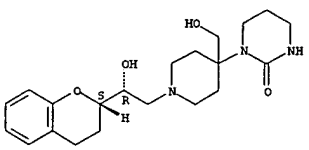
Absolute stereochemistry.



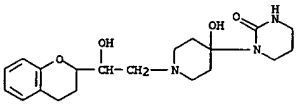
RN 312927-83-6 CAPLUS
CN 2(1H)-Pyrimidinone, 1-[1-[(2R)-2-[(2R)-3,4-dihydro-2H-1-benzopyran-2-yl]-2-hydroxyethyl]-4-(hydroxymethyl)-4-piperidinyl]tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L15 ANSWER 12 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

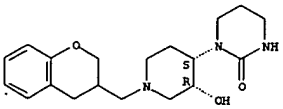


RN 312927-90-5 CAPLUS
CN 2(1H)-Pyrimidinone, 1-[1-[2-(3,4-dihydro-2H-1-benzopyran-2-yl)-2-hydroxyethyl]-4-hydroxy-4-piperidinyl]tetrahydro- (9CI) (CA INDEX NAME)



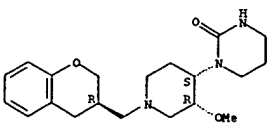
RN 312927-92-7 CAPLUS
CN 2(1H)-Pyrimidinone, 1-[(3R,4S)-1-[(3,4-dihydro-2H-1-benzopyran-3-yl)methyl]-3-hydroxy-4-piperidinyl]tetrahydro-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 312927-93-8 CAPLUS
CN 2(1H)-Pyrimidinone, 1-[(3R,4S)-1-[[(3R)-3,4-dihydro-2H-1-benzopyran-3-yl]methyl]-3-methoxy-4-piperidinyl]tetrahydro- (9CI) (CA INDEX NAME)

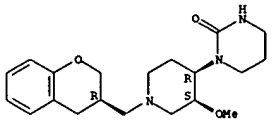
Absolute stereochemistry.



L15 ANSWER 12 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

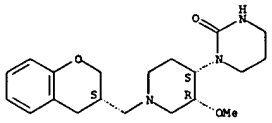
RN 312927-94-9 CAPLUS
CN 2(1H)-Pyrimidinone, 1-[(3S,4R)-1-[[(3R)-3,4-dihydro-2H-1-benzopyran-3-yl]methyl]-3-methoxy-4-piperidinyl]tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



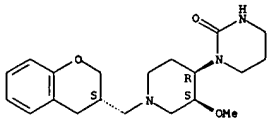
RN 312927-97-2 CAPLUS
CN 2(1H)-Pyrimidinone, 1-[(3R,4S)-1-[[(3S)-3,4-dihydro-2H-1-benzopyran-3-yl]methyl]-3-methoxy-4-piperidinyl]tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 312928-00-0 CAPLUS
CN 2(1H)-Pyrimidinone, 1-[(3S,4R)-1-[[(3S)-3,4-dihydro-2H-1-benzopyran-3-yl]methyl]-3-methoxy-4-piperidinyl]tetrahydro- (9CI) (CA INDEX NAME)

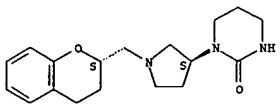
Absolute stereochemistry.



RN 312928-03-3 CAPLUS
CN 2(1H)-Pyrimidinone, 1-[(3S)-1-[[(3R)-3,4-dihydro-2H-1-benzopyran-3-yl]methyl]-3-pyrrolidinyl]tetrahydro- (9CI) (CA INDEX NAME)

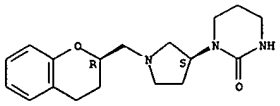
Absolute stereochemistry.

L15 ANSWER 12 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

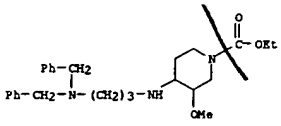


RN 312927-77-8 CAPLUS
CN 2(1H)-Pyrimidinone, 1-[(3S)-1-[[(2R)-3,4-dihydro-2H-1-benzopyran-2-yl]methyl]-3-pyrrolidinyl]tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

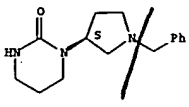


IT **312928-10-2P 312928-28-2P 312928-40-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of pyrrolidinyl, piperidinyl or homopiperidinyl substituted benzodioxan, benzofuran or benzopyran derivs. for treating conditions which are related to impaired fundic relaxation)
RN 312928-10-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[3-[bis(phenylmethyl)amino]propyl]amino]-3-methoxy-, ethyl ester (9CI) (CA INDEX NAME)

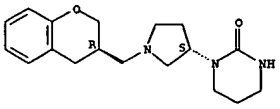


RN 312928-28-2 CAPLUS
CN 2(1H)-Pyrimidinone, tetrahydro-1-[(3S)-1-(phenylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

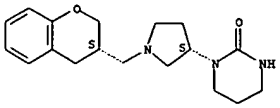


L15 ANSWER 12 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



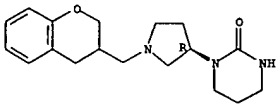
RN 312928-05-5 CAPLUS
CN 2(1H)-Pyrimidinone, 1-[(3S)-1-[[(3S)-3,4-dihydro-2H-1-benzopyran-3-yl]methyl]-3-pyrrolidinyl]tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 312928-07-7 CAPLUS
CN 2(1H)-Pyrimidinone, 1-[(3R)-1-[(3,4-dihydro-2H-1-benzopyran-3-yl)methyl]-3-pyrrolidinyl]tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

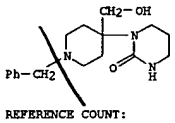


IT **312927-75-6 312927-77-8**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prepn. of pyrrolidinyl, piperidinyl or homopiperidinyl substituted benzodioxan, benzofuran or benzopyran derivs. for treating conditions which are related to impaired fundic relaxation)
RN 312927-75-6 CAPLUS
CN 2(1H)-Pyrimidinone, 1-[(3S)-1-[[(2S)-3,4-dihydro-2H-1-benzopyran-2-yl]methyl]-3-pyrrolidinyl]tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L15 ANSWER 12 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 312928-40-8 CAPLUS
CN 2(1H)-Pyrimidinone, tetrahydro-1-[4-(hydroxymethyl)-1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

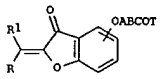


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 13 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:553249 CAPLUS
DOCUMENT NUMBER: 133:150455
TITLE: Preparation of alkoxyalkylidenecoumarones as antitumor and antimetastatic agents.
INVENTOR(S): Friebe, Walter-gunar; Koenig, Bernhard; Krell, Hans-Willi; Woelle, Sabine
PATENT ASSIGNEE(S): Roche Diagnostics G.m.b.H., Germany
SOURCE: Eur. Pat. Appl., 13 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1026165	A1	20000809	EP 2000-101407	20000125
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AU 736869	B2	20010802	AU 2000-13526	20000124
CA 2297225	AA	20000730	CA 2000-2297225	20000126
ZA 2000000392	A	20010730	ZA 2000-392	20000128
CN 1266850	A	20000920	CN 2000-101824	20000129
JP 2000226381	A2	20000815	JP 2000-27252	20000131
JP 3165421	B2	20010514		
BR 2000000226	A	20010821	BR 2000-226	20000131
US 6307051	B1	20011023	US 2000-497220	20000131

PRIORITY APPLN. INFO.: EP 1999-101956 A 19990130
OTHER SOURCE(S): MARPAT 133:150455
GI



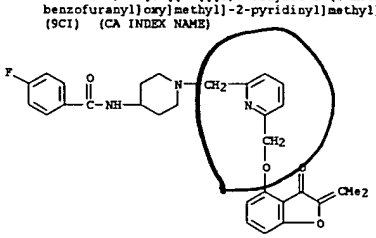
I

AB Title compds. [I; R, R1 = H, alkyl, styryl, cycloalkyl; RR1C = cycloalkyl; A = CH2C.tplbond.CCH2, CH2C6H4CH2, etc.; B = 4-aminopiperidinyl, piperazinyl, 4-aminomethylpiperidinyl, 4-(2-aminoethyl)piperidinyl; T = CH2.tplbond.CCH, C.tplbond.CH, (CH2)pR3, CH:CHR3, CH2NHCOR3, (CH2)pOR3, CH(NH2)CH2R3; p = 0-4; R3 = (substituted) Ph, naphthyl, biphenyl, (benzocondensed) heterocyclyl], were prepd. Thus, 4-(3-chloromethylphenylmethoxy)-2-isopropylidenecomaran-3-one reacted with 4-(3,4-dichlorobenzamido)piperidine to give 4-[3-[4-(3,4-dichlorobenzamido)piperidinomethyl]phenylmethoxy]-2-isopropylidenecoumaran-3-one. This inhibited urokinase-type plasminogen activator (uPA) binding to the uPAR receptor with IC50 = 1.41 .mu.M.

IT **287200-37-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

L15 ANSWER 13 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(prepn. of alkoxyalkylidenecoumarones as antitumor and antimetastatic agents)

RN 287200-37-7 CAPLUS
CN Benzamide, N-[1-[[6-[[[2,3-dihydro-2-(1-methylethylidene)-3-oxo-4-benzofuranyl]oxy]methyl]-2-pyridinyl]methyl]-4-piperidinyl]-4-fluoro- (9CI) (CA INDEX NAME)

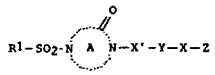


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 14 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1999:511143 CAPLUS
DOCUMENT NUMBER: 131:170361
TITLE: Preparation of sulfonamides as inhibitors of activated blood coagulation factor X
INVENTOR(S): Tawada, Hiroyuki; Itoh, Fumio; Banno, Hiroshi; Terashita, Zenichi
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 187 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9940075	A1	19990812	WO 1999-JP470	19990204
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2317017	AA	19990812	CA 1999-2317017	19990204
AU 9922988	A1	19990823	AU 1999-22988	19990204
JP 2000204081	A2	20000725	JP 1999-27053	19990204
EP 1054005	A1	20001122	EP 1999-902829	19990204
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6403595	B1	20020611	US 2000-601660	20000803
US 2002193382	A1	20021219	US 2002-128809	20020424

PRIORITY APPLN. INFO.: JP 1998-24833 A 19980205
JP 1998-317205 A 19981109
WO 1999-JP470 W 19990204
US 2000-601660 A3 20000803
OTHER SOURCE(S): MARPAT 131:170361
GI



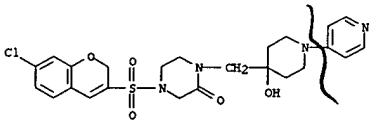
I

AB The title compds. I [R1 represents a hydrocarbyl or heterocyclic group each optionally substituted; the ring A represents a divalent nitrogen-contg. heterocycle group optionally further substituted; X' represents optionally substituted alkylene; Y represents an optionally substituted divalent cyclic group; X represents a bond or optionally substituted alkylene; and Z represents optionally substituted amino, optionally substituted imidoyl, or an optionally substituted nitrogen-contg. heterocyclic group] are prepd. Formulations contg. a compd. of this invention are given. In a test for inhibiting activity of

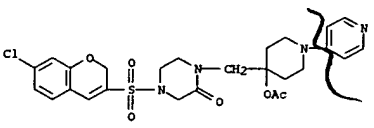
L15 ANSWER 14 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
title compds. against activated blood coagulation factor X, 1-(4-amidinobenzyl)-4-(6-chloronaphthalene-2-sulfonyl)-2-piperazinone hydrochloride showed IC50 of 0.05 .mu.M.

IT **239071-52-4P 239071-55-7P 239071-63-7P**
239071-90-0P 239071-91-1P 239071-92-2P
239071-93-3P 239072-55-0P 239072-56-1P
239072-57-2P 239072-60-7P 239072-61-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of sulfonamides as inhibitors of activated blood coagulation factor X)

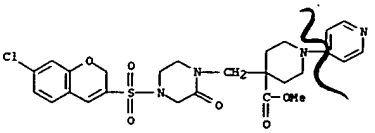
RN 239071-52-4 CAPLUS
CN Piperazinone, 4-[(7-chloro-2H-1-benzopyran-3-yl)sulfonyl]-1-[[4-hydroxy-1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



RN 239071-55-7 CAPLUS
CN Piperazinone, 1-[[4-(acetyloxy)-1-(4-pyridinyl)-4-piperidinyl]methyl]-4-[(7-chloro-2H-1-benzopyran-3-yl)sulfonyl]- (9CI) (CA INDEX NAME)

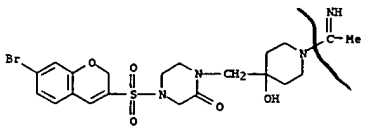


RN 239071-63-7 CAPLUS
CN 4-Piperidinecarboxylic acid, 4-[[4-[(7-chloro-2H-1-benzopyran-3-yl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-(4-pyridinyl)-, methyl ester (9CI) (CA INDEX NAME)



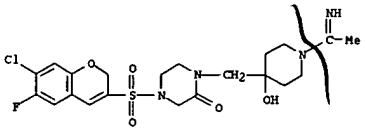
RN 239071-90-0 CAPLUS

L15 ANSWER 14 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CN 4-Piperidinol, 4-[[4-[(7-bromo-2H-1-benzopyran-3-yl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-(1-iminoethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 239071-91-1 CAPLUS
CN 4-Piperidinol, 4-[[4-[(7-chloro-6-fluoro-2H-1-benzopyran-3-yl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-(1-iminoethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

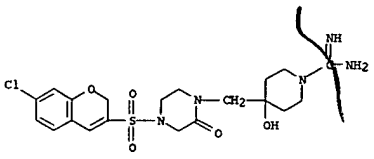


● HCl

RN 239071-92-2 CAPLUS
CN Piperazinone, 4-[(7-bromo-2H-1-benzopyran-3-yl)sulfonyl]-1-[[4-hydroxy-1-(4-pyridinyl)-4-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

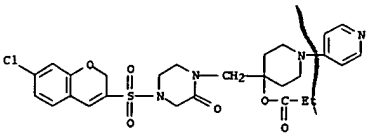
L15 ANSWER 14 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 239072-56-1 CAPLUS
CN 1-Piperidinecarboximidamide, 4-[[4-[(7-chloro-2H-1-benzopyran-3-yl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-4-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)

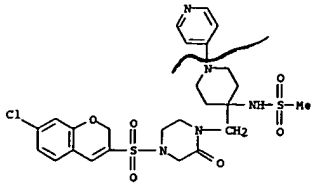


● HCl

RN 239072-57-2 CAPLUS
CN Piperazinone, 4-[(7-chloro-2H-1-benzopyran-3-yl)sulfonyl]-1-[[4-(1-oxopropoxy)-1-(4-pyridinyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

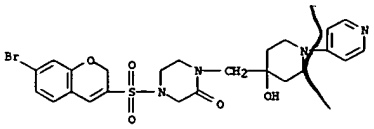


RN 239072-60-7 CAPLUS
CN Methanesulfonamide, N-[4-[[4-[(7-chloro-2H-1-benzopyran-3-yl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-(4-pyridinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



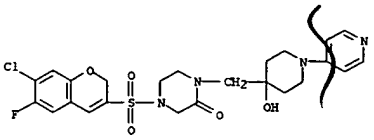
RN 239072-61-8 CAPLUS

L15 ANSWER 14 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



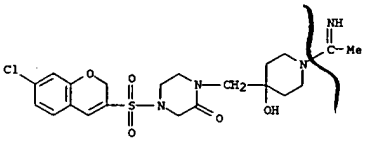
● HCl

RN 239071-93-3 CAPLUS
CN Piperazinone, 4-[(7-chloro-6-fluoro-2H-1-benzopyran-3-yl)sulfonyl]-1-[[4-hydroxy-1-(4-pyridinyl)-4-piperidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



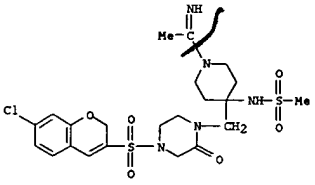
● HCl

RN 239072-55-0 CAPLUS
CN 4-Piperidinol, 4-[[4-[(7-chloro-2H-1-benzopyran-3-yl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-(1-iminoethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



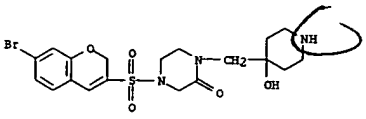
● HCl

L15 ANSWER 14 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CN 4-Piperidinamine, 4-[[4-[(7-chloro-2H-1-benzopyran-3-yl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-(1-iminoethyl)-N-(methylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

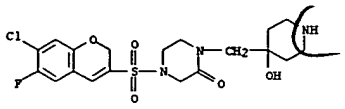
IT 239074-38-5 239074-39-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of sulfonamides as inhibitors of activated blood coagulation factor X)
RN 239074-38-5 CAPLUS
CN Piperazinone, 4-[(7-bromo-2H-1-benzopyran-3-yl)sulfonyl]-1-[(4-hydroxy-4-piperidinyl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 239074-39-6 CAPLUS
CN Piperazinone, 4-[(7-chloro-6-fluoro-2H-1-benzopyran-3-yl)sulfonyl]-1-[(4-hydroxy-4-piperidinyl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

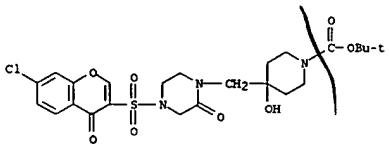
L15 ANSWER 14 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



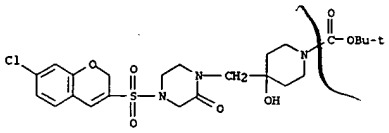
● HCl

IT 239073-08-6P 239073-09-7P 239073-18-8P
239073-19-9P 239073-20-2P 239073-28-0P
239074-01-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of sulfonamides as inhibitors of activated blood coagulation factor X)

RN 239073-08-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[4-[(7-chloro-4-oxo-4H-1-benzopyran-3-yl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-4-hydroxy-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

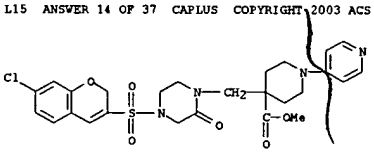


RN 239073-09-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[4-[(7-chloro-2H-1-benzopyran-3-yl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-4-hydroxy-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



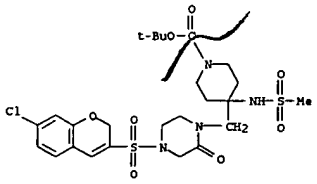
RN 239073-18-8 CAPLUS

L15 ANSWER 14 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



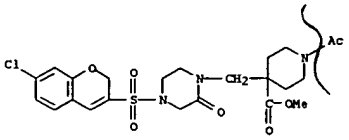
● HCl

RN 239074-01-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[4-[(7-chloro-2H-1-benzopyran-3-yl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-4-[(methylsulfonyl)amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

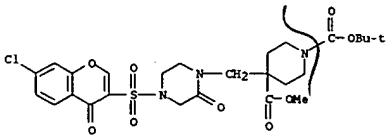


REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

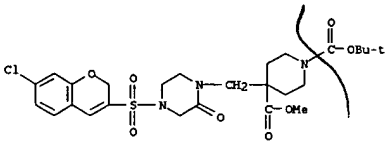
L15 ANSWER 14 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CN 4-Piperidinecarboxylic acid, 1-acetyl-4-[[4-[(7-chloro-2H-1-benzopyran-3-yl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 239073-19-9 CAPLUS
CN 1,4-Piperidinedicarboxylic acid, 4-[[4-[(7-chloro-4-oxo-4H-1-benzopyran-3-yl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-, 1-(1,1-dimethylethyl) 4-methyl ester (9CI) (CA INDEX NAME)



RN 239073-20-2 CAPLUS
CN 1,4-Piperidinedicarboxylic acid, 4-[[4-[(7-chloro-2H-1-benzopyran-3-yl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-, 1-(1,1-dimethylethyl) 4-methyl ester (9CI) (CA INDEX NAME)

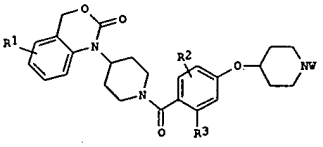


RN 239073-28-0 CAPLUS
CN 4-Piperidinecarboxylic acid, 4-[[4-[(7-chloro-2H-1-benzopyran-3-yl)sulfonyl]-2-oxo-1-piperazinyl]methyl]-1-(4-pyridinyl)-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

L15 ANSWER 15 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1998:352628 CAPLUS
DOCUMENT NUMBER: 129:41136
TITLE: Preparation of benzoxazinones as tocolytic oxytocin receptor antagonists.
INVENTOR(S): Bell, Ian M.; Freidinger, Roger M.; Williams, Peter D.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 20 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5756497	A	19980526	US 1997-807307	19970227
PRIORITY APPLN. INFO.:			US 1997-807307	19970227

OTHER SOURCE(S): MARPAT 129:41136
GI



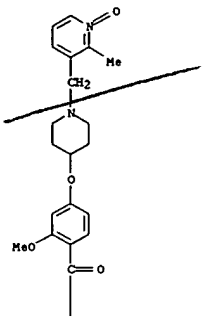
AB Title compds. [I; R1, R2 = H, halo; R3 = H, alkoxy; W = (substituted) 3-pyridylmethyl, 3-pyridylcarbonyl, tetrahydroquinolinyl, etc.], were prepd. Thus, 4-(N-tert-butoxycarbonyl-4-piperidinyloxy)-2-methoxybenzoic acid (prepn. given) and 1-(4-piperidinyl)-4(H)-3,1-benzoxazin-2(1H)-one hydrochloride (prepn. given) were stirred with HOBT and EDC in DMF to give the coupling product, which was treated with HCl in EtOAc to give 1-[1-[4-(4-piperidinyloxy)-2-methoxybenzoyl]piperidin-4-yl]-4(H)-1,3-benzoxazin-2(1H)-one. Representative I inhibited binding of [3H]oxytocin to uterine tissue with IC50 = 1-50 nM.

IT 181269-27-2P 198401-48-8P 198401-50-2P
198401-72-8P 198401-73-9P 198401-74-0P
208252-32-8P 208252-33-9P 208252-34-0P
208252-35-1P 208252-40-8P 208252-41-9P
208252-42-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzoxazinones as tocolytic oxytocin receptor antagonists)

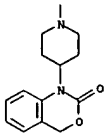
RN 181269-27-2 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-[(2-methyl-1-oxido-3-pyridinyl)methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, monohydrochloride (9CI) (CA INDEX NAME)

L15 ANSWER 15 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



PAGE 2-A

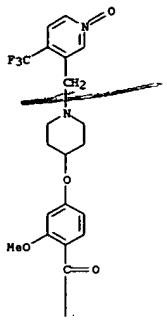


● HCl

RN 198401-48-8 CAPLUS
CN Piperidine, 1-{2-methoxy-4-[[1-[{1-oxido-4-(trifluoromethyl)-3-pyridinyl}methyl]-4-piperidinyl}oxy}benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, monohydrochloride (9CI) (CA INDEX NAME)

L15 ANSWER 15 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



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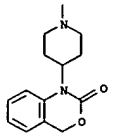
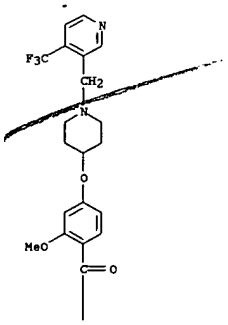


● HCl

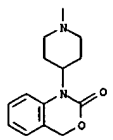
RN 198401-50-2 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-[{4-(trifluoromethyl)-3-pyridinyl]methyl]-4-piperidinyl}oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, monohydrochloride (9CI) (CA INDEX NAME)

L15 ANSWER 15 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



PAGE 2-A

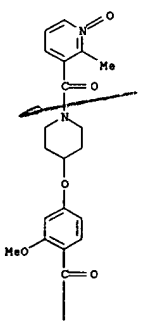


● HCl

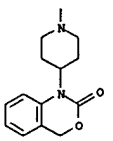
RN 198401-72-8 CAPLUS
CN Piperidine, 1-{2-methoxy-4-[{1-[(2-methyl-1-oxido-3-pyridinyl)carbonyl]-4-piperidinyl}oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, monohydrochloride (9CI) (CA INDEX NAME)

L15 ANSWER 15 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



PAGE 2-A

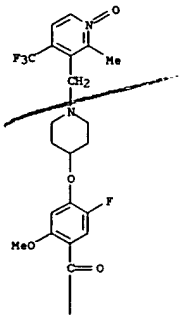


● HCl

RN 198401-73-9 CAPLUS
CN Piperidine, 1-[5-fluoro-2-methoxy-4-[[1-[{2-methyl-1-oxido-4-(trifluoromethyl)-3-pyridinyl]methyl]-4-piperidinyl]oxy}benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)- (9CI) (CA INDEX NAME)

L15 ANSWER 15 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



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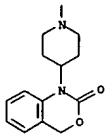

RN 198401-74-0 CAPLUS
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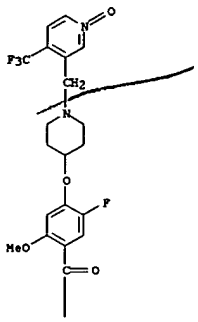
L15 ANSWER 15 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



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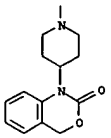
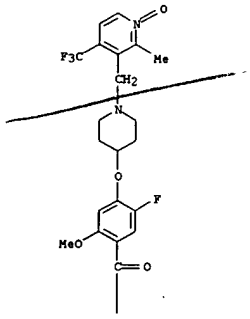


RN 208252-32-8 CAPLUS
CN Piperidine, 1-[5-fluoro-2-methoxy-4-[[1-[[2-methyl-1-oxido-4-(trifluoromethyl)-3-pyridinyl]methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, monohydrochloride (9CI) (CA INDEX NAME)

L15 ANSWER 15 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



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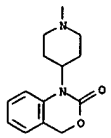

● HCl

RN 208252-33-9 CAPLUS
CN Piperidine, 1-[5-fluoro-2-methoxy-4-[[1-[[2-methyl-4-(trifluoromethyl)-3-pyridinyl]methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 198401-54-6
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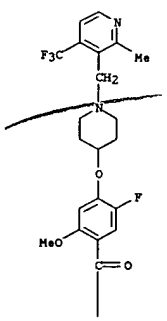
L15 ANSWER 15 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



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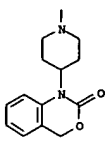

CM 2

CRN 76-05-1
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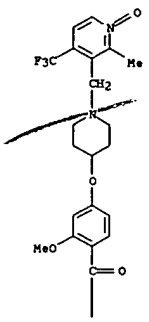
RN 208252-34-0 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-[[2-methyl-1-oxido-4-(trifluoromethyl)-3-pyridinyl]methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-

L15 ANSWER 15 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
1(4H)-yl)-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



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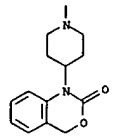


● HCl

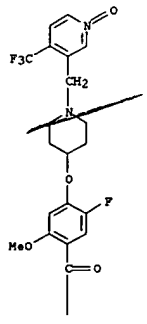
RN 208252-35-1 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-[[2-methyl-4-(trifluoromethyl)-3-pyridinyl]methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

L15 ANSWER 15 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 208252-40-8 CAPLUS
CN Piperidine, 1-[5-fluoro-2-methoxy-4-[[1-[[1-oxido-4-(trifluoromethyl)-3-pyridinyl]methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



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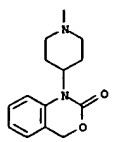
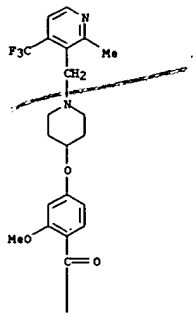


● HCl

L15 ANSWER 15 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CRN 198401-59-1
CMF C34 H37 F3 N4 O5

PAGE 1-A



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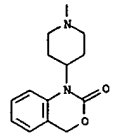
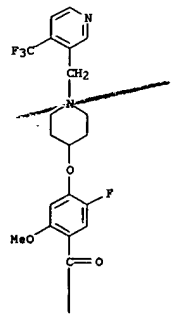


CM 2

CRN 76-05-1
CMF C2 H F3 O2

L15 ANSWER 15 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RN 208252-41-9 CAPLUS
CN Piperidine, 1-[5-fluoro-2-methoxy-4-[[1-[[4-(trifluoromethyl)-3-pyridinyl]methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, dihydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



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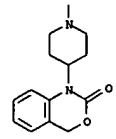
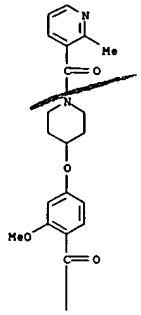


●2 HCl

RN 208252-42-0 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-[(2-methyl-3-pyridinyl)carbonyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, monohydrochloride (9CI) (CA INDEX NAME)

L15 ANSWER 15 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



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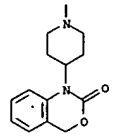
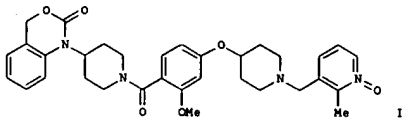


● HCl

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1998:298896 CAPLUS
DOCUMENT NUMBER: 129:67747
TITLE: Development of Orally Active Oxytocin Antagonists: Studies on 1-(1-(4-[1-(2-Methyl-1-oxidopyridin-3-ylmethyl)piperidin-4-yloxy]-2-methoxybenzoyl)piperidin-4-yl)-1,4-dihydrobenz[d][1,3]oxazin-2-one (L-372,662) and Related Pyridines
AUTHOR(S): Bell, Ian M.; Erb, Jill M.; Freidinger, Roger M.; Gallicchio, Steven N.; Guare, James P.; Guidotti, Maribeth T.; Halpin, Rita A.; Hobbs, Doug W.; Homnick, Carl F.; Kuo, Michelle S.; Lis, Edward V.; Mathre, David J.; Michelson, Stuart R.; Pawluczyk, Joseph M.; Pettibone, Douglas J.; Reiss, Duane R.; Vickers, Stanley; Williams, Peter D.; Woyden, Carla J.
CORPORATE SOURCE: Departments of Drug Metabolism Medicinal Chemistry Pharmacology and Process Research, Merck Research Laboratories, West Point, PA, 19486, USA
SOURCE: Journal of Medicinal Chemistry (1998), 41(12), 2146-2163
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB The previously reported oxytocin antagonist L-371,257 has been modified at its acetylpiperidine terminus to incorporate various pyridine N-oxide groups. This modification has led to the identification of compds. with improved pharmacokinetics and excellent oral bioavailability. The pyridine N-oxide series is exemplified by L-372,662 (I), which possessed good potency in vitro (Ki = 4.1 nM, cloned human oxytocin receptor) and in vivo (i.v. AD50 = 0.71 mg/kg in the rat), excellent oral bioavailability (90% in the rat, 96% in the dog), good aq. soly. (>8.5 mg/mL at pH 5.2) which should facilitate formulation for i.v. administration, and excellent selectivity against the human arginine vasopressin receptors. Incorporation of a 5-fluoro substituent on the central benzoyl ring of this class of oxytocin antagonists enhanced in vitro and in vivo potency but was detrimental to the pharmacokinetic profiles of these compds. Although lipophilic substitution around the pyridine ring of I gave higher affinity in vitro, such substituents were a metabolic liability and caused shortfalls in vivo. Two approaches to prevent this metab., addn. of a cyclic constraint and incorporation of trifluoromethyl groups, were exam. The former approach was ineffective because of metabolic hydroxylation on

L15 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

the constrained ring system, whereas the latter showed improvement in plasma pharmacokinetics in some cases.

IT 162046-45-9P 181269-27-2P 198401-74-0P
208517-07-1P 208517-08-2P 208517-09-3P
208517-10-6P 208517-11-7P 208517-12-8P
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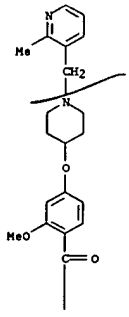
208517-22-0P 208517-24-2P 208517-31-1P
208517-32-2P 208517-33-3P 208517-36-6P
208517-37-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of pyridinylmethylpiperidinyloxybenzoylpiperidinylbenzoxazinones as oxytocin antagonists)

RN 162046-45-9 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-[(2-methyl-3-pyridinyl)methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)- (9CI) (CA INDEX NAME)

PAGE 1-A



L15 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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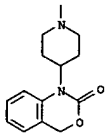

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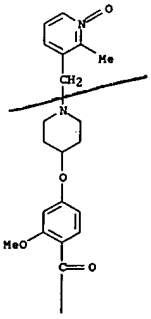
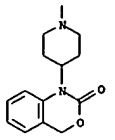


L15 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 2-A



● HCl

RN 198401-74-0 CAPLUS
CN Piperidine, 1-[5-fluoro-2-methoxy-4-[[1-[[1-oxido-4-(trifluoromethyl)-3-pyridinyl]methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)- (9CI) (CA INDEX NAME)

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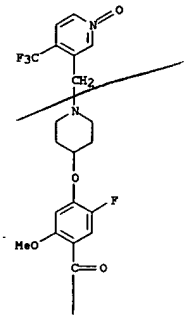

L15 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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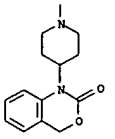

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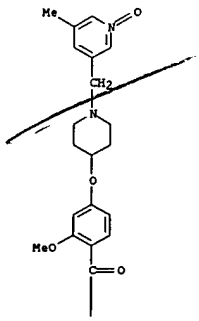
PAGE 1-A



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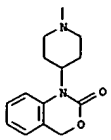

L15 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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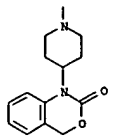

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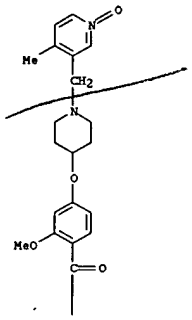
CN Piperidine, 1-[2-methoxy-4-[[1-[(4-methyl-1-oxido-3-pyridinyl)methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)- (9CI) (CA INDEX NAME)

PAGE 1-A



L15 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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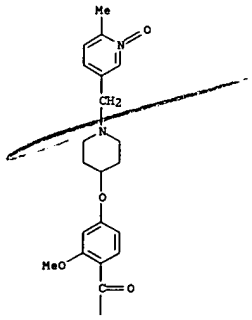
PAGE 1-A



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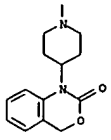

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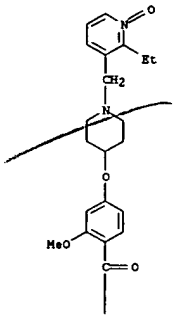
L15 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



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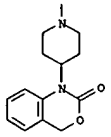

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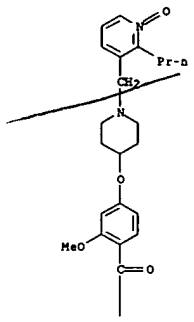
CN Piperidine, 1-[2-methoxy-4-[[1-[(1-oxido-2-propyl-3-pyridinyl)methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)- (9CI) (CA INDEX NAME)

L15 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



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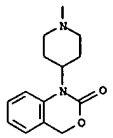

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CN Piperidine, 1-[5-fluoro-2-methoxy-4-[[1-[(2-methyl-1-oxido-3-pyridinyl)methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)- (9CI) (CA INDEX NAME)

L15 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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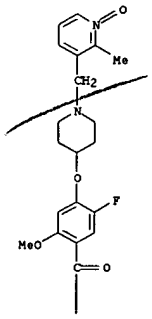

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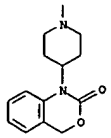

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CN Piperidine, 1-[4-[[1-[(2,4-dimethyl-1-oxido-3-pyridinyl)methyl]-4-piperidinyl]oxy]-2-methoxybenzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)- (9CI) (CA INDEX NAME)

L15 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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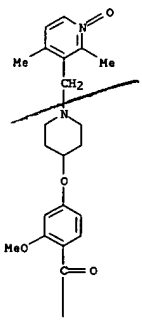

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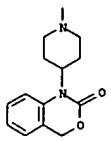

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CN Piperidine, 1-[4-[[1-[(2,6-dimethyl-1-oxido-3-pyridinyl)methyl]-4-piperidinyl]oxy]-2-methoxybenzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)- (9CI) (CA INDEX NAME)

L15 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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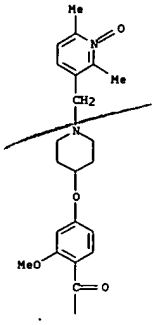

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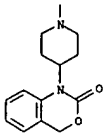

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CN Piperidine, 1-[4-[[1-[(4,6-dimethyl-1-oxido-3-pyridinyl)methyl]-4-piperidinyl]oxy]-2-methoxybenzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)- (9CI) (CA INDEX NAME)

L15 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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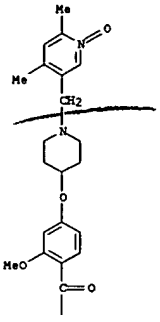

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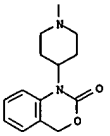

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CN Piperidine, 1-[2-methoxy-4-[[1-[(2,4,6-trimethyl-1-oxido-3-pyridinyl)methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)- (9CI) (CA INDEX NAME)

L15 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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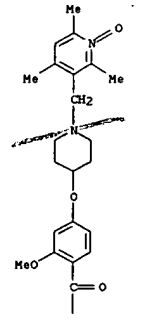

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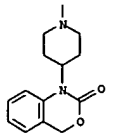

RN 208517-17-3 CAPLUS
CN Piperidine, 1-[5-fluoro-2-methoxy-4-[[1-[(2,4,6-trimethyl-1-oxido-3-pyridinyl)methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)- (9CI) (CA INDEX NAME)

L15 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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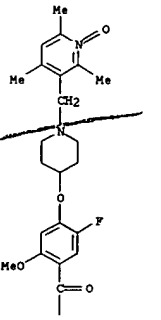

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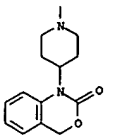

RN 208517-18-4 CAPLUS
CN Piperidine, 1-[4-[[1-[(2-ethyl-4,6-dimethyl-1-oxido-3-pyridinyl)methyl]-4-piperidinyl]oxy]-2-methoxybenzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)- (9CI) (CA INDEX NAME)

L15 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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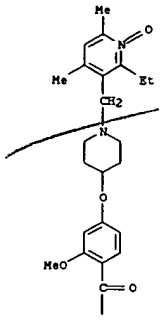

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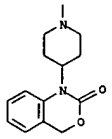

RN 208517-19-5 CAPLUS
CN Piperidine, 1-[4-[[1-[(2-ethyl-4,6-dimethyl-1-oxido-3-pyridinyl)methyl]-4-piperidinyl]oxy]-5-fluoro-2-methoxybenzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)- (9CI) (CA INDEX NAME)

L15 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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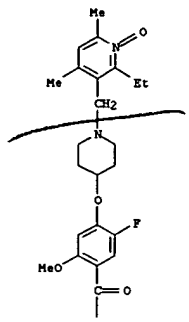

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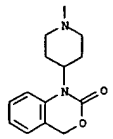

RN 208517-20-8 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-[[1-oxido-2-(trifluoromethyl)-3-pyridinyl]methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)- (9CI) (CA INDEX NAME)

L15 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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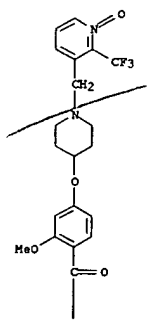

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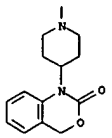

RN 208517-21-9 CAPLUS
CN Piperidine, 1-[5-fluoro-2-methoxy-4-[[1-[[1-oxido-2-(trifluoromethyl)-3-pyridinyl]methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)- (9CI) (CA INDEX NAME)

L15 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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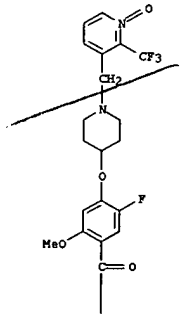

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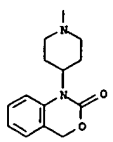

RN 208517-22-0 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-[[1-oxido-4-(trifluoromethyl)-3-pyridinyl]methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)- (9CI) (CA INDEX NAME)

L15 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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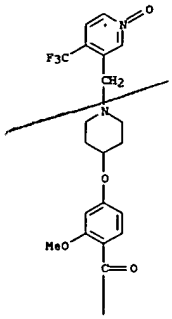

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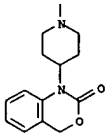

RN 208517-24-2 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-[(2-methyl-1-oxido-3-pyridinyl)carbonyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)- (9CI) (CA INDEX NAME)

L15 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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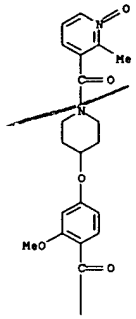

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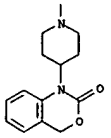

RN 208517-31-1 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-[(5-methyl-1-oxido-3-pyridinyl)methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, hydrochloride (2:3) (9CI) (CA INDEX NAME)

L15 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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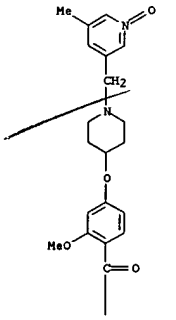

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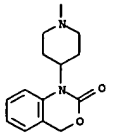

●3/2 HCl

RN 208517-32-2 CAPLUS
CN Piperidine, 1-[4-[[1-[(2-ethyl-4,6-dimethyl-1-oxido-3-pyridinyl)methyl]-4-piperidinyl]oxy]-2-methoxybenzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, hydrochloride (4:7) (9CI) (CA INDEX NAME)

L15 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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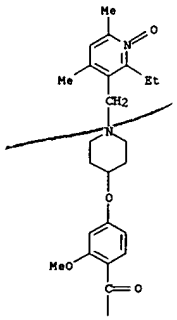

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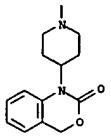

●7/4 HCl

RN 208517-33-3 CAPLUS
CN Piperidine, 1-[4-[[1-[(2-ethyl-4,6-dimethyl-1-oxido-3-pyridinyl)methyl]-4-piperidinyl]oxy]-5-fluoro-2-methoxybenzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, hydrochloride (2:3) (9CI) (CA INDEX NAME)

L15 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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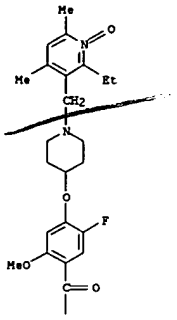

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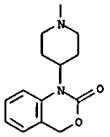

●3/2 HCl

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L15 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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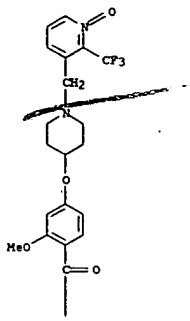

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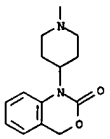

● HCl

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CN Piperidine, 1-[5-fluoro-2-methoxy-4-[[1-[[1-oxido-2-(trifluoromethyl)-3-pyridinyl]methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, monohydrochloride (9CI) (CA INDEX NAME)

L15 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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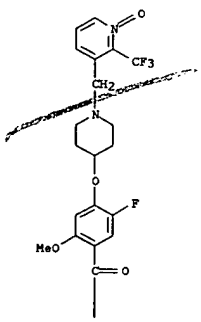

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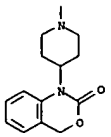

● HCl

IT 208517-38-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of pyridinylmethylpiperidinyloxybenzoylpiperidinylbenzoxazinones as oxytocin antagonists)

RN 208517-38-8 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-[(2-methyl-3-pyridinyl)carbonyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)- (9CI) (CA INDEX NAME)

L15 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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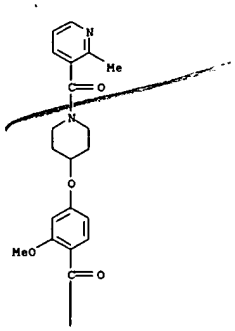

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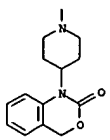

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L15 ANSWER 17 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1998:180545 CAPLUS
DOCUMENT NUMBER: 128:217374
TITLE: Preparation of piperidinylbenzoxazinones as tocolytic oxytocin receptor antagonists.
INVENTOR(S): Sparks, Michelle A.; Freidinger, Roger M.; Perlow, Debra S.; Williams, Peter D.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 36 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5726172	A	19980310	US 1997-779296	19970106

PRIORITY APPLN. INFO.: US 1997-779296 19970106
OTHER SOURCE(S): MARPAT 128:217374
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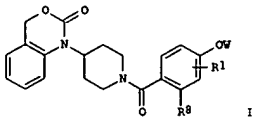

I

AB Title compds. (I; R1 = H, halo; W = CR2R3R4, azabicyclooctyl, tetrahydrofuryl, etc.; R2 = H, halo, alkyl; R3 = R2, aryl; R4 = haloalkyl, CONH2, cyano, CHMeOH, piperidinyl, etc.; R8 = H, alkoxy), were prepd. Thus, 1-[1-[4-hydroxy-2-methoxybenzoyl]-piperidin-4-yl]-4H-3,1-benzoxazin-2(1H)-one in THF was treated with Ph3P and then with (S)-3-hydroxytetrahydrofuran and di-Et azodicarboxylate to give (R)-1-[1-[4-(tetrahydrofuran-3-oxy)-2-methoxybenzoyl]piperidin-4-yl]-4H-3,1-benzoxazin-2(1H)-one. In [3H]-oxytocin and [3H]-arginine vasopressin binding assays, representative I showed IC50 = 5-500 nM.
IT **204186-36-7P 204186-39-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of piperidinylbenzoxazinones as tocolytic oxytocin receptor antagonists)
RN 204186-36-7 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[octahydro-2-[(2-methyl-1-oxido-4-pyridinyl)methyl]cyclopenta[c]pyrrol-5-yl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, (3a.alpha.,5.alpha.,6a.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L15 ANSWER 17 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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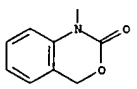
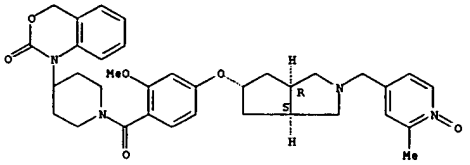


REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

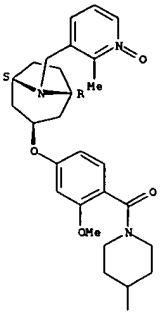
L15 ANSWER 17 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 204186-39-0 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[8-[(2-methyl-1-oxido-3-pyridinyl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, exo- (9CI) (CA INDEX NAME)

Relative stereochemistry.

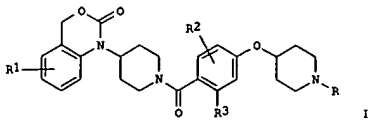
PAGE 1-A



L15 ANSWER 18 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1997:760124 CAPLUS
DOCUMENT NUMBER: 127:358867
TITLE: Preparation of 1-(1-benzoyl-4-piperidinyl)-3,1-benzoxazin-2-ones as oxytocin receptor antagonists
INVENTOR(S): Bell, Ian M.; Freidinger, Roger M.; Williams, Peter D.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: Brit. UK Pat. Appl., 59 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
GB 2310660	A1	19970903	GB 1997-4025		19970226
PRIORITY APPLN. INFO.:			US 1996-12693P	P	19960301
			GB 1996-5648	A	19960318

OTHER SOURCE(S): MARPAT 127:358867
GI



I

AB Title compds. [I; R = (un)substituted (oxido) 3-pyridinylmethyl, -3-pyridinylcarbonyl, -5,6,7,8-tetrahydroquinol-5-8-yl, etc.; R1,R2 = H or halo; R3 = H or alkoxy] were prepd. Thus, 1-tert-butoxycarbonyl-4-piperidinone was reductively aminated by 2-(H2N)C6H4CH2OH and the cyclized product deprotected to give, after N-acylation by 4-(1-tert-butoxycarbonyl-4-piperidinyloxy)-2-methoxybenzoic acid (prepn. given) and deprotection, I (R1 = R2 = H, R3 = OMe) (II; R = H) which was N-alkylated by 3-chloromethyl-2-methylpyridine N-oxide (prepn. given) to give II (R = N-oxido-2-methyl-3-pyridylmethyl). Data for biol. activity of I were given.
IT **162045-26-3P 181269-27-2P 198401-48-8P**
198401-50-2P 198401-52-4P 198401-55-7P
198401-57-9P 198401-60-4P 198401-68-2P
198401-69-3P 198401-71-7P 198401-72-8P
198401-73-9P 198401-74-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 1-(1-benzoyl-4-piperidinyl)-3,1-benzoxazin-2-ones as oxytocin receptor antagonists)
RN 162045-26-3 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-[(2-methyl-1-oxido-3-pyridinyl)methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)- (9CI) (CA INDEX NAME)

L15 ANSWER 18 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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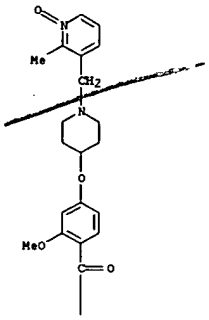

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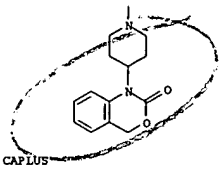
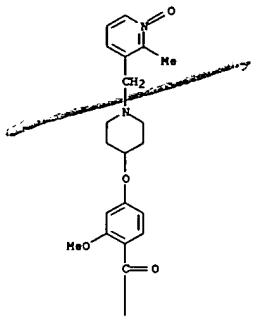


RN 181269-27-2 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-[(2-methyl-1-oxido-3-pyridinyl)methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, monohydrochloride (9CI) (CA INDEX NAME)

L15 ANSWER 18 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



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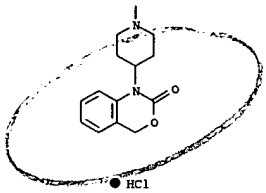
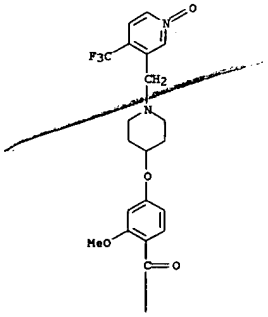


RN 198401-48-8 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-[[1-oxido-4-(trifluoromethyl)-3-pyridinyl]methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, monohydrochloride (9CI) (CA INDEX NAME)

L15 ANSWER 18 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



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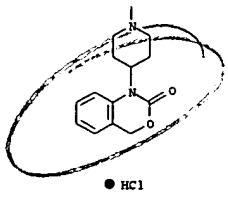

RN 198401-50-2 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-[[4-(trifluoromethyl)-3-pyridinyl]methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, monohydrochloride (9CI) (CA INDEX NAME)

L15 ANSWER 18 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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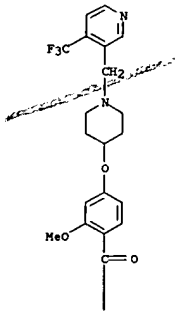

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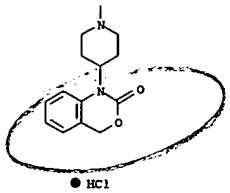

RN 198401-52-4 CAPLUS
CN Piperidine, 1-[5-fluoro-2-methoxy-4-[[1-[[2-methyl-1-oxido-4-(trifluoromethyl)-3-pyridinyl]methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, hydrochloride (20:13) (9CI) (CA INDEX NAME)

L15 ANSWER 18 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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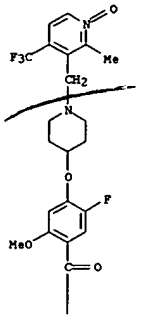

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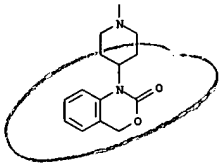

●13/20 HCl

RN 198401-55-7 CAPLUS
CN Piperidine, 1-[5-fluoro-2-methoxy-4-[[1-[[2-methyl-4-(trifluoromethyl)-3-pyridinyl]methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, trifluoroacetate (20:37) (9CI) (CA INDEX NAME)

CM 1

CRN 198401-54-6
CMF C34 H36 F4 N4 O5

L15 ANSWER 18 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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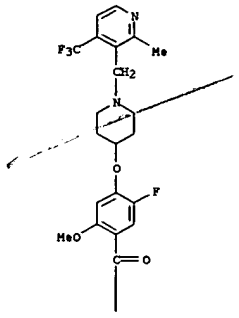

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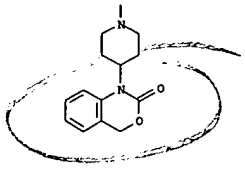

CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 198401-57-9 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-[[2-methyl-1-oxido-4-(trifluoromethyl)-3-pyridinyl]methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, hydrochloride (20:9) (9CI) (CA INDEX NAME)

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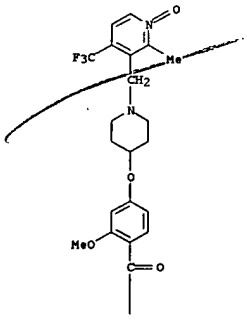

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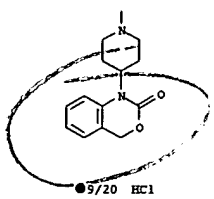

●9/20 HCl

L15 ANSWER 18 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 198401-60-4 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-[[2-methyl-4-(trifluoromethyl)-3-pyridinyl]methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, trifluoroacetate (4:7) (9CI) (CA INDEX NAME)

CM 1

CRN 198401-59-1
CMF C34 H37 F3 N4 O5

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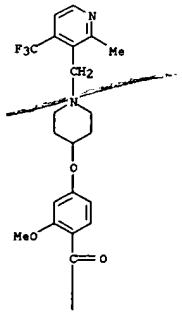

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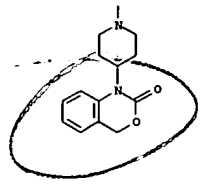


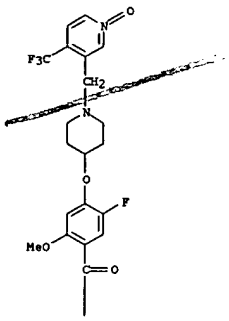
CM 2

CRN 76-05-1
CMF C2 H F3 O2

L15 ANSWER 18 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

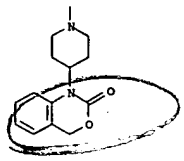
RN 198401-68-2 CAPLUS
CN Piperidine, 1-[5-fluoro-2-methoxy-4-[[1-[[1-oxido-4-(trifluoromethyl)-3-pyridinyl]methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, hydrochloride (20:19) (9CI) (CA INDEX NAME)

PAGE 1-A



L15 ANSWER 18 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

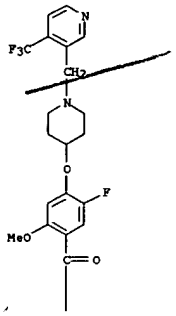
PAGE 2-A



●19/20 HCl

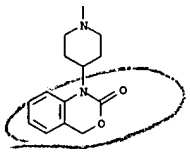
RN 198401-69-3 CAPLUS
CN Piperidine, 1-[5-fluoro-2-methoxy-4-[[1-[[4-(trifluoromethyl)-3-pyridinyl]methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, hydrochloride (20:31) (9CI) (CA INDEX NAME)

PAGE 1-A



L15 ANSWER 18 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

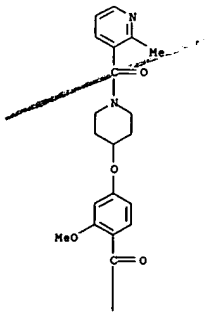
PAGE 2-A



●31/20 HCl

RN 198401-71-7 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-[(2-methyl-3-pyridinyl)carbonyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, hydrochloride (20:17) (9CI) (CA INDEX NAME)

PAGE 1-A



L15 ANSWER 18 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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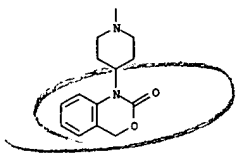
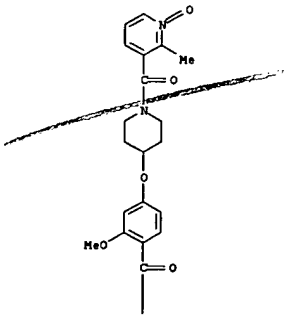


●17/20 HCl

RN 198401-72-8 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-[(2-methyl-1-oxido-3-pyridinyl)carbonyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



L15 ANSWER 18 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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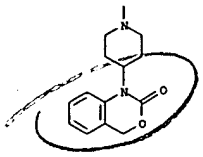
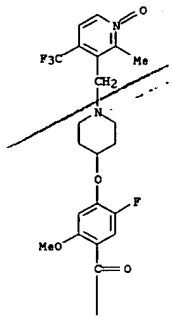


● HCl

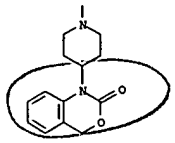
RN 198401-73-9 CAPLUS
CN Piperidine, 1-[5-fluoro-2-methoxy-4-[[1-[[2-methyl-1-oxido-4-(trifluoromethyl)-3-pyridinyl]methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)- (9CI) (CA INDEX NAME)

PAGE 1-A



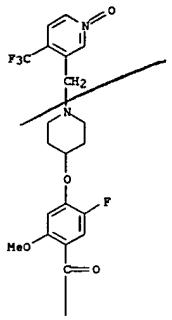
L15 ANSWER 18 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 2-A



RN 198401-74-0 CAPLUS
CN Piperidine, 1-[5-fluoro-2-methoxy-4-[[1-[[1-oxido-4-(trifluoromethyl)-3-pyridinyl]methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)- (9CI) (CA INDEX NAME)

PAGE 1-A



L15 ANSWER 18 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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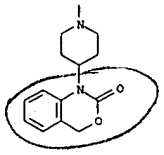


L15 ANSWER 19 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:	1997:613831 CAPLUS
DOCUMENT NUMBER:	127:278203
TITLE:	Benzoxazinone and benzopyrimidinone piperidinyl tocolytic oxytocin receptor antagonists
INVENTOR(S):	Bock, Mark G.; Evans, Ben E.; Williams, Peter D.; Freidinger, Roger M.; Pettibone, Douglas J.; Hobbs, Doug W.; Anderson, Paul S.
PATENT ASSIGNEE(S):	Merck and Co., Inc., USA
SOURCE:	U.S., 140 pp., Cont.-in-part of U.S. Ser. No. 92,840, abandoned. CODEN: USXXAM
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	2
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5665719	A	19970909	US 1995-470693	19950606
PRIORITY APPLN. INFO.:			US 1993-92840 B2	19930716
OTHER SOURCE(S):	MARPAT 127:278203			
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

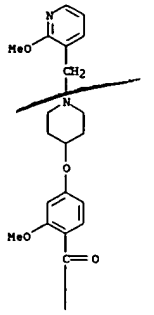
AB Compds. of formula I [X = O, NH, or NR8; Y = CH2, CHR8, or C(R8)2; R1 = camphor-10-yl, alkoxy, styryl, hydroxystyryl, furyl, (un)substituted thienyl, naphthyl, indolyl, tetrahydronaphthyl, (un)substituted pyridyl, pyrazinyl, (un)substituted cyclohexyl or Ph; R2 = H, alkoxy, alkyl, amino, alkylcarbonylamino, nitro, or halo; R3 = H, alkoxycarbonyl, cyano, or carbamoyl; and n = 0 or 1] and various analogs are disclosed. The compds. as useful as oxytocin (OT) and vasopressin receptor antagonists. Over 275 synthetic examples are given. For instance, Me 2,4-dihydroxybenzoate underwent Mitsunobu etherification with N-(tert-butoxycarbonyl)-4-piperidinol (51%), followed by O-methylation of the remaining hydroxyl (88%), sapon. of the Me ester (95%), and coupling of the resultant acid with 1-(4-piperidinyl)-1,2-dihydro-4H-3,1-benzoxazin-2-one (HCl salt) using EDC and HOBt (88%), to give title compd. II [R = CO2Bu-tert]. The latter was deprotected with HCl in dioxane (93%) and acetylated with Ac2O (89%) to give title compd. II [R = Ac]. The latter inhibited binding of [3H]-OT to rat uterine OT receptors in vitro with an IC50 of 47 nM.

IT 162044-00-0P 196794-14-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of benzoxazinone and benzopyrimidinone derivs. as oxytocin and vasopressin receptor antagonists)

RN 162044-00-0 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-[(2-methoxy-3-pyridinyl)methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)- (9CI) (CA INDEX NAME)

L15 ANSWER 19 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



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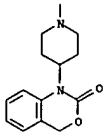

RN 196794-14-6 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-(5-nitro-2-pyridinyl)-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)- (9CI) (CA INDEX NAME)

L15 ANSWER 19 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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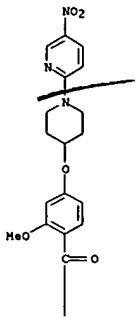

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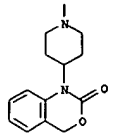

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162043-82-5P 162043-83-6P 162043-86-9P
162044-01-1P 162044-02-2P 162044-04-4P
162044-05-5P 162044-11-3P 162044-14-6P
162044-17-9P 162045-26-3P 162045-27-4P
162045-28-5P 162046-44-8P 162046-45-9P
181269-37-4P 181269-38-5P 196794-13-5P
196794-20-4P 196794-22-6P 196794-23-7P
196794-56-6P 196794-57-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzoxazinone and benzopyrimidinone derivs. as oxytocin and vasopressin receptor antagonists)

L15 ANSWER 19 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 162043-77-8 CAPLUS
CN Piperidine, 1-[4-[[1-[(2-chloro-6-methyl-4-pyridinyl)methyl]-4-piperidinyl]oxy]-2-methoxybenzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)- (9CI) (CA INDEX NAME)

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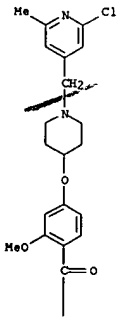

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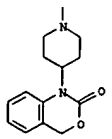

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CN Piperidine, 1-[4-[[1-[(2,6-dimethyl-4-pyridinyl)methyl]-4-piperidinyl]oxy]-2-methoxybenzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)- (9CI) (CA INDEX NAME)

L15 ANSWER 19 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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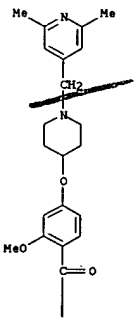

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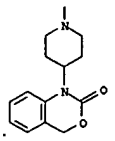

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CN Piperidine, 1-[4-[[1-[(2-chloro-3-pyridinyl)methyl]-4-piperidinyl]oxy]-2-methoxybenzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)- (9CI) (CA INDEX NAME)

L15 ANSWER 19 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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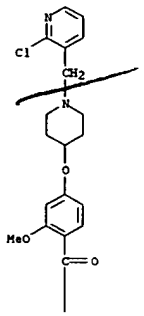

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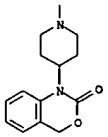

RN 162043-82-5 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-[(2-methyl-3-pyridinyl)methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, monohydrochloride (9CI) (CA INDEX NAME)

L15 ANSWER 19 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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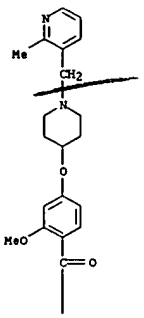

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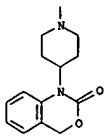

● HCl

RN 162043-83-6 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-[(6-methyl-3-pyridinyl)methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)- (9CI) (CA INDEX NAME)

L15 ANSWER 19 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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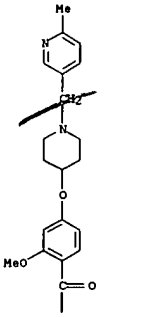

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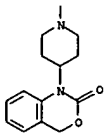

RN 162043-86-9 CAPLUS
CN Piperidine, 1-[4-[[1-(5-amino-2-pyridinyl)-4-piperidinyl]oxy]-2-methoxybenzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)- (9CI) (CA INDEX NAME)

L15 ANSWER 19 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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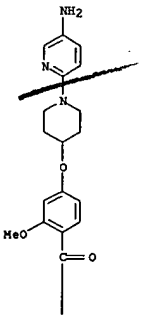

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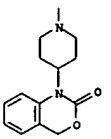

RN 162044-01-1 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-[(2-methoxy-3-pyridinyl)methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 162044-00-0
CMF C33 H38 N4 O6

L15 ANSWER 19 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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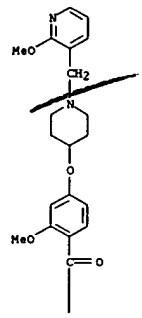

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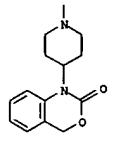

CM 2

CRN 76-05-1
CMF C2 H F3 O2

L15 ANSWER 19 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 162044-02-2 CAPLUS
CN Piperidine, 1-[4-[[1-[(1,2-dihydro-2-oxo-3-pyridinyl)methyl]-4-piperidinyl]oxy]-2-methoxybenzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)- (9CI) (CA INDEX NAME)

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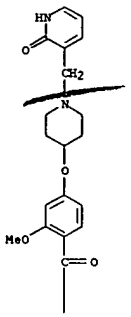

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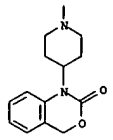

RN 162044-04-4 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-[[2-(methoxymethyl)-4-pyridinyl]methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)- (9CI) (CA INDEX NAME)

L15 ANSWER 19 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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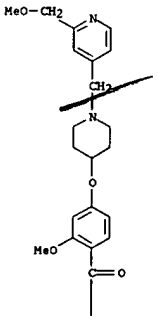

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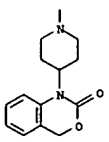

RN 162044-05-5 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-[[2-(methoxymethyl)-4-pyridinyl]methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

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CRN 162044-04-4
CMF C34 H40 N4 O6

L15 ANSWER 19 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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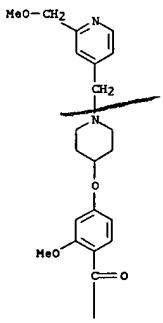

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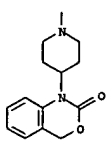


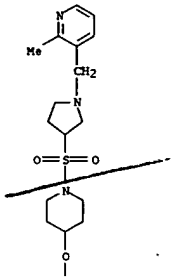
CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 162044-11-3 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-[[1-[(2-methyl-3-pyridinyl)methyl]-3-pyrrolidinyl]sulfonyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-

L15 ANSWER 19 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
benzoxazin-1(4H)-yl)-, dihydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



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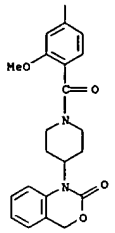

●2 HCl

L15 ANSWER 19 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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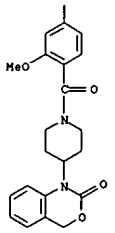

●x HCl

RN 162044-17-9 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-[[4-[(2-methyl-3-pyridinyl)methyl]-1-piperazinyl]sulfonyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, dihydrochloride (9CI) (CA INDEX NAME)

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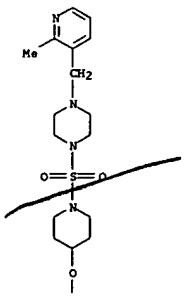

L15 ANSWER 19 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RN 162044-14-6 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-[[1-[(2-methyl-3-pyridinyl)methyl]-3-pyrrolidinyl]carbonyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, hydrochloride (9CI) (CA INDEX NAME)

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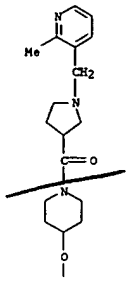

L15 ANSWER 19 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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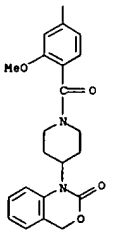

●2 HCl

RN 162045-26-3 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-[(2-methyl-1-oxido-3-pyridinyl)methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)- (9CI) (CA INDEX NAME)

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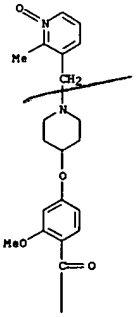

L15 ANSWER 19 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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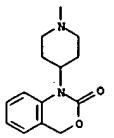

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CN Piperidine, 1-[2-methoxy-4-[[1-[(2-methyl-1-oxido-3-pyridinyl)methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 162045-26-3
CMF C33 H38 N4 O6

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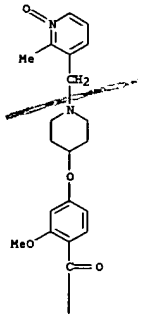

L15 ANSWER 19 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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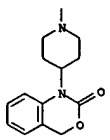

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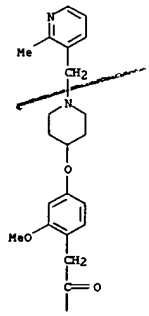
CRN 76-05-1
CMF C2 H F3 O2

RN 162045-28-5 CAPLUS
CN Piperidine, 1-[[2-methoxy-4-[[1-[(2-methyl-3-pyridinyl)methyl]-4-piperidinyl]oxy]phenyl]acetyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, dihydrochloride (9CI) (CA INDEX NAME)

L15 ANSWER 19 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



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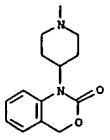
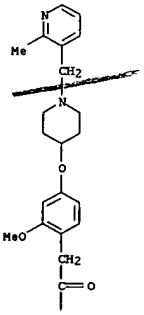


●2 HCl

RN 162046-44-8 CAPLUS
CN Piperidine, 1-[[2-methoxy-4-[[1-[(2-methyl-3-pyridinyl)methyl]-4-piperidinyl]oxy]phenyl]acetyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)- (9CI) (CA INDEX NAME)

L15 ANSWER 19 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



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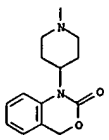
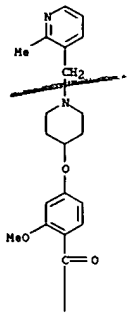


RN 162046-45-9 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-[(2-methyl-3-pyridinyl)methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)- (9CI) (CA INDEX NAME)

L15 ANSWER 19 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



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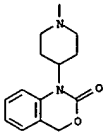

RN 181269-37-4 CAPLUS
CN Piperidine, 1-[4-[[1-[(2,6-dimethyl-4-pyridinyl)methyl]-4-piperidinyl]oxy]-2-methoxybenzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, trifluoroacetate (2:5) (9CI) (CA INDEX NAME)

CM 1

CRN 162043-78-9
CMF C34 H40 N4 O5

L15 ANSWER 19 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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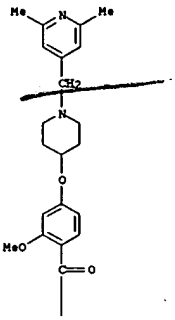

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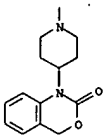

CM 2

CRN 76-05-1
CMF C2 H F3 O2

L15 ANSWER 19 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 181269-38-5 CAPLUS
CN Piperidine, 1-[4-[[1-[(2-chloro-3-pyridinyl)methyl]-4-piperidinyl]oxy]-2-methoxybenzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, trifluoroacetate (20:33) (9CI) (CA INDEX NAME)

CM 1

CRN 162043-80-3
CMF C32 H35 Cl N4 O5

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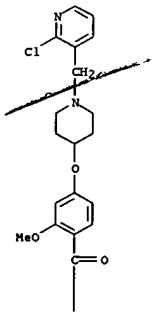

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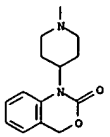


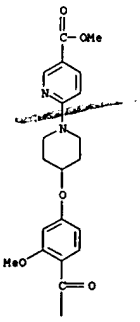
CM 2

CRN 76-05-1
CMF C2 H F3 O2

L15 ANSWER 19 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 196794-13-5 CAPLUS
CN 3-Pyridinecarboxylic acid, 6-[4-[3-methoxy-4-[[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]carbonyl]phenoxy]-1-piperidinyl]-, methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



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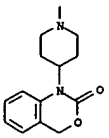
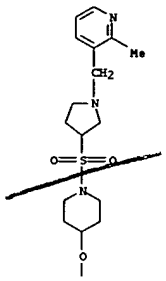


RN 196794-20-4 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-[[1-[(2-methyl-3-pyridinyl)methyl]-3-pyrrolidinyl]sulfonyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)- (9CI) (CA INDEX NAME)

L15 ANSWER 19 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



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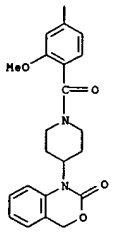

RN 196794-22-6 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-[[1-[(2-methyl-3-pyridinyl)methyl]-3-pyrrolidinyl]carbonyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)- (9CI) (CA INDEX NAME)

L15 ANSWER 19 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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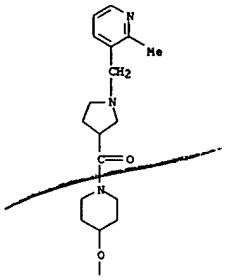

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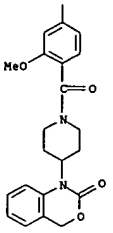

RN 196794-23-7 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-[[4-[(2-methyl-3-pyridinyl)methyl]-1-piperazinyl]sulfonyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)- (9CI) (CA INDEX NAME)

L15 ANSWER 19 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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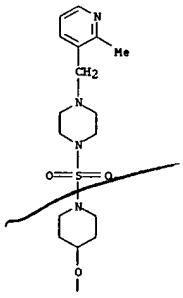

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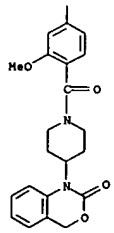

RN 196794-56-6 CAPLUS
CN 3-Pyridinecarboxylic acid, 6-[4-[3-methoxy-4-[[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]carbonyl]phenoxy]-1-piperidinyl]-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)

L15 ANSWER 19 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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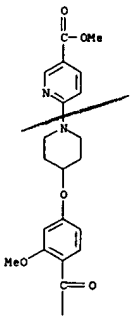

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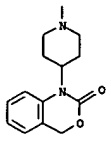

●2 HCl

RN 196794-57-7 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-(5-nitro-2-pyridinyl)-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, hydrochloride (2:5) (9CI) (CA INDEX NAME)

L15 ANSWER 19 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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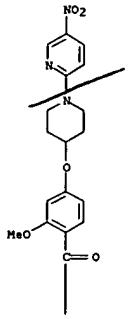

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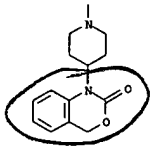

●5/2 HCl

L15 ANSWER 20 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1997:516068 CAPLUS
DOCUMENT NUMBER: 127:135802
TITLE: N-acyl-2-substituted-4-(benzimidazolyl- or imidazopyridinyl)piperidines as tachykinin antagonists
INVENTOR(S): Janssens, Frans Eduard; Somman, Francois Maria; Surlerraux, Dominique Louis Nestor Ghislaine
PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9724350	A1	19970710	WO 1996-EP5877	19961220
W: AL, AM, AU, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, LC, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
TW 429256	B	20010411	TW 1996-85115389	19961213
CA 2238816	AA	19970710	CA 1996-2238816	19961220
AU 9713080	A1	19970728	AU 1997-13080	19961220
AU 707116	B2	19990701		
EP 869955	A1	19981014	EP 1996-944686	19961220
EP 869955	B1	20011024		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI				
BR 9612326	A	19990713	BR 1996-12326	19961220
JP 2000502689	T2	20000307	JP 1997-524029	19961220
AT 207484	E	20011115	AT 1996-944686	19961220
ES 2166915	T3	20020501	ES 1996-944686	19961220
PL 184489	B1	20021129	PL 1996-327440	19961220
ZA 9610894	A	19980623	ZA 1996-10894	19961223
NO 9802406	A	19980824	NO 1998-2406	19980527
US 6110939	A	20000829	US 1998-102121	19980619
HK 1012187	A1	20020308	HK 1998-113363	19981215

PRIORITY APPLN. INFO.: EP 1995-203650 A 19951227
EP 1995-203653 A 19951227
WO 1996-EP5877 W 19961220

OTHER SOURCE(S): MARPAT 127:135802
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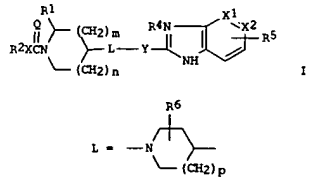
L15 ANSWER 20 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



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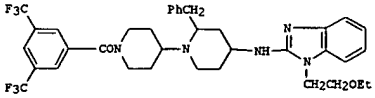


II

AB Title compds. I [n = 0-2; m = 1, 2; X = bond, O, S, NR3; X1, X2 = CH, N; Q = O, NR3; R1 = aryl, aralkyl, diarylalkyl; R2 = aryl, aralkyl, heterocyclyl, heteroaralkylalkyl; L = Q1; R3 = H, alkyl; R4 = (un)substituted alkyl; R5 = H, halogen, OH, alkoxy; R6 = H, alkyl, aralkyl; p = 0-2] were prepd. for use as substance P antagonists. Thus, (.+-.)-tert-Bu 7-benzyl-1,4-dioxa-8-azaspiro[4.5]decane-8-carboxylate was treated with 3,5-(F3C)2C6H3COCl, followed by 1-(2-ethoxyethyl)-2-(4-piperidinylamino)benzimidazole to give the title compd. II. Cis-II gave 80.7% inhibition of substance P-induced relaxation of pig coronary artery at 3 X 10-8 M while trans-II gave 85.3 % inhibition.

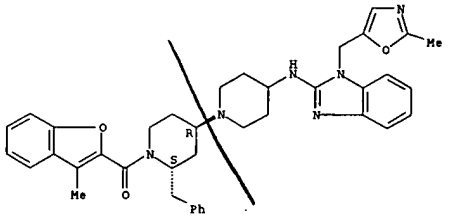
IT 193200-66-7P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzimidazolyl- and imidazopyridinylpiperidines as tachykinin antagonists)

RN 193200-66-7 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, 1'-[(3-methyl-2-benzofuranyl)carbonyl]-N-[1-[(2-methyl-5-oxazolyl)methyl]-1H-benzimidazol-2-yl]-2'-(phenylmethyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L15 ANSWER 20 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



L15 ANSWER 21 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1997:506290 CAPLUS
DOCUMENT NUMBER: 127:135806
TITLE: Preparation of heteroarylcarboxamides as nervous system agents
INVENTOR(S): Birch, Alan Martin; Bradley, Paul Anthony; Gill, Julie Carolyn
PATENT ASSIGNEE(S): Knoll Aktiengesellschaft, Germany; Birch, Alan Martin; Bradley, Paul Anthony; Gill, Julie Carolyn
SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9723485	A1	19970703	WO 1996-EP5637	19961216
W: AU, BG, BR, CA, CN, CZ, GE, HU, IL, JP, KR, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9711958	A1	19970717	AU 1997-11958	19961216
EP 876372	A1	19981111	EP 1996-943129	19961216
EP 876372	B1	20020306		
R: DE, FR, GB, IT				
JP 2000502662	T2	20000307	JP 1997-523278	19961216
US 6107310	A	20000822	US 1998-91129	19980616

PRIORITY APPLN. INFO.: GB 1995-26495 A 19951223
WO 1996-EP5637 W 19961216
OTHER SOURCE(S): MARPAT 127:135806
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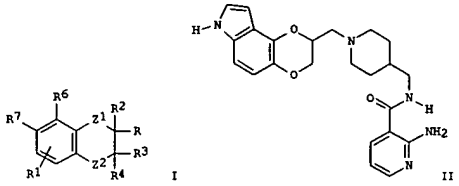
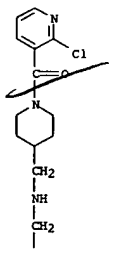


I II

AB Title compds. [I; R = Z3Z4R8; R1 = 1 or 2 of H, halo, alkyl, alkoxy, etc.; R2 = H, alkyl, alkoxy; R3,R4 = H or alkyl; R6R7 = (un)substituted NHCH:CH, -N:CHNH, -NHCH:N, etc.; R8 = (un)substituted heteroarylcarbonyl; Z1,Z2 = O or CH2; Z3 = alkylene; Z4 = NR5Z5Z6, Z6Z5NR5, etc.; R5 = H or alkyl; Z5 =

L15 ANSWER 21 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CN 4-Piperidinemethanamine, 1-[(2-chloro-3-pyridinyl)carbonyl]-N-[(2,3-dihydro-7H-1,4-dioxino[2,3-e]indol-2-yl)methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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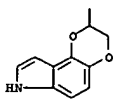

L15 ANSWER 21 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
alkylene; Z6 = N-attached heterocyclylene] were prepd. as 5-HT1A and/or .alpha.1 and/or D2-like receptor ligands. Thus, Et 4-formyl-5-hydroxyindole-2-carboxylate was etherified by (R)-glycidyl tosylate and the product converted in 6 steps to title compd. II. Data for biol. activity of I were given.
IT 193197-54-5P 193197-55-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heteroarylcarboxamides as nervous system agents)
RN 193197-54-5 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2-amino-3-pyridinyl)carbonyl]-N-[(2,3-dihydro-7H-1,4-dioxino[2,3-e]indol-2-yl)methyl]- (9CI) (CA INDEX NAME)

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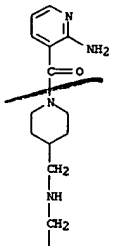

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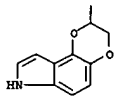
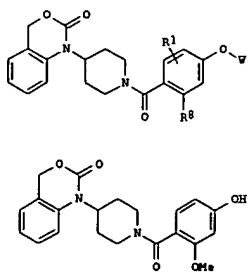


RN 193197-55-6 CAPLUS

L16 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1997:499106 CAPLUS
DOCUMENT NUMBER: 127:190743
TITLE: Preparation of benzoxazinones as tocolytic oxytocin receptor antagonists
INVENTOR(S): Sparks, Michelle A.; Friedinger, Roger M.; Perlow, Debra S.; Williams, Peter D.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Sparks, Michelle A.; Friedinger, Roger M.; Perlow, Debra S.; Williams, Peter D.
SOURCE: PCT Int. Appl., 113 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9725992	A1	19970724	WO 1997-US571	19970113
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9716989	A1	19970811	AU 1997-16989	19970113

PRIORITY APPLN. INFO.: US 1996-10034P P 19960116
GB 1996-5701 A 19960319
WO 1997-US571 W 19970113
OTHER SOURCE(S): MARPAT 127:190743
GI



I II

AB The title compds. [I; R1 = H, halo; W = CR2R3R4, CHR3Ar, etc.; R2 = H,

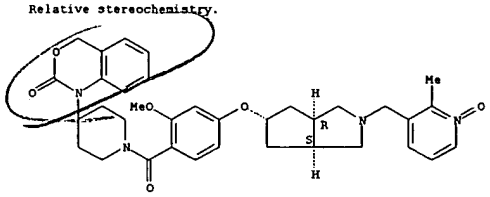
L15 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
halo, C1-5 alkyl; R3 = H, halo, C1-5 alkyl, Ar; R4 = mono-, di-, tri-halogenated C1-5 alkyl, CONH2, etc.; R8 = H, C1-5 alkoxy; Ar = Ph, CF3C6H4, naphthyl, etc.], oxytocin receptor antagonists which are useful in treating preterm labor, dysmenorrhea, stopping labor prior to cesarean delivery, increasing fertility and embryonic survival, and controlling the timing of estrus in a farm animal, were prepd. and formulated. Thus, reaction of benzoxazinone II with Ph2CHBr in the presence of Cs2CO3 in DMF afforded I [R1 = H; W = diphenylmethyl; R8 = MeO]. Representative compds. I showed IC50 of 5-500 nM against [3H]oxytocin and [3H]arginine vasopressin binding.

IT **194151-13-8P 194151-56-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzoxazinones as tocolytic oxytocin receptor antagonists)

RN 194151-13-8 CAPLUS

CN Piperidine, 1-[2-methoxy-4-[[octahydro-2-[(2-methyl-1-oxido-3-pyridinyl)methyl]cyclopenta[c]pyrrol-5-yl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, (3a.alpha.,5.alpha.,6a.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



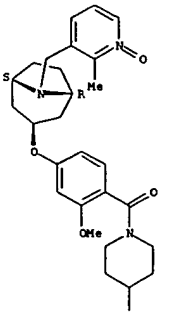
RN 194151-56-9 CAPLUS

CN Piperidine, 1-[2-methoxy-4-[[8-[(2-methyl-1-oxido-3-pyridinyl)methyl]-8-azabicyclo[3.2.1]oct-3-yl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, dihydrochloride, exo- (9CI) (CA INDEX NAME)

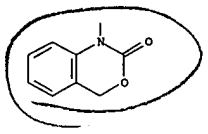
Relative stereochemistry.

L15 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



PAGE 2-A



●2 HCl

L15 ANSWER 23 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1997:499057 CAPLUS
DOCUMENT NUMBER: 127:149145
TITLE: 1-(1,2-Disubstituted piperidinyl)-4-(fused imidazole)piperidine derivatives useful as substance P antagonists
INVENTOR(S): Janssens, Frans Eduard; Lunaerts, Joseph E.; Van Roosbroeck, Yves E. M.
PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.
SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9724356	A1	19970710	WO 1996-EP5885	19961220
W: AL, AM, AU, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, LC, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, BF, BJ, CF, CG, CM, GA, GN, ML, MR, NE, SN, TD, TG				
TW 382017	B	20000211	TW 1996-85115390	19961213
CA 2238817	AA	19970710	CA 1996-2238817	19961220
AU 9713086	A1	19970728	AU 1997-13086	19961220
AU 716071	B2	20000217		
EP 843679	A1	19980527	EP 1996-944693	19961220
EP 843679	B1	20011107		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI				
CN 1206417	A	19990127	CN 1996-199396	19961220
CN 1066733	B	20010606		
BR 9612307	A	19990713	BR 1996-12307	19961220
JP 2000506503	T2	20000530	JP 1997-524033	19961220
AT 208392	E	20011115	AT 1996-944693	19961220
IL 124641	A1	20011125	IL 1996-124641	19961220
ES 2167619	T3	20020516	ES 1996-944693	19961220
PL 183767	B1	20020731	PL 1996-327136	19961220
ZA 9610889	A	19980623	ZA 1996-10889	19961223
NO 9802405	A	19980819	NO 1998-2405	19980527
US 6251894	B1	20010626	US 1998-102136	19980622
HK 1011206	A1	20020322	HK 1998-112228	19981124

PRIORITY APPLN. INFO.: EP 1995-203652 A 19951227
WO 1996-EP5885 W 19961220

OTHER SOURCE(S): MARPAT 127:149145
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention concerns compds. I and their N-oxides, pharmaceutically acceptable addn. salts, and stereoisomers [wherein n = 0, 1, or 2; m = 1 or 2, provided that if n = 2, then n = 1; Q = O or NR3; X = bond, O, S, or NR3; R1 = Ar1, Ar1-alkyl, or di-Ar1-alkyl, wherein each alkyl group is optionally substituted; R2 = Ar2, Ar2-alkyl, Het, Het-alkyl; R3 = H or

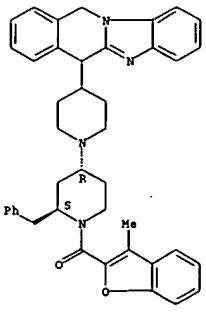
L15 ANSWER 23 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
alkyl; L = piperidine group Q1 or spiropiperidine group Q2; Ar1 = (un)substituted Ph; Ar2 = naphthalenyl, (un)substituted Ph; Het = (un)substituted mono- or bicyclic heterocycle; AB = atoms to form (un)substituted benzo or certain 5-membered hetero fusions; dotted line = optional pi bond; Z = CH2, CH2CH2, CH:CH, CH2CH(OH), CH2O, CH2CO, CH2C(:NOH), with provisos; R4 = H, alkyl, halo, carboxyalkyl, etc.; R5 = H, alkyl, hydroxyalkyl, Ar1, halo; or R4R5 = CH:CHCH:CH, (CH2)4; R6 = H, alkyl, Ar1-alkyl]. I are substance P antagonists, and are useful for treating a variety of conditions, esp. pain, emesis, or asthma. For instance, reductive amination of 1-(3,5-dimethylbenzoyl)-2-(phenylmethyl)-4-piperidinone with 6,11-dihydro-11-(4-piperidinylene)-5H-imidazo[2,1-b][3]benzazepine, by hydrogenation in the presence of a thiophene-poisoned Pd/C catalyst, gave title compd. II. In a test for antagonism of substance P-induced relaxation of isolated pig coronary arteries, I gave up to 100% inhibition at 3 .times. 10-9 M.

IT **193469-06-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of piperidinyl(fused imidazole)piperidine derivs. as substance P antagonists)

RN 193469-06-6 CAPLUS

CN 1,4'-Bipiperidine, 4-(6,11-dihydrobenzimidazo[1,2-b]isoquinolin-6-yl)-1'-[(3-methyl-2-benzofuranyl)carbonyl]-2'-(phenylmethyl)-, (2'.alpha.,4'.beta.)-[partial]- (9CI) (CA INDEX NAME)

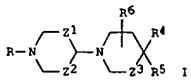
Relative stereochemistry.



L15 ANSWER 24 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1997:499056 CAPLUS
DOCUMENT NUMBER: 127:149078
TITLE: Preparation of acryl 4-piperidinopiperidides and analogs as tachykinin receptor antagonists
INVENTOR(S): Jansseens, Frans Eduard; Sommen, Francois Maria; Surleraux, Dominique Louis Nestor Ghislaine
PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9724324	A1	19970710	WO 1996-EP5883	19961220
W: AL, AM, AU, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, LC, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2238818	AA	19970710	CA 1996-2238818	19961220
AU 9713084	A1	19970728	AU 1997-13084	19961220
AU 707037	B2	19990701		
EP 855999	A1	19980805	EP 1996-944691	19961220
EP 855999	B1	20011004		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI				
BR 9612334	A	19990302	BR 1996-12334	19961220
JP 2000502690	T2	20000307	JP 1997-524031	19961220
AT 206397	E	20011015	AT 1996-944691	19961220
ES 2164939	T3	20020301	ES 1996-944691	19961220
IL 124640	A1	20020523	IL 1996-124640	19961220
ZA 9610885	A	19980623	ZA 1996-10885	19961223
NO 9802404	A	19980819	NO 1998-2404	19980527
US 6169097	B1	20010102	US 1998-102295	19980622
HK 1011205	A1	20020308	HK 1998-112227	19981124
US 6346540	B1	20020212	US 2000-615523	20000713

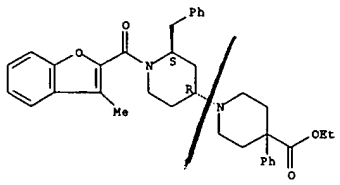
PRIORITY APPLN. INFO.: EP 1995-203651 A 19951227
WO 1996-EP5883 W 19961220
US 1998-102295 A1 19980622
OTHER SOURCE(S): MARPAT 127:149078
GI



I

L15 ANSWER 24 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
AB Title compds. [I; R = C(:X)ZR2; R1 = (un)substituted (di)phenyl(alkyl); R2 = (un)substituted phenyl(alkyl), heteroaryl(alkyl), etc.; R4 = H, alkyl, alkoxycarbonyl, Ph, etc.; R5 = H, OH, NH2, phenyl(alkoxy), etc.; R4R5 = atoms to form a ring; R6 = H, OH, (phenyl)alkyl, alkoxy, etc.; X = O or (alkyl)imino; Z = bond, O, S, (alkyl)imino; Z1 = CH2 or CH2CH2; Z2,Z3 = bond, CH2, CH2CH2] were prepd. Thus, 1,1-dimethylethyl 4-oxo-2-phenylmethylpiperidine-1-carboxylate was reductively condensed with N-(4-phenyl-4-piperidinyl)acetamide and the product deprotected to give I (R1 = CH2Ph, R4 = Ph, R5 = NHAc, R6 = H, Z1 = Z2 = Z3 = CH2)(II; R = H) which was amidated by 2,4-dimethylthiazole-5-carboxylic acid to give II (R = 2,4-dimethyl-5-thiazolylcarbonyl). Data for biol. activity of I were given.
IT 193479-87-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of acryl 4-piperidinopiperidides and analogs as tachykinin receptor antagonists)
RN 193479-87-7 CAPLUS
CN [1,4'-Bipiperidine]-4-carboxylic acid, 1'-[(3-methyl-2-benzofuranyl)carbonyl]-4-phenyl-2'-(phenylmethyl)-, ethyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L15 ANSWER 25 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1997:204149 CAPLUS
DOCUMENT NUMBER: 126:199573
TITLE: Heterocyclylcarboxamide derivatives for use as neurotransmitter agonists
INVENTOR(S): Birch, Alan Martin; Heal, David John; Kerrigan, Frank; Martin, Keith Frank; Needham, Patricia Lesley; Sargent, Bruce Jeremy
PATENT ASSIGNEE(S): Knoll Aktiengesellschaft, Germany; Birch, Alan Martin; Heal, David John; Kerrigan, Frank; Martin, Keith Frank; Needham, Patricia Lesley; Sargent, Bruce Jeremy
SOURCE: PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9703071	A1	19970130	WO 1996-EP2890	19960702
W: AU, BG, BR, CA, CN, CZ, GE, HU, IL, JP, KR, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2223472	AA	19970130	CA 1996-2223472	19960702
AU 9665172	A1	19970210	AU 1996-65172	19960702
AU 708890	B2	19990812		
EP 839145	A1	19980506	EP 1996-924847	19960702
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LV, FI				
CN 1190967	A	19980819	CN 1996-195477	19960702
CN 1071755	B	20010926		
BR 9609506	A	19990601	BR 1996-9506	19960702
JP 11508599	T2	19990727	JP 1996-505471	19960702
RU 2169147	C2	20010620	RU 1998-102441	19960702
IL 122540	A1	20011031	IL 1996-122540	19960702
ZA 9605921	A	19980112	ZA 1996-5921	19960712
TW 454006	B	20010911	TW 1996-85115692	19961219
US 5935973	A	19990810	US 1998-981671	19980105
NO 9800129	A	19980112	NO 1998-129	19980112

PRIORITY APPLN. INFO.: GB 1995-14380 A 19950713
WO 1996-EP2890 W 19960702
OTHER SOURCE(S): MARPAT 126:199573
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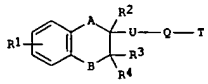
L15 ANSWER 25 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



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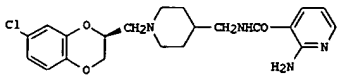
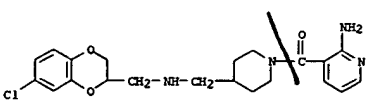


II

AB Title compds. I [A, B = CH2, O; R1 = optional substituent(s); R2-R4 = H, (un)substituted alkyl; U = (un)branched alkylene; Q = N-contg. divalent group; T = heterocyclylcarbonyl attached to N in Q] were prepd. for use in treating central nervous system disorders. Thus, the benzodioxane II was prepd. from 5-chloro-2-hydroxybenzaldehyde, (R)-glycidyl tosylate, and 4-aminomethylpiperidine in 8 steps. II had a Ki for 5_HT1.alpha. receptor binding of 41.5 nM and also bound to the .alpha.2D, D2, and .alpha.1 receptors.
IT 187542-94-5P 187543-09-5P 187543-14-2P 187543-17-5P 187543-24-4P 187543-27-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzodioxanylmethylpiperidinylmethylcarbamoylpyridines as neurotransmitter agonists)
RN 187542-94-5 CAPLUS
CN 4-Piperidinemethanamine, 1-[(2-amino-3-pyridinyl)carbonyl]-N-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-, (2E)-2-butenedioate (5:8) (9CI) (CA INDEX NAME)

CM 1

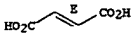
CRN 187542-93-4
CMF C21 H25 Cl N4 O3



CM 2

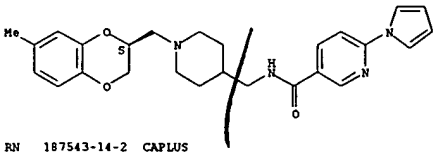
CRN 110-17-8
CMF C4 H4 O4

L15 ANSWER 25 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
Double bond geometry as shown.



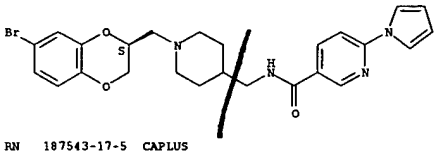
RN 187543-09-5 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(2,3-dihydro-7-methyl-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-6-(1H-pyrrol-1-yl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 187543-14-2 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(7-bromo-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-6-(1H-pyrrol-1-yl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

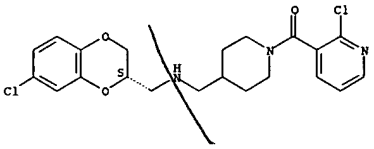


RN 187543-17-5 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-6-(1H-pyrrol-1-yl)-, (S)- (9CI) (CA INDEX NAME)

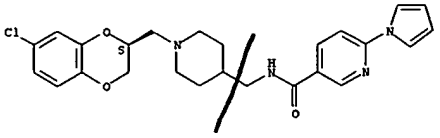
Absolute stereochemistry.

L15 ANSWER 25 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
NAME)

Absolute stereochemistry.

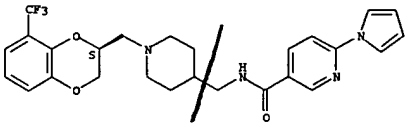


L15 ANSWER 25 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



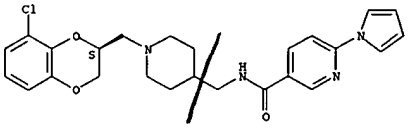
RN 187543-24-4 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[[2,3-dihydro-8-(trifluoromethyl)-1,4-benzodioxin-2-yl]methyl]-4-piperidinyl]methyl]-6-(1H-pyrrol-1-yl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 187543-27-7 CAPLUS
CN 3-Pyridinecarboxamide, N-[[1-[(8-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-4-piperidinyl]methyl]-6-(1H-pyrrol-1-yl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 187543-74-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of benzodioxanylmethylpiperidinylmethylcarbamoylpyridines as neurotransmitter agonists)
RN 187543-74-4 CAPLUS
CN 4-Piperidinemethanamine, N-[(7-chloro-2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-1-[(2-chloro-3-pyridinyl)carbonyl]-, (S)- (9CI) (CA INDEX

L15 ANSWER 26 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1996:609954 CAPLUS
DOCUMENT NUMBER: 125:247623
TITLE: Preparation of 5-[(4-substituted)piperidin-1-yl]-3-arylpentanoic acid-derivative tachykinin receptor antagonists
INVENTOR(S): Bernstein, Peter Robert; Dembofsky, Bruce Thomas; Jacobs, Robert Toms
PATENT ASSIGNEE(S): Zeneca Limited, UK
SOURCE: PCT Int. Appl., 110 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9624582	A1	19960815	WO 1996-GB259	19960208
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN				
CA 2209832	AA	19960815	CA 1996-2209832	19960208
AU 9646297	A1	19960827	AU 1996-46297	19960208
AU 714289	B2	19991223		
EP 808303	A1	19971126	EP 1996-901904	19960208
EP 808303	B1	20010620		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
CN 1181069	A	19980506	CN 1996-193228	19960208
JP 10513191	T2	19981215	JP 1996-524072	19960208
AT 202342	E	20010715	AT 1996-901904	19960208
ES 2159717	T3	20011016	ES 1996-901904	19960208
ZA 9601069	A	19960812	ZA 1996-1069	19960209
FI 9703283	A	19971007	FI 1997-3283	19970808
NO 9703652	A	19971008	NO 1997-3652	19970808

PRIORITY APPLN. INFO.: GB 1995-2644 A 19950210
WO 1996-GB259 W 19960208
OTHER SOURCE(S): MARPAT 125:247623
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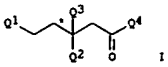


AB The title compds. (I; Q1-Q4 have the meanings given in the claims; * = an optionally asym. center) [e.g., N-benzyl-5-(4-hydroxy-4-phenylpiperidino)-3-(3,4-dichlorophenyl)pentamide; m.p. 64-67.degree.] are nonpeptide antagonists of substance P and NKA (e.g., neurokinin NK1 and NK2 receptors), useful for the treatment of asthma (no data), etc. (no data), are prepd.

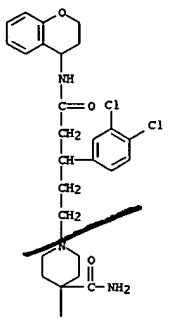
L15 ANSWER 26 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

IT **181879-82-3P 181880-04-6P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 5-[(4-substituted)piperidin-1-yl]-3-arylpentanoic acid-deriv. tachykinin receptor antagonists)

RN 181879-82-3 CAPLUS

CN [1,4'-Bipiperidine]-1'-pentanamide, 4'-(aminocarbonyl)-.beta.-(3,4-dichlorophenyl)-N-(3,4-dihydro-2H-1-benzopyran-4-yl)- (9CI) (CA INDEX NAME)

PAGE 1-A



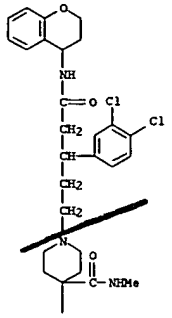
PAGE 2-A

RN 181880-04-6 CAPLUS

CN [1,4'-Bipiperidine]-1'-pentanamide, .beta.-(3,4-dichlorophenyl)-N-(3,4-dihydro-2H-1-benzopyran-4-yl)-4'-[(methylamino)carbonyl]-2-oxo- (9CI) (CA INDEX NAME)

L15 ANSWER 26 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



PAGE 2-A

L15 ANSWER 27 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN

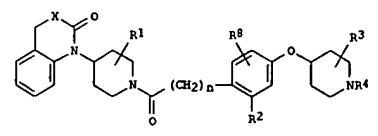
ACCESSION NUMBER: 1996:583976 CAPLUS
DOCUMENT NUMBER: 125:221854
TITLE: Preparation of tocolytic oxytocin receptor antagonists
INVENTOR(S): Williams, Peter D.; Freidinger, Roger M.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: PCT Int. Appl., 235 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9622775	A1	19960801	WO 1996-US850	19960119
W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, AZ, BY, KG, KZ, RU				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2210138	AA	19960801	CA 1996-2210138	19960119
AU 9647638	A1	19960814	AU 1996-47638	19960119
EP 805681	A1	19971112	EP 1996-903618	19960119
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				

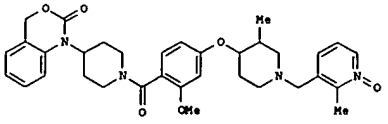
PRIORITY APPLN. INFO.: US 1995-378113 19950124
WO 1996-US850 19960119

OTHER SOURCE(S): MARPAT 125:221854

GI



I



II

AB The title compds. [I; R1 = H, (un)substituted alkyl, alkoxy, CO2H, CONH2; R2 = H, alkoxy; R3 = H, (un)substituted alkyl, alkoxycarbonyl, CO2H, CONH2; R4 = H, alkoxycarbonyl, alkyl, (un)substituted pyridylmethyl, etc.; R8 = H, alkyl, halogen; X = CH2, O; n = 0, 1], useful as oxytocin receptor antagonists (e.g., IC50 = 2-1000 nM) for the treatment of preterm labor (no data), dysmenorrhea (no data), and stopping preterm labor prior to cesarean delivery (no data), are prepd. and a I-contg. formulation is

L15 ANSWER 27 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

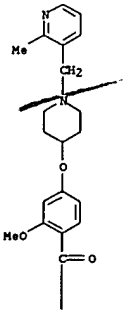
presented. Thus, 1-[1-[4-(3-methyl-4-piperidinyloxy)-2-methoxybenzoyl]piperidin-4-yl]-4H-3,1-benzoxazin-2(1H)-one was reacted with 3-(chloromethyl)-2-methylpyridine-N-oxide, producing benzoxazinone II.

IT **162043-82-5P 181269-27-2P 181269-28-3P**
181269-29-4P 181269-31-8P 181269-37-4P
181269-38-5P 181269-42-1P 181269-46-5P
181269-52-3P 181269-56-7P 181269-57-8P
181269-58-9P 181269-59-0P 181269-60-3P
181269-61-4P 181269-62-5P 181269-63-6P
181269-64-7P 181269-65-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tocolytic oxytocin receptor antagonists)

RN 162043-82-5 CAPLUS

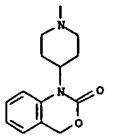
CN Piperidine, 1-[2-methoxy-4-[[1-[(2-methyl-3-pyridinyl)methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



L15 ANSWER 27 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

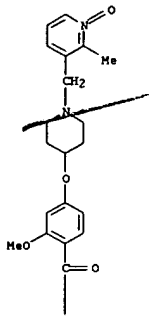
PAGE 2-A



● HCl

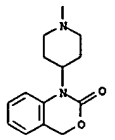
RN 181269-27-2 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-[(2-methyl-1-oxido-3-pyridinyl)methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



L15 ANSWER 27 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

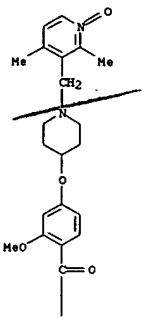
PAGE 2-A



● HCl

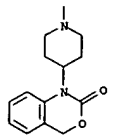
RN 181269-28-3 CAPLUS
CN Piperidine, 1-[4-[[1-[(2,4-dimethyl-1-oxido-3-pyridinyl)methyl]-4-piperidinyl]oxy]-2-methoxybenzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, hydrochloride (5:7) (9CI) (CA INDEX NAME)

PAGE 1-A



L15 ANSWER 27 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

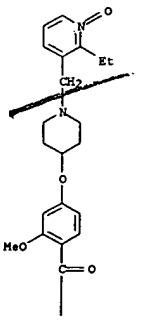
PAGE 2-A



●7/5 HCl

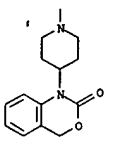
RN 181269-29-4 CAPLUS
CN Piperidine, 1-[4-[[1-[(2-ethyl-1-oxido-3-pyridinyl)methyl]-4-piperidinyl]oxy]-2-methoxybenzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, hydrochloride (4:5) (9CI) (CA INDEX NAME)

PAGE 1-A



L15 ANSWER 27 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 2-A



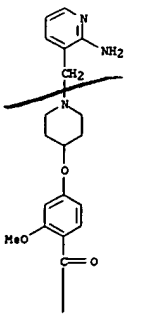
●5/4 HCl

RN 181269-31-8 CAPLUS
CN Piperidine, 1-[4-[[1-[(2-amino-3-pyridinyl)methyl]-4-piperidinyl]oxy]-2-methoxybenzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, trifluoroacetate (10:27) (9CI) (CA INDEX NAME)

CM 1

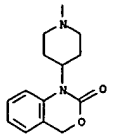
CRN 181269-30-7
CMF C32 H37 N5 O5

PAGE 1-A



L15 ANSWER 27 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 2-A



CM 2

CRN 76-05-1
CMF C2 H F3 O2

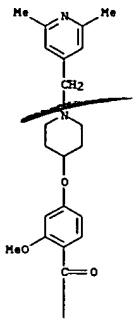
RN 181269-37-4 CAPLUS
CN Piperidine, 1-[4-[[1-[(2,6-dimethyl-4-pyridinyl)methyl]-4-piperidinyl]oxy]-2-methoxybenzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, trifluoroacetate (2:5) (9CI) (CA INDEX NAME)

CM 1

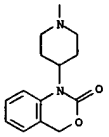
CRN 162043-78-9
CMF C34 H40 N4 O5

L15 ANSWER 27 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



PAGE 2-A



CM 2

CRN 76-05-1
CMF C2 H F3 O2

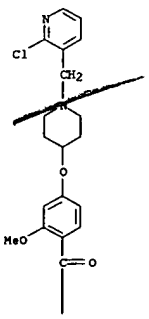
L15 ANSWER 27 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 181269-38-5 CAPLUS
CN Piperidine, 1-[4-[[1-[(2-chloro-3-pyridinyl)methyl]-4-piperidinyl]oxy]-2-methoxybenzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, trifluoroacetate (20:33) (9CI) (CA INDEX NAME)

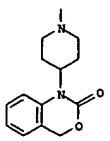
CM 1

CRN 162043-80-3
CMF C32 H35 Cl N4 O5

PAGE 1-A



PAGE 2-A



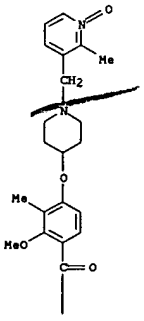
CM 2

CRN 76-05-1
CMF C2 H F3 O2

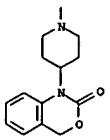
L15 ANSWER 27 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 181269-42-1 CAPLUS
CN Piperidine, 1-[2-methoxy-3-methyl-4-[[1-[(2-methyl-1-oxido-3-pyridinyl)methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)- (9CI) (CA INDEX NAME)

PAGE 1-A



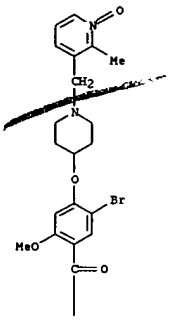
PAGE 2-A



RN 181269-46-5 CAPLUS
CN Piperidine, 1-[5-bromo-2-methoxy-4-[[1-[(2-methyl-1-oxido-3-pyridinyl)methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, hydrochloride (2:5) (9CI) (CA INDEX NAME)

L15 ANSWER 27 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



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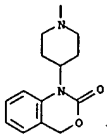
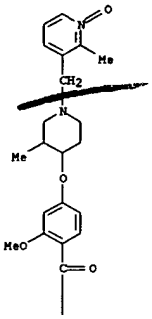


●5/2 HCl

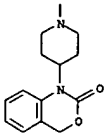
RN 181269-52-3 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[3-methyl-1-[(2-methyl-1-oxido-3-pyridinyl)methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)- (9CI) (CA INDEX NAME)

L15 ANSWER 27 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



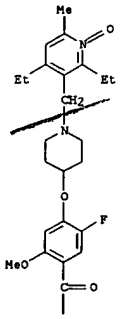
PAGE 2-A



RN 181269-56-7 CAPLUS
CN Piperidine, 1-[4-[[1-[(2,4-diethyl-6-methyl-1-oxido-3-pyridinyl)methyl]-4-piperidinyl]oxy]-5-fluoro-2-methoxybenzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, hydrochloride (10:7) (9CI) (CA INDEX NAME)

L15 ANSWER 27 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



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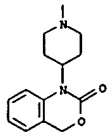
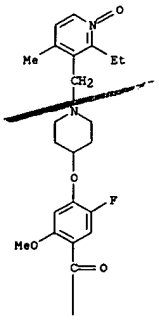


●7/10 HCl

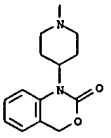
RN 181269-57-8 CAPLUS
CN Piperidine, 1-[4-[[1-[(2-ethyl-4-methyl-1-oxido-3-pyridinyl)methyl]-4-piperidinyl]oxy]-5-fluoro-2-methoxybenzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, hydrochloride (2:5) (9CI) (CA INDEX NAME)

L15 ANSWER 27 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



PAGE 2-A

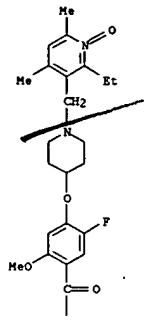


●5/2 HCl

RN 181269-58-9 CAPLUS
CN Piperidine, 1-[4-[[1-[(2-ethyl-4,6-dimethyl-1-oxido-3-pyridinyl)methyl]-4-piperidinyl]oxy]-5-fluoro-2-methoxybenzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, hydrochloride (2:5) (9CI) (CA INDEX NAME)

L15 ANSWER 27 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



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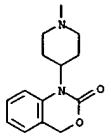
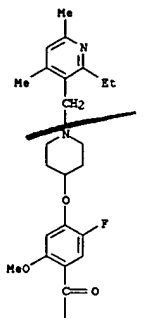


●5/2 HCl

RN 181269-59-0 CAPLUS
CN Piperidine, 1-[4-[[1-[(2-ethyl-4,6-dimethyl-3-pyridinyl)methyl]-4-piperidinyl]oxy]-5-fluoro-2-methoxybenzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, hydrochloride (20:33) (9CI) (CA INDEX NAME)

L15 ANSWER 27 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



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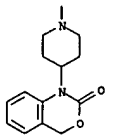

●33/20 HCl

RN 181269-60-3 CAPLUS
CN Piperidine, 1-[5-fluoro-2-methoxy-4-[[1-[(2-methyl-1-oxido-3-pyridinyl)methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, hydrochloride (5:12) (9CI) (CA INDEX NAME)

L15 ANSWER 27 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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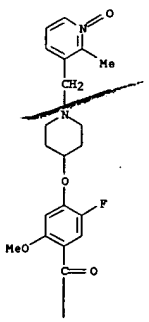

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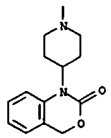

●12/5 HCl

RN 181269-61-4 CAPLUS
CN Piperidine, 1-[5-fluoro-2-methoxy-4-[[1-[[2-(1-methylethyl)-1-oxido-3-pyridinyl]methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, hydrochloride (2:5) (9CI) (CA INDEX NAME)

L15 ANSWER 27 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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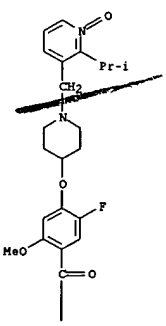

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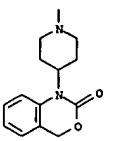
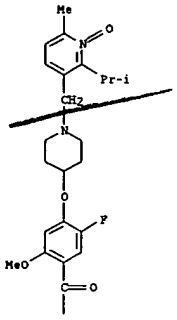


●5/2 HCl

RN 181269-62-5 CAPLUS
CN Piperidine, 1-[5-fluoro-2-methoxy-4-[[1-[[6-methyl-2-(1-methylethyl)-1-oxido-3-pyridinyl]methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, hydrochloride (2:5) (9CI) (CA INDEX NAME)

L15 ANSWER 27 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



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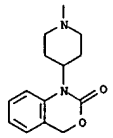
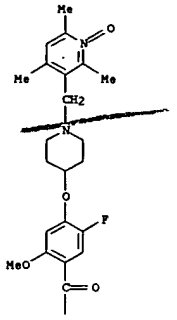


●5/2 HCl

RN 181269-63-6 CAPLUS
CN Piperidine, 1-[5-fluoro-2-methoxy-4-[[1-[(2,4,6-trimethyl-1-oxido-3-pyridinyl)methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, hydrochloride (5:8) (9CI) (CA INDEX NAME)

L15 ANSWER 27 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



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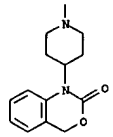

●8/5 HCl

RN 181269-64-7 CAPLUS
CN Piperidine, 1-[4-[[1-[(2,6-diethyl-4-methyl-1-oxido-3-pyridinyl)methyl]-4-piperidinyl]oxy]-2-methoxybenzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, dihydrochloride (9CI) (CA INDEX NAME)

L15 ANSWER 27 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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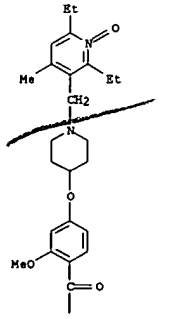

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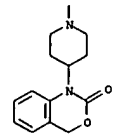
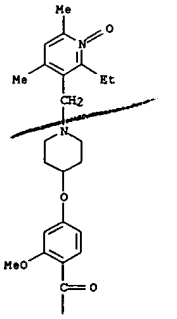


●2 HCl

RN 181269-65-8 CAPLUS
CN Piperidine, 1-[4-[[1-[(2-ethyl-4,6-dimethyl-1-oxido-3-pyridinyl)methyl]-4-piperidinyl]oxy]-2-methoxybenzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, hydrochloride (2:5) (9CI) (CA INDEX NAME)

L15 ANSWER 27 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



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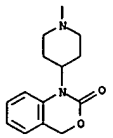

●5/2 HCl

IT 181269-67-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of tocolytic oxytocin receptor antagonists)
RN 181269-67-0 CAPLUS
CN Piperidine, 1-[4-[[1-[[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-3-pyridinyl]methyl]-4-piperidinyl]oxy]-2-methoxybenzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)- (9CI) (CA INDEX NAME)

L15 ANSWER 27 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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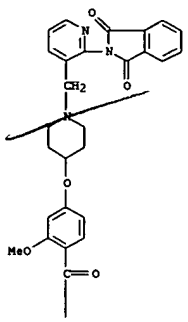
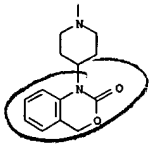


PAGE 2-A



L15 ANSWER 28 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1995:921838 CAPLUS
DOCUMENT NUMBER: 123:340154
TITLE: Preparation of aromatic bicyclic heterocyclic compounds as serotoninergic and dopaminergic receptor antagonists
INVENTOR(S): Kerrigan, Frank; Heal, David John; Martin, Keith Frank
PATENT ASSIGNEE(S): Boots Co. PLC, UK
SOURCE: PCT Int. Appl., 103 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9507274	A1	19950316	WO 1994-EP2904	19940901
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ				
RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
IN 179168	A	19970906	IN 1994-MA843	19940831
CA 2170056	AA	19950316	CA 1994-2170056	19940901
AU 9476928	A1	19950327	AU 1994-76928	19940901
AU 689802	B2	19980409		
EP 717739	A1	19960626	EP 1994-927531	19940901
EP 717739	B1	20000329		
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CN 1133043	A	19961009	CN 1994-193808	19940901
CN 1052723	B	20000524		
BR 9407413	A	19961112	BR 1994-7413	19940901
JP 09502431	T2	19970311	JP 1994-508440	19940901
HU 75875	A2	19970528	HU 1996-552	19940901
RU 2136680	C1	19990910	RU 1996-113203	19940901
PL 178270	B1	20000331	PL 1994-313347	19940901
AT 191214	E	20000415	AT 1994-927531	19940901
ES 2144528	T3	20000616	ES 1994-927531	19940901
RO 116811	B1	20010629	RO 1996-406	19940901
IL 110844	A1	19991028	IL 1994-110844	19940902
ZA 9406798	A	19950406	ZA 1994-6798	19940905
BG 63272	B1	20010831	BG 1996-100388	19960229
FI 9601016	A	19960305	FI 1996-1016	19960305
NO 9600888	A	19960305	NO 1996-888	19960305
US 5767116	A	19980616	US 1996-605130	19960605

PRIORITY APPLN. INFO.: GB 1993-18431 A 19930906
WO 1994-EP2904 W 19940901
OTHER SOURCE(S): MARPAT 123:340154
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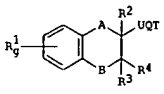
L15 ANSWER 28 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



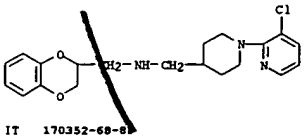
I

AB The title compds. [I; A, B = CH2, O; Q = N-contg. (un)substituted bridging group; R1 = halogen, (un)substituted alkyl, alkoxy, alkylthio, OH, acyloxy, CN, alkoxycarbonyl, (un)substituted carbamoyl, etc.; R2 = alkyl, alkoxy; R3, R4 = H, alkyl; T = (un)substituted N-contg. heteroaryl, benzofuranyl, benzodioxanyl; U = (un)substituted alkylene; g = 0-4], useful as serotoninergic, adrenergic, and dopaminergic receptor antagonists, are prepd. and I-contg. formulations presented. Thus, N-(1,4-benzodioxan-2-ylmethyl)-1-[1-(3-chloropyrid-2-yl)piperid-4-yl]methylamine 1.4 hydrochloride, m.p. 251-253.degree., was prepd. from 2,3-dichloropyridine and demonstrated a Ki of 1.9 nM against rat brain-derived 5-HT1A receptors.

IT 170352-99-5
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(claimed compd.; prepn. of arom. bicyclic heterocyclic compds. as serotoninergic and adrenergic and dopaminergic receptor antagonists)

RN 170352-99-5 CAPLUS

CN 4-Piperidinemethanamine, 1-(3-chloro-2-pyridinyl)-N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]- (9CI) (CA INDEX NAME)

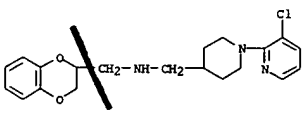


IT 170352-68-8
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of arom. bicyclic heterocyclic compds. as serotoninergic and adrenergic and dopaminergic receptor antagonists)

RN 170352-68-8 CAPLUS

CN 4-Piperidinemethanamine, 1-(3-chloro-2-pyridinyl)-N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-, hydrochloride (5:7) (9CI) (CA INDEX NAME)

L15 ANSWER 28 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



●7/5 HCl

L15 ANSWER 29 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1995:470323 CAPLUS
DOCUMENT NUMBER: 123:276051
TITLE: Benzoxazinone and benzopyrimidinone piperidinyl tocolytic oxytocin receptor antagonists
INVENTOR(S): Bock, Mark G.; Evans, Ben E.; Hobbs, Doug W.; Williams, Peter D.; Anderson, Paul S.; Freidinger, Roger M.; Pettibone, Douglas J.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: PCT Int. Appl., 385 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9502405	A1	19950126	WO 1994-US7784	19940714
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KE, KG, KR, KZ, LK, LT, LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, US, UZ				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9475132	A1	19950213	AU 1994-75132	19940714
AU 691829	B2	19980528		
EP 714299	A1	19960605	EP 1994-925092	19940714
EP 714299	B1	20020424		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 09500134	T2	19970107	JP 1994-504656	19940714
AT 216580	E	20020515	AT 1994-925092	19940714

PRIORITY APPLN. INFO.: US 1993-92840 A 19930716
WO 1994-US7784 W 19940714
OTHER SOURCE(S): MARPAT 123:276051
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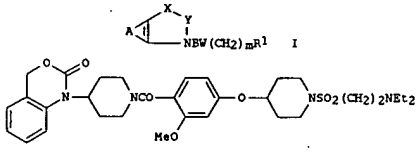

I

II

AB Fused N-contg. heterocyclic ring system derivs. I [A completes a 5- or 6-membered carbocyclic or N- and/or S-contg. heterocyclic ring; X = O, NH, (CH2)qO, CH2NH, OCH2, CH:CH, S, etc.; Y = CH2, C:O, C:S, C:NH, C:NMe; B =

L15 ANSWER 29 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(substituted) N-contg. heterocyclic or heterobicyclic ring; W = CH2, C:O, CO2, SO2, C(:NCH2Ph), etc.; R1 = (hetero)aryl, C1-5 alkoxy, camphor-10-yl] are useful as oxytocin and vasopressin receptor antagonists, e.g in treatment of preterm labor and dysmenorrhea and in stopping labor preparatory to cesarean delivery. Thus, in competitive radioligand binding assays on rat uterus membrane prepns., high-affinity binding of oxytocin-3H was inhibited by 1-[1-[4-[1-[(diethylaminoethyl)sulfonyl]-4-piperidinyloxy]-2-methoxybenzoyl]piperidin-4-yl]-1,2-dihydro-4H-3,1-benzoxazin-2-one (II) with an IC50 of 23 nM. II was prepd. in 7 steps from Me 2,4-dihydroxybenzoate, N-tert-butyloxy-4-piperidinol, 1-(4-piperidinyl)-1,2-dihydro-4H-3,1-benzoxazin-2-one-HCl (prepn. given), ClCH2CH2SO2Cl, and HNEt2. Prepn. of 277 compds. of formula I is described.

IT 162043-77-8P 162043-79-0P 162043-81-4P
162043-82-5P 162043-83-6P 162043-84-7P
162043-85-8P 162043-86-9P 162044-01-1P
162044-03-3P 162044-05-5P 162044-11-3P
162044-14-6P 162044-17-9P 162045-26-3P
162045-27-4P 162045-28-5P 162046-44-8P
162046-45-9P 162046-48-2P 162046-49-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(benzoxazinone and benzopyrimidinone piperidinyl tocolytic oxytocin receptor antagonists)

RN 162043-77-8 CAPLUS
CN Piperidine, 1-[4-[[1-[(2-chloro-6-methyl-4-pyridinyl)methyl]-4-piperidinyl]oxy]-2-methoxybenzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)- (9CI) (CA INDEX NAME)

L15 ANSWER 29 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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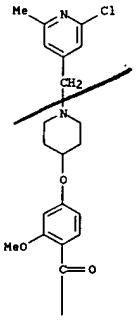

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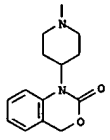

RN 162043-79-0 CAPLUS
CN Piperidine, 1-[4-[[1-[(2,6-dimethyl-4-pyridinyl)methyl]-4-piperidinyl]oxy]-2-methoxybenzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 162043-78-9
CMF C34 H40 N4 O5

L15 ANSWER 29 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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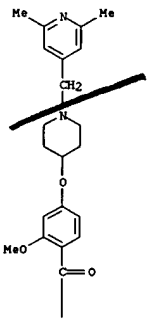

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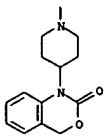


CM 2

CRN 76-05-1
CMF C2 H F3 O2

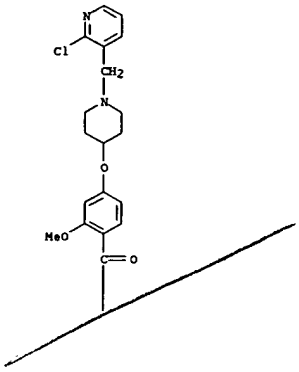
RN 162043-81-4 CAPLUS
CN Piperidine, 1-[4-[[1-[(2-chloro-3-pyridinyl)methyl]-4-piperidinyl]oxy]-2-methoxybenzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, trifluoroacetate

L15 ANSWER 29 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(9CI) (CA INDEX NAME)

CM 1

CRN 162043-80-3
CMF C32 H35 Cl N4 O5

PAGE 1-A



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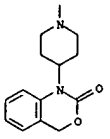


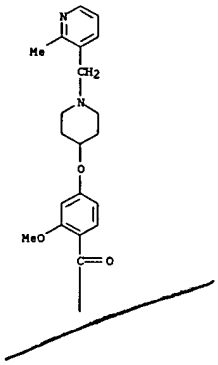
CM 2

CRN 76-05-1
CMF C2 H F3 O2

L15 ANSWER 29 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

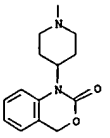
RN 162043-82-5 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-[(2-methyl-3-pyridinyl)methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



L15 ANSWER 29 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

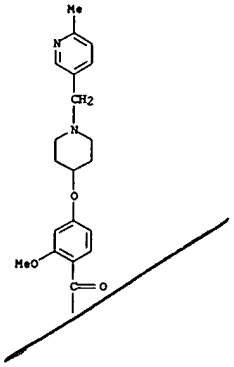
PAGE 2-A



● HCl

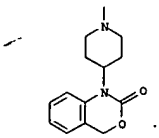
RN 162043-83-6 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-[(6-methyl-3-pyridinyl)methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)- (9CI) (CA INDEX NAME)

PAGE 1-A



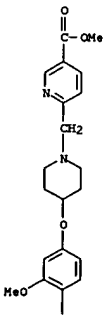
L15 ANSWER 29 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 2-A



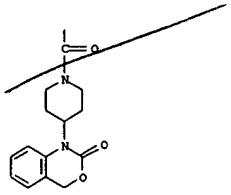
RN 162043-84-7 CAPLUS
CN 3-Pyridinecarboxylic acid, 6-[[4-[3-methoxy-4-[[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]carbonyl]phenoxy]-1-piperidinyl]methyl]-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



L15 ANSWER 29 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

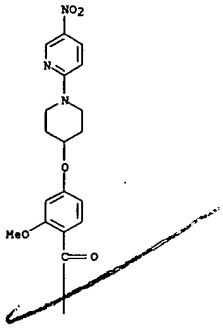
PAGE 2-A



●2 HCl

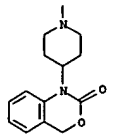
RN 162043-85-8 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-(5-nitro-2-pyridinyl)-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, dihydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



L15 ANSWER 29 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

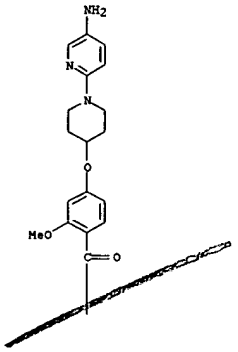
PAGE 2-A



●2 HCl

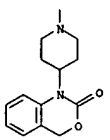
RN 162043-86-9 CAPLUS
CN Piperidine, 1-[4-[[1-(5-amino-2-pyridinyl)-4-piperidinyl]oxy]-2-methoxybenzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)- (9CI) (CA INDEX NAME)

PAGE 1-A



L15 ANSWER 29 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 2-A

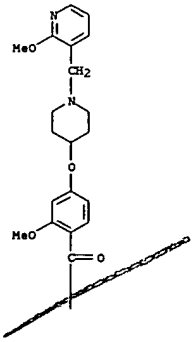


RN 162044-01-1 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-[(2-methoxy-3-pyridinyl)methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

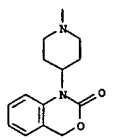
CRN 162044-00-0
CMF C33 H38 N4 O6

PAGE 1-A



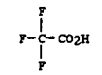
L15 ANSWER 29 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 2-A



CM 2

CRN 76-05-1
CMF C2 H F3 O2



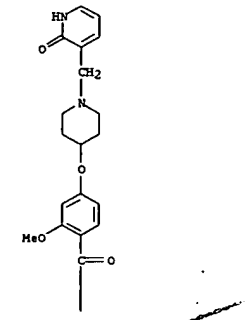
RN 162044-03-3 CAPLUS
CN Piperidine, 1-[4-[[1-[(1,2-dihydro-2-oxo-3-pyridinyl)methyl]-4-piperidinyl]oxy]-2-methoxybenzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

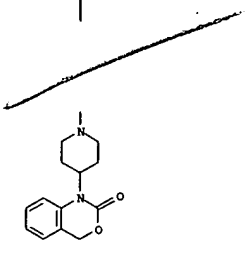
CRN 162044-02-2
CMF C32 H36 N4 O6

L15 ANSWER 29 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



PAGE 2-A



CM 2

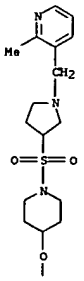
CRN 76-05-1
CMF C2 H F3 O2

L15 ANSWER 29 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

CRN 76-05-1
CMF C2 H F3 O2

RN 162044-11-3 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-[[1-[(2-methyl-3-pyridinyl)methyl]-3-pyrrolidinyl]sulfonyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, dihydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



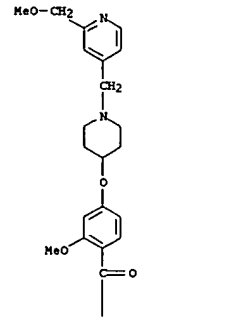
L15 ANSWER 29 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 162044-05-5 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-[[2-(methoxymethyl)-4-pyridinyl]methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 162044-04-4
CMF C34 H40 N4 O6

PAGE 1-A



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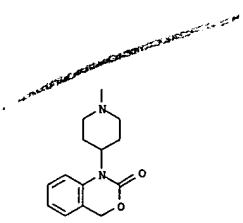
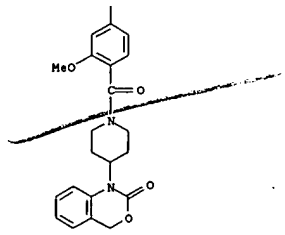


CM 2

L15 ANSWER 29 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

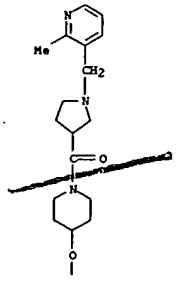
PAGE 2-A



●2 HCl

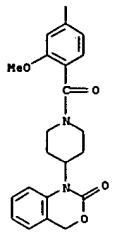
RN 162044-14-6 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-[[1-[(2-methyl-3-pyridinyl)methyl]-3-pyrrolidinyl]carbonyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, hydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



L15 ANSWER 29 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

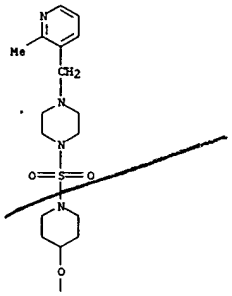
PAGE 2-A



●x HCl

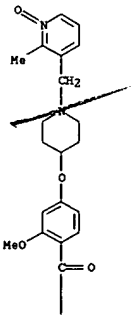
RN 162044-17-9 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-[[4-[(2-methyl-3-pyridinyl)methyl]-1-piperazinyl]sulfonyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, dihydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



L15 ANSWER 29 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



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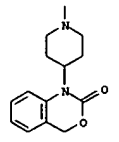


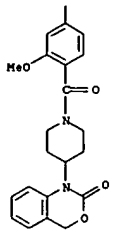
RN 162045-27-4 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-[(2-methyl-1-oxido-3-pyridinyl)methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 162045-26-3
CMF C33 H38 N4 O6

L15 ANSWER 29 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 2-A



●2 HCl

RN 162045-26-3 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-[(2-methyl-1-oxido-3-pyridinyl)methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)- (9CI) (CA INDEX NAME)

L15 ANSWER 29 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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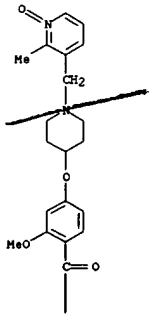

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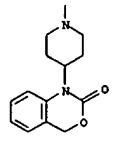


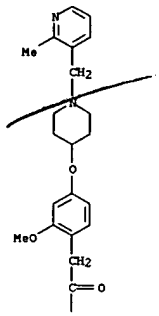
CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 162045-28-5 CAPLUS
CN Piperidine, 1-[[2-methoxy-4-[[1-[(2-methyl-3-pyridinyl)methyl]-4-piperidinyl]oxy]phenyl]acetyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-,

L15 ANSWER 29 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
dihydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



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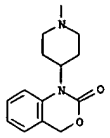
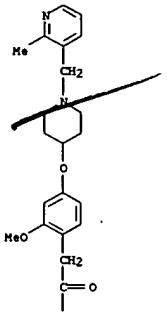


●2 HCl

RN 162046-44-8 CAPLUS
CN Piperidine, 1-[[2-methoxy-4-[[1-[(2-methyl-3-pyridinyl)methyl]-4-piperidinyl]oxy]phenyl]acetyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)- (9CI) (CA INDEX NAME)

L15 ANSWER 29 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



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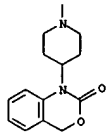

RN 162046-45-9 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-[(2-methyl-3-pyridinyl)methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)- (9CI) (CA INDEX NAME)

L15 ANSWER 29 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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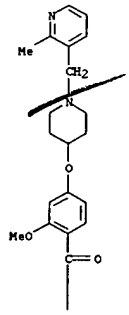

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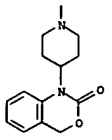

RN 162046-48-2 CAPLUS
CN Piperidine, 1-[2-methoxy-4-[[1-[(2-methyl-3-pyridinyl)methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, (2R,3R)-2,3-dihydroxybutanedioate (9CI) (CA INDEX NAME)

CM 1

CRN 162046-45-9
CMF C33 H38 N4 O5

L15 ANSWER 29 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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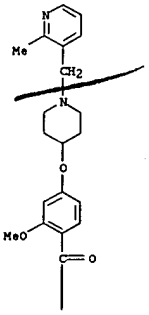

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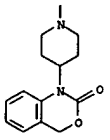
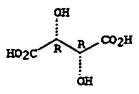


CM 2

CRN 87-69-4
CMF C4 H6 O6

Absolute stereochemistry.



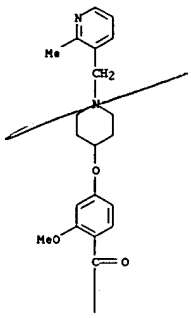
RN 162046-49-3 CAPLUS

L15 ANSWER 29 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CN Piperidine, 1-[2-methoxy-4-[[1-[(2-methyl-3-pyridinyl)methyl]-4-piperidinyl]oxy]benzoyl]-4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-, sulfate (9CI) (CA INDEX NAME)

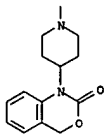
CM 1

CRN 162046-45-9
CMF C33 H38 N4 O5

PAGE 1-A



PAGE 2-A



CM 2

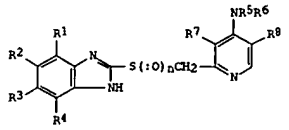
CRN 7664-93-9

L15 ANSWER 29 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CMF H2 O4 S

L15 ANSWER 30 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1994:270396 CAPLUS
DOCUMENT NUMBER: 120:270396
TITLE: Preparation of pyridyl containing benzimidazoles, compositions and use for treatment of gastrointestinal disorders.
INVENTOR(S): Ife, Robert J.
PATENT ASSIGNEE(S): SmithKline and French Laboratories Ltd., UK
SOURCE: U.S., 25 pp. Cont.-in-part of U.S. Ser. No. 92,251, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5250527	A	19931005	US 1988-249209	19880923
PRIORITY APPLN. INFO.:			US 1985-790994	19851024
			US 1987-44880	19870430
			US 1987-92251	19870902

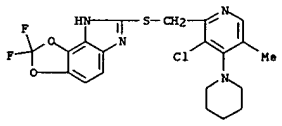
OTHER SOURCE(S): MARPAT 120:270396
GI



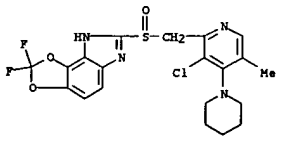
I

AB Title compds. I (R1-4 = H, halo, F3C, C1-6 alkyl, C1-6 alkoxy, C1-6-alkanoyl, C1-6 alkoxyxarbonyl, RCF2O, F3-5 substituted EtO wherein R = H, F; R5, R6 = C1-6 alkyl R5R6N = morpholino, piperidino, and one of R7 and R8 is halo, and the other is H, C1-6 alkyl, n = 0,1), inhibitors of H+-K+ATPase, are prepd. 4-Amino-5-bromo-2-(chloromethyl)pyridine-HCl (prepn. given) and 5-methoxy2-benzimidazolethiol were reacted to give 2-(4-amino-5-bromo-2-pyridylmethylthio)-5-methoxy-1(H)-benzimidazole which in CH2Cl2 was treated with m-ClC6H4CO2OH to give I (R1 = R3-7 = H, R2 = MeO, R8 = Br, n = 1) which at pH 6.1 and 7.4 inhibited K-stimulated ATPase activity. Pharmaceutical formulations comprising I are given.
IT 103971-40-0P 103971-42-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, for treatment of gastrointestinal disorder)
RN 103971-40-0 CAPLUS
CN 6H-1,3-Dioxolo[4,5-e]benzimidazole, 7-[[[3-chloro-5-methyl-4-(1-piperidinyl)-2-pyridinyl]methyl]thio]-2,2-difluoro- (9CI) (CA INDEX NAME)

L15 ANSWER 30 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



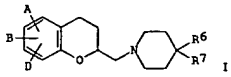
RN 103971-42-2 CAPLUS
CN 6H-1,3-Dioxolo[4,5-e]benzimidazole, 7-[[[3-chloro-5-methyl-4-(1-piperidinyl)-2-pyridinyl]methyl]sulfinyl]-2,2-difluoro- (9CI) (CA INDEX NAME)



L15 ANSWER 31 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1993:580665 CAPLUS
DOCUMENT NUMBER: 119:180665
TITLE: Preparation of piperidylmethyl substituted chroman derivatives as agents for the treatment of diseases of the central nervous system
INVENTOR(S): Heine, Hans Georg; Junge, Bodo; Seidel, Peter Rudolf; Schohe-Loop, Rudolf; Glaser, Thomas; De Vry, Jean Marie Viktor; Dompert, Wolfgang; Sommermeyer, Henning
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Eur. Pat. Appl., 25 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 546389	A1	19930616	EP 1992-120188	19921126
EP 546389	B1	19960417		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
DE 4140542	A1	19930617	DE 1991-4140542	19911209
NO 9204547	A	19930610	NO 1992-4547	19921125
AT 136896	E	19960515	AT 1992-120188	19921126
ES 2087407	T3	19960716	ES 1992-120188	19921126
US 5326771	A	19940705	US 1992-983988	19921130
JP 05262766	A2	19931012	JP 1992-350026	19921203
JP 3162523	B2	20010508		
CA 2084541	AA	19930610	CA 1992-2084541	19921204
AU 9229936	A1	19930610	AU 1992-29936	19921207
AU 649901	B2	19940602		
ZA 9209497	A	19930610	ZA 1992-9497	19921208
RU 2102392	C1	19980120	RU 1992-4592	19921208
HU 65525	A2	19940628	HU 1992-3896	19921209
CZ 281714	B6	19961211	CZ 1992-3612	19921209
SK 278557	B6	19970910	SK 1992-3612	19921209

PRIORITY APPLN. INFO.: DE 1991-4140542 A 19911209
OTHER SOURCE(S): MARPAT 119:180665
GI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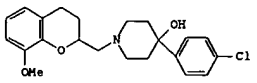
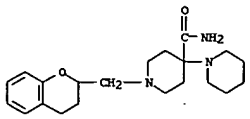
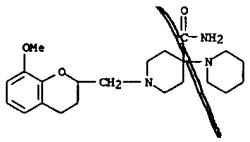

II

L15 ANSWER 31 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

AB Title compds. [I; A, B, D = H, halo, cyano, N3, NO2, FZHC, FZHCO, F3C, CH, CO2H, alkyl, alkenyl, acyl, alkoxycarbonyl, amino, alkoxy, alkenyloxy; BD = (substituted) 5-7 membered (unsatd.) (arom.) carbocyclyl or heterocyclyl; R6 = H, OH, halo, Ph, piperidinyl; R7 = (substituted) alkyl, Ph, carbamoyl, acyl, etc.], were prepd. Thus, 8-methoxy-2-tosyloxymethylchroman (prepn. given) was condensed with 4-hydroxy-4-(4-chlorophenyl)piperidine using Na2CO3 in DMF at 110.degree. to give title compd. II. II.HCl showed Ki = 22 nM for 5-HT1 receptors.
IT 149979-59-9P 149979-60-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as serotonin and dopamine receptor ligand)
RN 149979-59-9 CAPLUS
CN [1,4'-Bipiperidine]-4'-carboxamide, 1'-[(3,4-dihydro-2H-1-benzopyran-2-yl)methyl]- (9CI) (CA INDEX NAME)



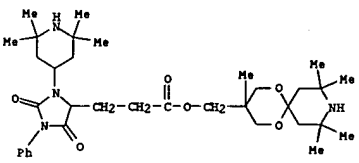
RN 149979-60-2 CAPLUS
CN [1,4'-Bipiperidine]-4'-carboxamide, 1'-[(3,4-dihydro-8-methoxy-2H-1-benzopyran-2-yl)methyl]- (9CI) (CA INDEX NAME)



L15 ANSWER 32 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1987:516452 CAPLUS
DOCUMENT NUMBER: 107:116452
TITLE: Piperidinylhydantoin stabilizers for plastics
INVENTOR(S): Toda, Shukumasa; Fujita, Takeshi; Kurumada, Tomoyuki
PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 23 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62051683	A2	19870306	JP 1985-190390	19850829

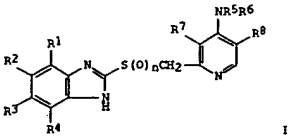
PRIORITY APPLN. INFO.: JP 1985-190390 19850829
AB Piperidylhydantoin derivs. are heat and light stabilizers for films, fibers, tapes, moldings, coating, etc. Polypropylene contg. 0.2 phr antioxidant and 0.25 phr 1,6-bis[1-(1,2,2,6,6-pentamethyl-4-piperidyl)-2,4-dioxo-3-imidazolidinyl]hexane (I) had Weatherometer degrdn. time 1050 h and heat resistance (180.degree. flex after aging at 150.degree.) 25 days, vs. 180 and 4, resp., without I.
IT 110163-54-7
RL: PEP (Physical, engineering or chemical process); PROC (Process)
(heat and light stabilizers, for plastics)
RN 110163-54-7 CAPLUS
CN 4-Imidazolidinepropanoic acid, 2,5-dioxo-1-phenyl-3-(2,2,6,6-tetramethyl-4-piperidinyl)-, (3,8,8,10,10-pentamethyl-1,5-dioxa-9-azaspiro[5.5]undec-3-yl)methyl ester (9CI) (CA INDEX NAME)



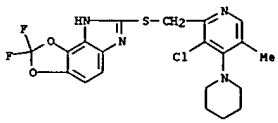
L15 ANSWER 33 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1986:533886 CAPLUS
DOCUMENT NUMBER: 105:133886
TITLE: Substituted benzimidazole derivatives
INVENTOR(S): Ife, Robert John
PATENT ASSIGNEE(S): Smith Kline and French Laboratories Ltd., UK
SOURCE: Eur. Pat. Appl., 34 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 184322	A1	19860611	EP 1985-307928	19851031
EP 184322	B1	19891220		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
CA 1253150	A1	19890425	CA 1985-493978	19851028
IL 76870	A1	19880928	IL 1985-76870	19851029
IL 76467	A1	19880928	IL 1985-76467	19851029
FI 8504267	A	19860503	FI 1985-4267	19851030
FI 84718	B	19910930		
FI 84718	C	19920110		
AU 8549207	A1	19860508	AU 1985-49207	19851030
AU 576634	B2	19880901		
DK 8505010	A	19860503	DK 1985-5010	19851031
ES 548409	A1	19861201	ES 1985-548409	19851031
AT 48840	E	19900115	AT 1985-307928	19851031
NO 8504369	A	19860505	NO 1985-4369	19851101
NO 164541	B	19900709		
NO 164541	C	19901017		
JP 61109788	A2	19860528	JP 1985-246932	19851101
JP 03014034	B4	19910225		
HU 39176	A2	19860828	HU 1985-4204	19851101
HU 200763	B	19900828		
ZA 8508401	A	19870624	ZA 1985-8401	19851101
CN 85108133	A	19860410	CN 1985-108133	19851102
CN 1013445	B	19910807		

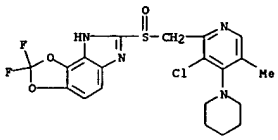
PRIORITY APPLN. INFO.: GB 1984-27836 19841102
GB 1984-32515 19841221
GB 1985-18043 19850717
IL 1985-76870 19851029
EP 1985-307928 19851031
GI


I

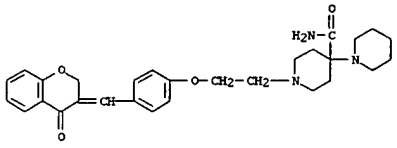
L15 ANSWER 33 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
AB (Pyridylmethylthio)benzimidazoles and sulfoxide analogs I (R1, R2, R3, and R4 are H, halo, CF3, alkyl, alkoxy, etc.; n = 0, 1; R5 and R6 are H, alkyl, cycloalkyl, or NR5R6 = azetidino, pyrrolidino, piperidino, etc.; one of R7 and R8 is halo and the other is H, halo, alkyl) were prepd., and they exhibited anti-ulcer activity. 5-Methoxy-2-mercaptobenzimidazole was treated with 2-(chloromethyl)pyridine hydrochloride deriv. and NaOH to give I (R2 = OMe, R8 = Br, n = 0, R1 = R3 = R4 = R5 = R6 = R7 = H).
IT 103971-40-0P 103971-42-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as anti-ulcer agent)
RN 103971-40-0 CAPLUS
CN 6H-1,3-Dioxolo[4,5-e]benzimidazole, 7-[[[3-chloro-5-methyl-4-(1-piperidinyl)-2-pyridinyl]methyl]thio]-2,2-difluoro- (9CI) (CA INDEX NAME)



RN 103971-42-2 CAPLUS
CN 6H-1,3-Dioxolo[4,5-e]benzimidazole, 7-[[[3-chloro-5-methyl-4-(1-piperidinyl)-2-pyridinyl]methyl]sulfinyl]-2,2-difluoro- (9CI) (CA INDEX NAME)



L15 ANSWER 34 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
NAME)



●2 HCl

L15 ANSWER 34 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1968:467221 CAPLUS
DOCUMENT NUMBER: 69:67221
TITLE: Chromanone derivatives
INVENTOR(S): Hasegawa, Gen
PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd.
SOURCE: Jpn. Tokkyo Koho, 8 pp.
CODEN: JAXXAD
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

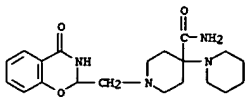
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 42024588	B4	19671125	JP	19641219

GI For diagram(s), see printed CA Issue.
AB Dry HCl gas is introduced into an ice-cooled mixt. of 14 g. 4-chromanone, 23 g. 4-(Et2NCH2CH2O)C6H4CHO, and 150 cc. MeOH, the whole let stand overnight, and evapd. in vacuo to give 30 g. I (R = 4-Et2NCH2CH2O).HCl, m. 195.degree. (EtOH-AcOEt). Similarly prepd. are the following I (R', R, m.p. and/or salt m.p. given): H, 4-(2-piperidinoethoxy), 122.degree.; H, 4-(2-morpholinoethoxy) 120.degree., hydrochloride m. 140.degree.; H, 4-(HOCH2CH2)2NCH2CH2O, hydrochloride m. 148.degree.; H, 4-iso-Bu2NCH2CH2O, 93.degree.; H, 4-Et2N(CH2)3O, 50-2.degree.; H, 4-[2-[4-(2-hydroxyethyl)piperazino]ethoxy], dihydrochloride m. 235.degree.; H, 4-(PhCH2CH2)2NCH2CH2O, 199-200.degree.; H, 2-(2-piperidinoethoxy), citrate m. 95.degree.; H, 2-Et2NCH2CH2O, citrate m. 165.degree.; H, 3,4-MeO(Et2NCH2CH2O), citrate m. 100.degree.; H, 4-PhCH2NHCH2CH2O, hydrochloride m. 239.degree.; H, 4-PhCH2CH2NHCH2CH2O, hydrochloride m. 240-3.degree.; H, 4-iso-BuNHCH2CH2O, hydrochloride m. 214.degree.; H, 4-Me(iso-Bu) NCH2CH2O, hydrochloride m. 197.degree.; H, 4-[2-(4-carbamoyl-4-piperidinopiperidino)ethoxy], dihydrochloride m. 265-7.degree.; 2-piperidinoethoxy, 4-(HOCH2CH2)2NCH2CH2O, (dihydrochloride m. 115.degree.), H, 4-Et2N(CH2)4O, hydrochloride m. 168-70.degree.; HO, 4-piperidinoethoxy, HCl m. 185-6.degree.; piperidinoethoxy, 4-(HOCH2CH2)2NCH2CH2O, di-HCl m. 115.degree.; and the following II (R', R, and same data given): H, 4-Et2NCH2CH2O, hydrochloride m. 213-14.degree.; H, 2-Et2NCH2CH2O, citrate m. 180.degree.; H, 4-(2-piperidinoethoxy), hydrochloride m. 133.degree.; HO, 4-Et2NCH2CH2O, 210.degree.; HO, 4-(2-morpholinoethoxy), 261.degree.; EtO2C, Et2NCH2CH2O, hydrochloride m. 201.degree.; EtO2CCH2O, 4-(2-piperidinoethoxy), hydrochloride m. 210.degree.; EtO2CCH2O, 4-(2-morpholinoethoxy), hydrochloride m. 225.degree.; MeO, 4-Et2NCH2CH2O, picrate m. 167.degree.; MeO, 4-iso-Bu2NCH2CH2O, picrate m. 195.degree.; HOCH2CH2O, 4-(2-piperidinoethoxy), picrate m. 185.degree.; HOCH2CH2O, 4-Et2NCH2CH2O, picrate m. 137.degree.; EtO, 4-(2-piperidinoethoxy), hydrochloride m. 236.5.degree.; and 2-[4- (2 - diethylaminoethoxy)benzylidene] - 5 - methoxy - 3 - coumaranone hydrochloride m. 206.degree.. The products dilate the coronary artery and are useful as remedies for angina pectoris.
IT 19415-10-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 19415-10-2 CAPLUS
CN [1,4'-Bipiperidine]-4'-carboxamide, 1'-[2-[[.alpha.-(4-oxo-3-chromanylidene)-p-tolyl]oxy]ethyl]-, dihydrochloride (8CI) (CA INDEX

L15 ANSWER 35 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1968:410446 CAPLUS
DOCUMENT NUMBER: 69:10446
TITLE: 2,3-Dihydro-4H-1,3-benzoxazin-4-one derivatives
INVENTOR(S): Nakanishi, Michio; Tsuda, Atsushi; Kobayashi, Ryosuke
PATENT ASSIGNEE(S): Yoshitumi Pharmaceutical Industries, Ltd.
SOURCE: Jpn. Tokkyo Koho, 3 pp.
CODEN: JAXXAD
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 42018630	B4	19670923	JP	19640710

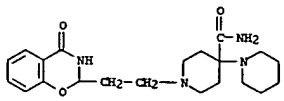
GI For diagram(s), see printed CA Issue.
AB 4-Carbamoyl-4-piperidinopiperidine (2.1 g.) is added to a mixt. of 2.6 g.I (R = CH2CH2Br), 1.5 g. NEt3, and 100 ml. PhMe and the whole heated at 60-70.degree. for 5 hrs. to give 3 g. I [R = 2-(4-carbamoyl-4-piperidinopiperidino)ethyl]2HCl m. 248.degree. (decompn.) (MeOH). Similarly prepd. are the following I [R and m.p. of (x)HCl salt given]: 2-(4-piperidinopiperidino)ethyl, 276.degree. (2); 2-(4-carbamoyl-4-dimethylaminopiperidino)ethyl, 216.degree. (2); 4-carbamoyl-4-piperidinoperidino)methyl, 228.degree. (2); 2-(4-N-methylpiperazinopiperidino)ethyl, 274.degree. (3). The products are analgesics, antispasmodics, and transquilizers.
IT 20379-06-0P 20379-07-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 20379-06-0 CAPLUS
CN [1,4'-Bipiperidine]-4'-carboxamide, 1'-[(3,4-dihydro-4-oxo-2H-1,3-benzoxazin-2-yl)methyl]-, dihydrochloride (8CI) (CA INDEX NAME)



●2 HCl

RN 20379-07-1 CAPLUS
CN [1,4'-Bipiperidine]-4'-carboxamide, 1'-[2-(3,4-dihydro-4-oxo-2H-1,3-benzoxazin-2-yl)ethyl]-, dihydrochloride (8CI) (CA INDEX NAME)

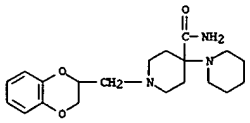
L15 ANSWER 35 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



●2 HCl

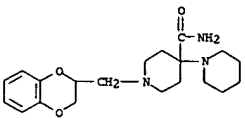
L15 ANSWER 36 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1964:461579 CAPLUS
DOCUMENT NUMBER: 61:61579
ORIGINAL REFERENCE NO.: 61:10652g-h
TITLE: 2-Hydroxymethylpyridines: 2-(hydroxymethyl)pyridine, 2-methyl-6-(hydroxymethyl)pyridine, and 2,6-bis(hydroxymethyl)pyridine)
AUTHOR(S): Chunakov, Yu. I.; Stolyarov, Z. E.
SOURCE: Metody Polucheniya Khimicheskikh Reaktivov i Preparatov (1963), No. 7, 65-9
CODEN: MPRPAT; ISSN: 0539-5143
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB 2-(.alpha.-Acetoxymethyl)pyridines hydrolyzed with 10% NaOH at 100.degree. 6 hrs. produced the corresponding title compds. (I), (II), and (III), resp. The reaction mixt. was extd. with CH2Cl2 or CHCl3 and the solvent removed by distn. The residue distd. in vacuo yielded 67-9% I, b15 108-9.degree., n20D 1.5430; picrate m. 157.5-58.degree.. In the similar manner II gave 60% yield, b5 80-1.degree., n20D 1.5390. III, m. 114-14.5.degree., was obtained in 60% yield by recrystn. from C6H6.
IT 100150-62-7, 1,4'-Bipiperidine]-4'-carboxamide, 1'-(1,4-benzodioxan-2-ylmethyl)- 100194-31-8, 1,4'-Bipiperidine]-4'-carboxamide, 1'-(1,4-benzodioxan-2-ylmethyl)-, dihydrochloride
(prepn. of)
RN 100150-62-7 CAPLUS
CN [1,4'-Bipiperidine]-4'-carboxamide, 1'-(1,4-benzodioxan-2-ylmethyl)- (7CI) (CA INDEX NAME)



RN 100194-31-8 CAPLUS
CN [1,4'-Bipiperidine]-4'-carboxamide, 1'-(1,4-benzodioxan-2-ylmethyl)-, dihydrochloride (7CI) (CA INDEX NAME)

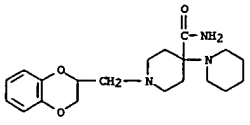
L15 ANSWER 36 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



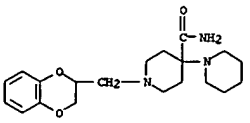
●2 HCl

L15 ANSWER 37 OF 37 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1964:461578 CAPLUS
DOCUMENT NUMBER: 61:61578
ORIGINAL REFERENCE NO.: 61:10652f-g
TITLE: 4-Substituted piperidines. I. Derivatives of 4-tertiaryamino-4-piperidinecarboxamides
AUTHOR(S): van de Westeringh, Cornelis; van Daele, Paul; Hermans, Bert; van der Eycken, Cyriel; Boey, Jozef; Janssen, Paul A. J.
CORPORATE SOURCE: Janssen Pharm. Res. Lab., Beerse, Belg.
SOURCE: Journal of Medicinal Chemistry (1964), 7(5), 619-23
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB A no. of derivs. of 4-tertiary-amino-4-piperidinecarboxamides (I) were prepd. The pharmacol. screening has shown that 1-(.gamma.-butyrophenone) derivs. may be classified as neuroleptic agents, whereas the 1-(.alpha...alpha.-diphenyl-.gamma.-butyronitrile) derivs. constitute analgesic agents. The latter compds. elicit relatively minor addiction symptoms.
IT 100150-62-7, 1,4'-Bipiperidine]-4'-carboxamide, 1'-(1,4-benzodioxan-2-ylmethyl)- 100194-31-8, 1,4'-Bipiperidine]-4'-carboxamide, 1'-(1,4-benzodioxan-2-ylmethyl)-, dihydrochloride
(prepn. of)
RN 100150-62-7 CAPLUS
CN [1,4'-Bipiperidine]-4'-carboxamide, 1'-(1,4-benzodioxan-2-ylmethyl)- (7CI) (CA INDEX NAME)



RN 100194-31-8 CAPLUS
CN [1,4'-Bipiperidine]-4'-carboxamide, 1'-(1,4-benzodioxan-2-ylmethyl)-, dihydrochloride (7CI) (CA INDEX NAME)



●2 HCl